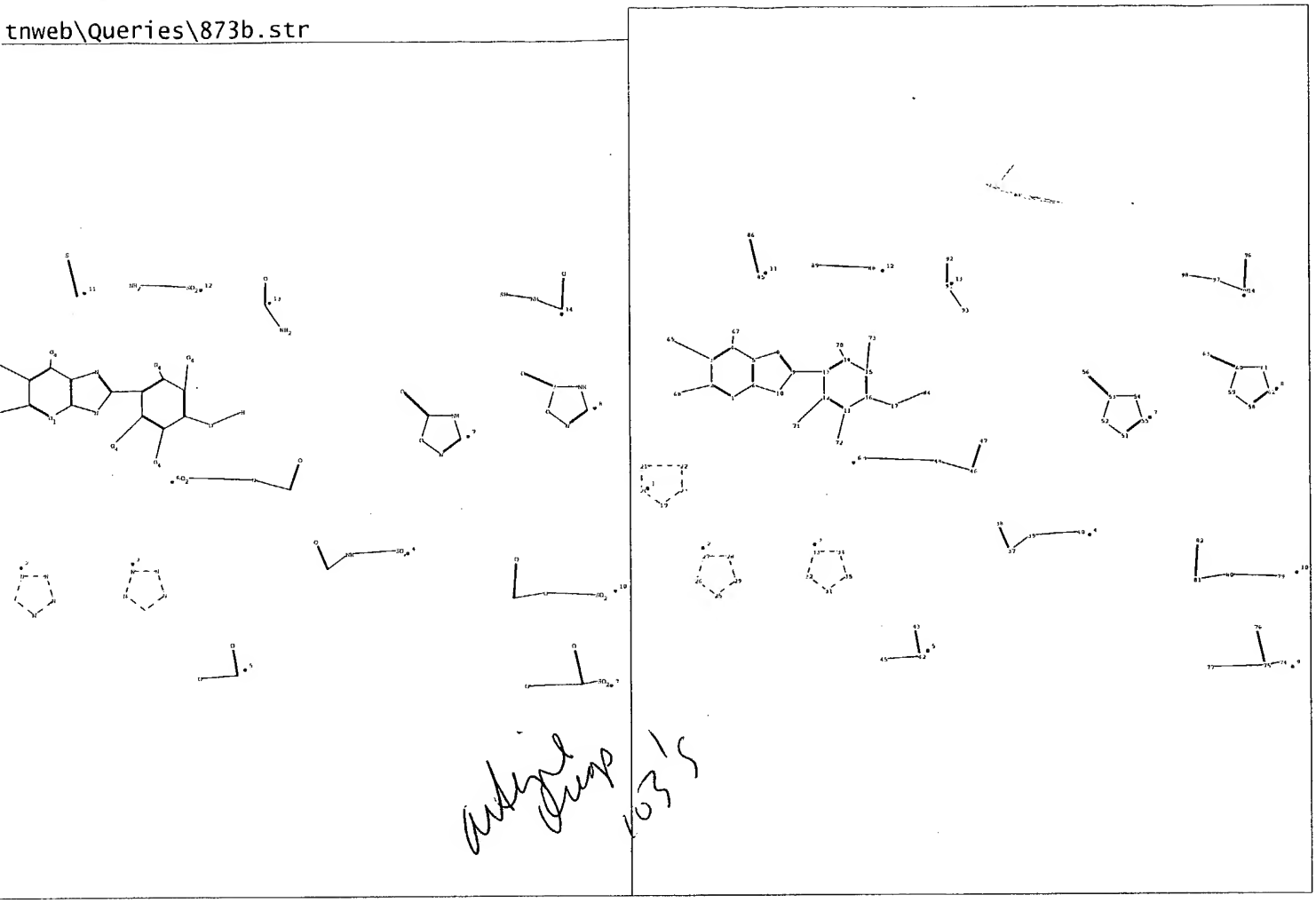
tnweb\Queries\873b.str



in nodes :
17 37 38 39 40 42 43 45 46 47 48 49 56 63 65 67 68 70 71 72 73 74 75
76 77 79 80 81 82 84 85 86 88 89 91 92 93 95 96 97 98
g nodes :
1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 19 20 21 22 23 25 26 27 28 29
31 32 33 34 35 51 52 53 54 55 58 59 60 61 62
in bonds :
2-68 3-65 4-67 9-13 11-72 12-71 14-70 15-73 16-17 17-84 37-38 37-39 39-40
42-43 42-45 46-47 46-48 48-49 53-56 60-63 74-75 75-76 75-77 79-80 80-81 81-82
85-86 88-89 91-92 91-93 95-96 95-97 97-98
g bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-8 6-10 8-9 9-10 11-12 11-16 12-13 13-14 14-15
15-16 19-20 19-23 20-21 21-22 22-23 25-26 25-29 26-27 27-28 28-29 31-32 31-35
32-33 33-34 34-35 51-52 51-55 52-53 53-54 54-55 58-59 58-62 59-60 60-61 61-62
ct/norm bonds :
1-2 1-6 2-3 2-68 3-4 3-65 4-5 4-67 5-6 5-8 6-10 8-9 9-10 9-13 11-72 12-71
14-70 15-73 16-17 17-84 19-20 19-23 20-21 21-22 22-23 25-26 25-29 26-27 27-28
28-29 31-32 31-35 32-33 33-34 34-35 37-38 37-39 39-40 42-43 42-45 46-47 46-48
48-49 51-52 51-55 52-53 53-54 53-56 54-55 58-59 58-62 59-60 60-61 60-63 61-62
74-75 75-76 75-77 79-80 80-81 81-82 85-86 88-89 91-92 91-93 95-96 95-97 97-98
malized bonds :
11-12 11-16 12-13 13-14 14-15 15-16
lated ring systems :
containing 1 : 11 : 51 : 58 :

C,N

SH,[*1],[*2],[*3],[*4],[*5],[*6],[*7],[*8],[*9],[*10],[*11],[*12],[*13],[*14]

H,F,CH3,NH2,SH

ch level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom
13:Atom

14:Atom 15:Atom 16:Atom 17:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom
25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom
37:CLASS 38:CLASS 39:CLASS 40:CLASS 42:CLASS 43:CLASS 45:CLASS 46:CLASS 47:CLASS
48:CLASS 49:CLASS 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:CLASS 58:Atom 59:Atom
60:Atom 61:Atom 62:Atom 63:CLASS 65:CLASS 67:CLASS 68:CLASS 70:CLASS 71:CLASS
72:CLASS 73:CLASS 74:CLASS 75:CLASS 76:CLASS 77:CLASS 79:CLASS 80:CLASS 81:CLASS
82:CLASS 84:CLASS 85:CLASS 86:CLASS 88:CLASS 89:CLASS 91:CLASS 92:CLASS 93:CLASS
95:CLASS 96:CLASS 97:CLASS 98:CLASS

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NEWS  1              Web Page URLs for STN Seminar Schedule - N. America
NEWS  2              "Ask CAS" for self-help around the clock
NEWS  3   JAN 27     Source of Registration (SR) information in REGISTRY updated
                     and searchable
NEWS  4   JAN 27     A new search aid, the Company Name Thesaurus, available in
                     CA/CAplus
NEWS  5   FEB 05     German (DE) application and patent publication number format
                     changes
NEWS  6   MAR 03     MEDLINE and LMEDLINE reloaded
NEWS  7   MAR 03     MEDLINE file segment of TOXCENTER reloaded
NEWS  8   MAR 03     FRANCEPAT now available on STN
NEWS  9   MAR 29     Pharmaceutical Substances (PS) now available on STN
NEWS 10   MAR 29     WPIFV now available on STN
NEWS 11   MAR 29     New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS 12   APR 26     PROMT: New display field available
NEWS 13   APR 26     IFIPAT/IFIUDB/IFICDB: New super search and display field
                     available
NEWS 14   APR 26     LITALERT now available on STN
NEWS 15   APR 27     NLDB: New search and display fields available
NEWS 16   May 10     PROUSDDR now available on STN
NEWS 17   May 10     PROUSDDR: One FREE connect hour, per account, in both May
                     and June 2004

NEWS EXPRESS  MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
NEWS HOURS    STN Operating Hours Plus Help Desk Availability
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NEWS LOGIN    Welcome Banner and News Items
NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
NEWS WWW      CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 00:12:55 ON 12 MAY 2004

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

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STRUCTURE FILE UPDATES:   10 MAY 2004  HIGHEST RN 681120-30-9
DICTIONARY FILE UPDATES:  10 MAY 2004  HIGHEST RN 681120-30-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

  Please note that search-term pricing does apply when
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Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR

=> s l1
SAMPLE SEARCH INITIATED 00:32:07 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    302 TO ITERATE

100.0% PROCESSED     302 ITERATIONS                                25 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         4998 TO     7082
PROJECTED ANSWERS:             200 TO      800

L2            25 SEA SSS SAM L1

=> s l1 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 00:32:12 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   6058 TO ITERATE

100.0% PROCESSED    6058 ITERATIONS                               447 ANSWERS
SEARCH TIME: 00.00.01

L3           447 SEA SSS FUL L1

=> file hcaplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             168.44     168.65

FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004
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FILE COVERS 1907 - 12 May 2004 VOL 140 ISS 20
FILE LAST UPDATED: 10 May 2004 (20040510/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 13 L3

=> s l4 and priestley, e?/au
64 PRIESTLEY, E?/AU
L5 1 L4 AND PRIESTLEY, E?/AU

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L5 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:261620 HCAPLUS
DOCUMENT NUMBER: 138:287673
TITLE: Preparation of phenylbenzimidazole compounds useful for treating hepatitis C virus
INVENTOR(S): **Priestley, Eldon Scott**; Decicco, Carl P.; Hudyma, Thomas W.; Zheng, Xiaofan
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003026587	A2	20030403	WO 2002-US30989	20020926
WO 2003026587	A3	20031106		

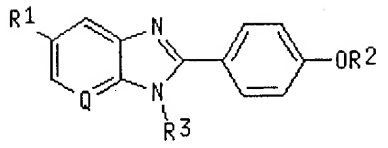
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003134853	A1	20030717	US 2002-259041	20020926
US 2004067976	A1	20040408	US 2003-648873	20030827

PRIORITY APPLN. INFO.:
US 2001-324874P P 20010926
US 2002-259041 B1 20020926

OTHER SOURCE(S): MARPAT 138:287673

GI



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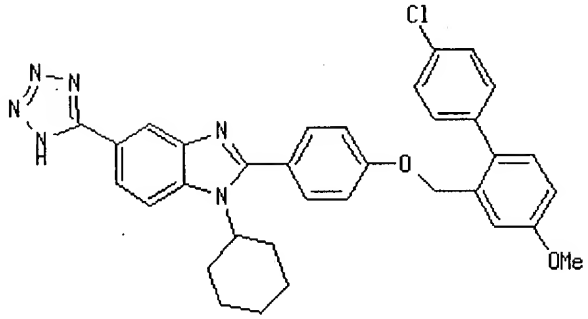


II

AB Compds. of formula I [Q = CH, N; R1 = tetrazolyl, MeCONHSO2, PhCONHSO2, etc.; R2 = CH2-aryl, CHPh2, etc.; R3 = cycloalkyl] are prepd. which are useful in treating viral hepatitis C. Thus, II was prepd. and had an IC50 of 0.14 μM against HCV NS5B RdRp (RNA-dependent RNA polymerase).

IT **503857-56-5P**

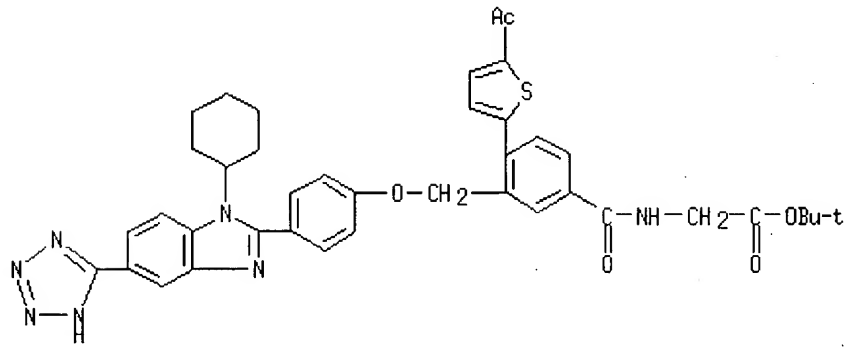
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of phenylbenzimidazole compds. for treating hepatitis C viral infection)

RN 503857-56-5 HCAPLUS

CN Glycine, N-[4-(5-acetyl-2-thienyl)-3-[[4-[1-cyclohexyl-5-(1H-tetrazol-5-yl)-1H-benzimidazol-2-yl]phenoxy]methyl]benzoyl]-, 1,1-dimethylethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

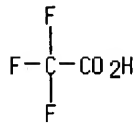
CM 1

CRN 503857-55-4
CMF C40 H41 N7 O5 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



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=> d his

     (FILE 'HOME' ENTERED AT 00:12:55 ON 12 MAY 2004)

     FILE 'REGISTRY' ENTERED AT 00:13:07 ON 12 MAY 2004
L1               STRUCTURE UPLOADED
L2            25 S L1
L3           447 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004
L4            13 S L3
L5             1 S L4 AND PRIESTLEY, E?/AU

=> s l4 not l5
L6            12 L4 NOT L5

=> s l6 and decicco, c?/au
           125 DECICCO, C?/AU
L7             0 L6 AND DECICCO, C?/AU

=> s l6 and hudyma, t?/au
            45 HUDYMA, T?/AU
L8             0 L6 AND HUDYMA, T?/AU

=> s l6 and zheng, x?/au
          3518 ZHENG, X?/AU
L9             0 L6 AND ZHENG, X?/AU

=> d l6, ibib abs fhitstr, 1-12

L6   ANSWER 1 OF 12  HCAPLUS  COPYRIGHT 2004 ACS on STN
```

Full Text | Citing References

```
ACCESSION NUMBER:          2003:981461  HCAPLUS
DOCUMENT NUMBER:           140:246106
TITLE:                     Non-nucleoside inhibitors of the hepatitis C virus
                           NS5B polymerase: discovery and preliminary SAR of
                           benzimidazole derivatives
AUTHOR(S):                 Beaulieu, Pierre L.; Bos, Michael; Bousquet, Yves;
                           Fazal, Gulrez; Gauthier, Jean; Gillard, James; Goulet,
                           Sylvie; LaPlante, Steven; Poupart, Marc-Andre;
                           Lefebvre, Sylvain; McKercher, Ginette; Pellerin,
                           Charles; Austel, Volkhard; Kukolj, George
CORPORATE SOURCE:          Department of Chemistry, Research and Development,
                           Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S
                           2G5, Can.
SOURCE:                    Bioorganic & Medicinal Chemistry Letters (2004),
                           14(1), 119-124
                           CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER:                 Elsevier Science B.V.
DOCUMENT TYPE:             Journal
LANGUAGE:                  English
```

AB Benzimidazole 5-carboxamide derivs. from a combinatorial screening library were discovered as specific inhibitors of the NS5B polymerase of the hepatitis C virus (HCV). Initial hit-to-lead activities taking advantage of high-throughput parallel synthetic techniques, identified a 1,2-disubstituted benzimidazole 5-carboxylic acid scaffold as the min. core for biol. activity. Potent analogs in this series inhibit the polymerase at low micromolar concns. and provide an attractive 'drug-like' lead structure for further optimization and the development of potential HCV therapeutics.

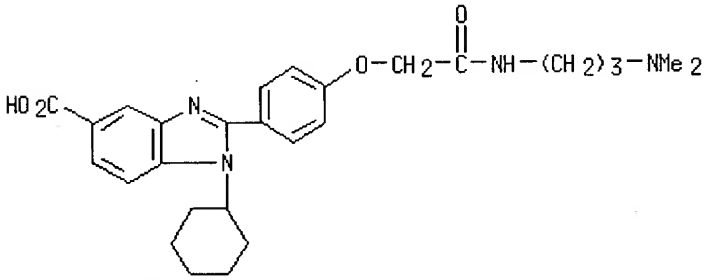
IT **390815-16-4P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(discovery and preliminary SAR of benzimidazole derivs. as inhibitors of hepatitis C virus NS5B polymerase)

RN 390815-16-4 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[4-[2-[[3-(dimethylamino)propyl]amino]-2-oxoethoxy]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:319709 HCAPLUS
DOCUMENT NUMBER: 138:338144
TITLE: Preparation of 2-phenyl benzimidazoles and imidazo-[4,5]pyridines as Cds1/Chk2-inhibitors and adjuvants to chemotherapy or radiation therapy in the treatment of cancer
INVENTOR(S): Arienti, Kristen L.; Axe, Frank U.; Breitenbucher, J. Guy; Huang, Liming; Lee, Alice; McClure, Kelly J.
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

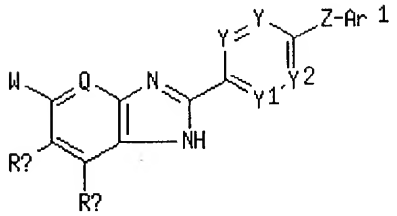
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003032984	A1	20030424	WO 2002-US33371	20021018
WO 2003032984	C1	20031120		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG

US 2003176438	A1	20030918	US 2002-273487		20021018
NO 2003002759	A	20030818	NO 2003-2759		20030617
PRIORITY APPLN. INFO.:			US 2001-330304P	P	20011019
			WO 2002-US33371	W	20021018

OTHER SOURCE(S): MARPAT 138:338144
GI

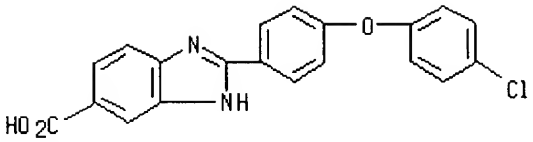


I

AB 2-Aryl-substituted benzimidazoles and imidazo[4,5]pyridines (shown as I; e.g. 2-[4-(4-chlorophenoxy)phenyl]-1H-benzimidazole-5-carboxylic acid amide (II)) are disclosed as inhibitors of Cds1 and useful as adjuvants to chemotherapy or radiation therapy in the treatment of cancer. For I: W is COOH, -C(O)NHR1, or -SO2NHR1 (R1 is H or C1-4alkyl); Q is N or CH; Ra and Rb are H or halogen; Y, Y1 and Y2 = N and C-Rc with the proviso that 0, 1 or 2 of Y, Y1 and Y2 are N and at least 2 of Rc must be H; Rc = -H, -OH, -C1-6alkyl, -SCF3, halo, -CF3 and -OCF3; Z = O, S, SO, SO2, SO2NR2, NR2SO2, NH, CONR2, piperazinediyl or a covalent bond; R2 is H or C1-4alkyl; Ar1 is an arom. group as defined in the claims. IC50 values are reported for inhibition of human Cds1 checkpoint kinase by 103 examples of I, e.g. 3 nM for 2-[4-(4-chloro-3-trifluoromethylphenoxy)phenyl]-1H-benzimidazole-5-carboxylic acid amide. Addnl. studies were (i) detn. of the effect of II on tumor cell line clonogenic survival, (ii) effect of II on tumor growth in murine xenograft models, (iii) detn. of the effect of 14 examples of I on radiation-induced apoptosis in isolated primary cells, and (iv) detn. of the effect of II on radiation-induced apoptosis in splenocytes in vivo. Although the methods of prepn. are not claimed, ~100 example prepns. are included.

IT **516480-80-1P**, 2-[4-(4-Chlorophenoxy)phenyl]-1H-benzimidazole-5-carboxylic acid
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; prepn. of benzimidazoles and imidazopyridines as Cds1/Chk2-inhibitors and adjuvants to chemotherapy or radiation therapy in treatment of cancer)

RN 516480-80-1 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(4-chlorophenoxy)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:203407 HCAPLUS
DOCUMENT NUMBER: 138:238181
TITLE: Preparation of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C
INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: U.S. Pat. Appl. Publ., 406 pp., Cont.-in-part of Appl. No. PCT/JP00/09181.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003050320	A1	20030313	US 2001-939374	20010824
WO 2001047883	A1	20010705	WO 2000-JP9181	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2001247550	A2	20010911	JP 2000-391904	20001225

PRIORITY APPLN. INFO.:

JP 1999-369008	A	19991227
WO 2000-JP9181	A2	20001222
JP 2000-391904	A	20001225
JP 2001-193786	A	20010626

OTHER SOURCE(S): MARPAT 138:238181
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

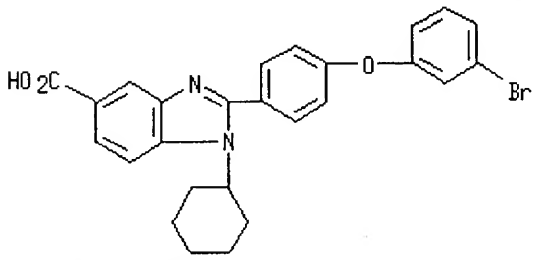
AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, S, CR7, etc.; R1-R4 = H, NO2, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = Ph, cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, CN, etc.; R7 = H, alkyl] are prepd. and formulated. Compds. I showed HCV polymerase inhibitory activity (data

given). E.g., a multi-step synthesis of II.HCl, starting from 2-bromo-5-nitrotoluene and Me 2-(2-fluoro-4-hydroxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylate, was given.

IT 347165-35-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C)

RN 347165-35-9 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexyl- (9CI) (CA INDEX NAME)



L6 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:5773 HCAPLUS
DOCUMENT NUMBER: 138:66657
TITLE: Fused cyclic compounds and medicinal use thereof
INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 603 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000254	A1	20030103	WO 2002-JP6405	20020626
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2003212846	A2	20030730	JP 2002-185241	20020625
BR 2002005684	A	20030617	BR 2002-5684	20020626
EP 1400241	A1	20040324	EP 2002-743728	20020626
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004082635	A1	20040429	US 2003-344997	20030218
NO 2003000832	A	20030422	NO 2003-832	20030221

PRIORITY APPLN. INFO.: JP 2001-193786 A 20010626
JP 2001-351537 A 20011116
WO 2002-JP6405 W 20020626

OTHER SOURCE(S): MARPAT 138:66657

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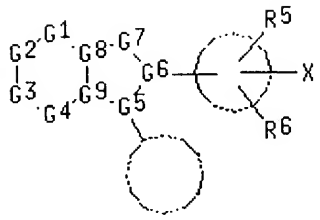


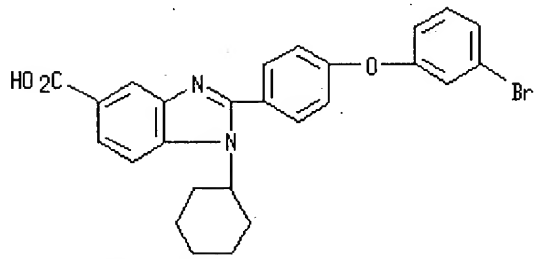
I

AB Fused cyclic compds. represented by the following general formula [I] or pharmaceutically acceptable salts thereof and remedies for hepatitis C contg. these compds.: I wherein each symbol is as defined in the description. Because of having an effect against hepatitis C virus (HVC) based on an HCV polymerase inhibitory effect, these compds. are useful as remedies or preventives for hepatitis C.

IT **347165-35-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(fused cyclic compds. as hepatitis C virus polymerase inhibitors and antiviral agents)

RN 347165-35-9 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

No

ACCESSION NUMBER: 2002:51438 HCAPLUS
DOCUMENT NUMBER: 136:118447
TITLE: Preparation of benzimidazolecarboxylates and related compounds as viral polymerase inhibitors
INVENTOR(S): Beaulieu, Pierre Louis; Fazal, Gulrez; Gillard, James; Kukolj, George; Austel, Volkhard
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 322 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

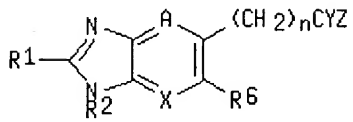
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002004425	A2	20020117	WO 2001-CA989	20010704
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002065418	A1	20020530	US 2001-898297	20010703
US 6448281	B2	20020910		
EP 1301487	A2	20030416	EP 2001-951274	20010704
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004502761	T2	20040129	JP 2002-509292	20010704
US 6479508	B1	20021112	US 2001-995099	20011127
WO 2002070739	A2	20020912	WO 2002-CA323	20020306
WO 2002070739	A3	20030530		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1370682	A2	20031217	EP 2002-712681	20020306
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003232816	A1	20031218	US 2002-238282	20020910

PRIORITY APPLN. INFO.:

US 2000-216084P	P	20000706
US 2001-274374P	P	20010308
US 2001-281343P	P	20010405
US 2001-898297	A3	20010703
WO 2001-CA989	W	20010704
US 2001-995099	A3	20011127
WO 2002-CA323	W	20020306

OTHER SOURCE(S): MARPAT 136:118447
GI



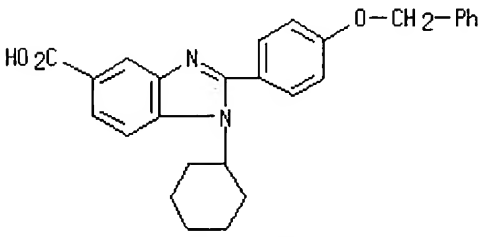
I

AB Title compds. [I; X = CH, N; Y = O, S; Z = OH, NH2, NMeR3, NHR3, OR3, 5-6 membered (substituted) heterocyclyl; A = N, COR7, CR5; R5 = H, halo, alkyl; R7 = H, alkyl; X and A are not both N; R6 = H, halo, alkyl, OR7; R7 = H , alkyl; R1 = (substituted) hetero(bi)cyclyl, Ph, phenylalkyl, alkenyl, phenylalkenyl, cycloalkyl, alkyl, CF3; R2 = (substituted) alkyl,

cycloalkyl, cycloalkylalkyl, bicycloalkyl, adamantyl, Ph, pyridyl; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, alkenyl, cycloalkylalkenyl, arylalkenyl, dialkylamino, heterocyclyl, etc.; n = 0, 1], were prepd. Thus, Me 3-amino-4-cyclohexylaminobenzoate (prepn. given), 2-pyridinecarboxaldehyde, and Oxone were stirred in DMF to give 80% Et 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylate, which was sapond. with aq. NaOH in MeOH to give 91% 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylic acid. The latter inhibited hepatitis C virus RNA dependent polymerase (NS5B) with IC50 = 1-5 μM.

IT 347166-09-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzimidazolecarboxylates and related compds. as viral polymerase inhibitors)

RN 347166-09-0 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 6 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2001:489367 HCAPLUS
DOCUMENT NUMBER: 135:76874
TITLE: Preparation of heterocyclic compounds as remedies for hepatitis C
INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 438 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047883	A1	20010705	WO 2000-JP9181	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1162196	A1	20011212	EP 2000-987728	20001222

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

BR 2000008525	A	20020102	BR 2000-8525	20001222
TR 200103147	T1	20020621	TR 2001-200103147	20001222
NZ 514403	A	20021025	NZ 2000-514403	20001222
AU 763356	B2	20030717	AU 2001-24017	20001222
RU 2223761	C2	20040220	RU 2001-126283	20001222
NO 2001004134	A	20011022	NO 2001-4134	20010824
US 2003050320	A1	20030313	US 2001-939374	20010824
ZA 2001007870	A	20020925	ZA 2001-7870	20010928

PRIORITY APPLN. INFO.:

JP 1999-369008	A	19991227
WO 2000-JP9181	W	20001222
JP 2000-391904	A	20001225
JP 2001-193786	A	20010626

OTHER SOURCE(S): MARPAT 135:76874

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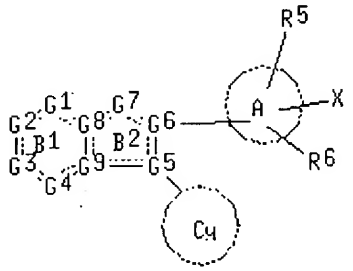

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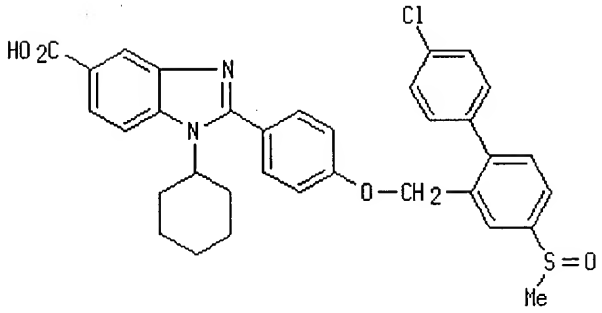


II

AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, etc.; R1 - R4 = H, nitro, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = C3-C8 cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, cyano, etc.] are prepd. The benzimidazole deriv. II in vitro showed IC50 of 0.011 μM against hepatitis C virus polymerase. A formulation is given.

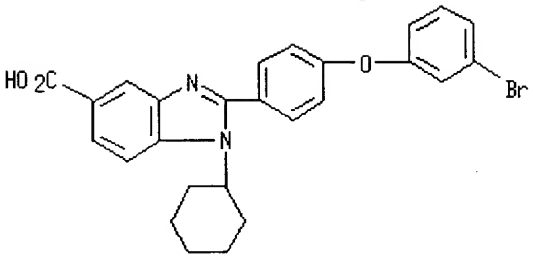
IT **347165-35-9P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclic compds. as remedies for hepatitis C)

RN 347165-35-9 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexyl- (9CI) (CA INDEX NAME)

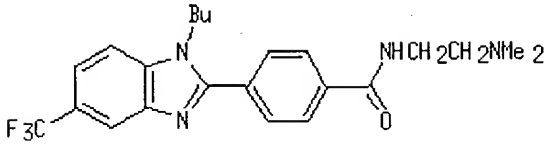


REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2001:412102 HCAPLUS
DOCUMENT NUMBER: 135:177890
TITLE: Synthesis and antimicrobial activity of some new 2-phenyl-N-substituted carboxamido-1H-benzimidazole derivatives
AUTHOR(S): Goker, Hakan; Tuncbilek, Meral; Suzen, Sibel; Kus, Canan; Altanlar, Nurten
CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Ankara University, Ankara, 06100, Turk.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2001), 334(5), 148-152
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:177890
GI



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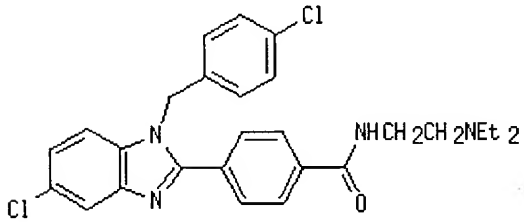

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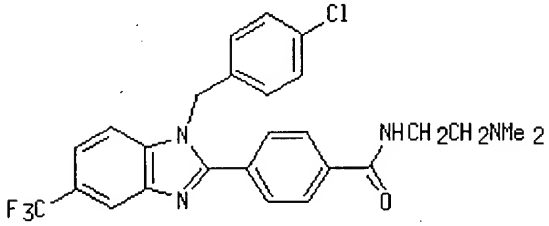


III

AB Some 1H-benzimidazole-carboxamide derivs. were prepd. and their antimicrobial activities against Staphylococcus aureus, Escherichia coli,

and Candida albicans evaluated. Compds. I, II, and III exhibited the best activity against C. albicans.

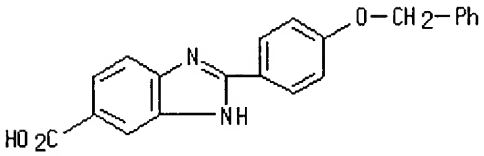
IT **174422-18-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and antimicrobial activity of new 2-phenyl-N-substituted carboxamido-1H-benzimidazole derivs.)

RN 174422-18-5 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1999:614608 HCAPLUS

DOCUMENT NUMBER: 131:286454

TITLE: Synthesis and antimicrobial activity of some new benzimidazole carboxylates and carboxamides

AUTHOR(S): Ayhan-Kilcigil, Gulgun; Tuncbilek, Meral; Altanlar, Nurten; Goker, Hakan

CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Ankara University, Ankara, 06100, Turk.

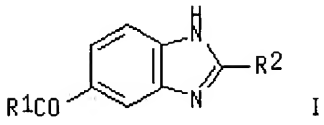
SOURCE: Farmaco (1999), 54(8), 562-565
CODEN: FRMCE8; ISSN: 0014-827X

PUBLISHER: Elsevier Science S.A.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB Benzimidazole carboxylates and carboxamides, e.g., I [R1 = MeO, (2-pyridinylmethyl)amino, 4-methylpiperidino, R2 = 2-ClC6H4, 4-ClC6H4, 2,4-Cl2C6H3, 2-MeOC6H4, 4-MeOC6H4, 2-thienyl], were synthesized and evaluated for their antimicrobial activities against Staphylococcus aureus, Escherichia coli, and Candida albicans. Among the investigated compds., I (R1 = MeO, R2 = 2-MeOC6H4) exhibited best activity against C. albicans.

IT **246517-85-1P**

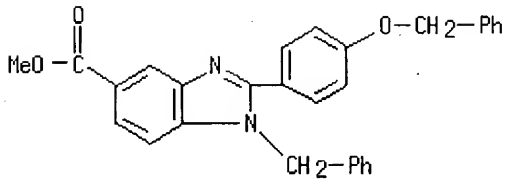
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and antimicrobial activity of benzimidazole carboxylates and carboxamides)

RN 246517-85-1 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(phenylmethoxy)phenyl]-1-

(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1999:184240 HCAPLUS
DOCUMENT NUMBER: 130:209707
TITLE: Preparation of 2-substituted phenyl-benzimidazole antibacterial agents
INVENTOR(S): Ohemeng, Kwasi Adomako; Nguyen, Van Nhatton
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9911627	A1	19990311	WO 1998-US18586	19980904
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 5942532	A	19990824	US 1997-924558	19970905
AU 9893054	A1	19990322	AU 1998-93054	19980904

PRIORITY APPLN. INFO.: US 1997-924558 19970905
WO 1998-US18586 19980904

OTHER SOURCE(S): MARPAT 130:209707
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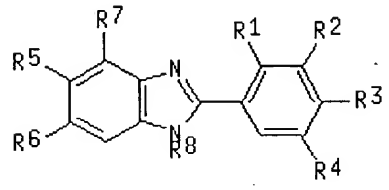


I

AB Benzimidazoles I [R1 = H, OH, alkoxy; R2, R3, R4 = H, OH, alkyl, CF3, halo, etc.; R5 = H, amino, amidino; R6 = nitro, C(NHR9):NR10; R7 = H, amino, nitro; R8 = H, Me], antibacterial compds., were prepd. These compds. are effective in inhibiting the action of a bacterial histidine protein kinase and are useful as anti-infective agents against a variety

of bacterial organisms, including organisms which are resistant to other known antibiotics. E.g., 3,4-diaminobenzimidate, prepd. from 3,4-diaminobenzonitrile, was treated with NH3/EtOH, then with 4-Me3CC6H4CHO to give 2-[4-(1,1-dimethylethyl)phenyl]-2H-benzimidazole-5-carboximidamide.

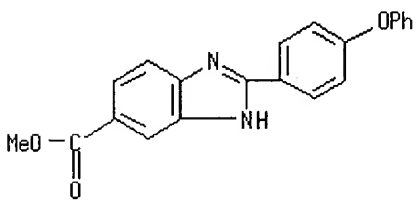
IT **220955-73-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of phenylbenzimidazoles as antibacterial agents)

RN 220955-73-7 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-(4-phenoxyphenyl)-, methyl ester (9CI) (CA INDEX NAME)



103(a) Bioisostere

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1998:634393 HCAPLUS

DOCUMENT NUMBER: 129:316174

TITLE: Synthesis of some new benzimidazolecarboxamides and evaluation of their antimicrobial activity

AUTHOR(S): Goker, Hakan; Tuncbilek, Meral; Ayhan, Gulgun; Altanlar, Nurten

CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Ankara University, Ankara, 06100, Turk.

SOURCE: Farmaco (1998), 53(6), 415-420
CODEN: FRMCE8; ISSN: 0014-827X

PUBLISHER: Elsevier Science S.A.

DOCUMENT TYPE: Journal

LANGUAGE: English

No

AB A series of 1,2-disubstituted benzimidazole-5(6)-carboxamides was prepd. and evaluated in vitro for antimicrobial activity against Staphylococcus aureus, Escherichia coli, and Candida albicans. The precursor benzimidazolecarboxylic acids were prepd. via oxidative condensation of diaminobenzoic acids with aldehydes and via several steps over the 2(1H)-benzimidazolones, resp. All acids were converted to their acyl chlorides with SOCl2, then amidified with several N,N'-dialkylaminoethyl derivs.

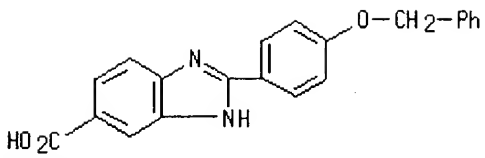
IT **174422-18-5**

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. and bactericidal and fungicidal activity of benzimidazolecarboxamides)

RN 174422-18-5 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

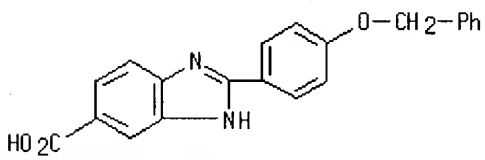
Full Text | Citing References

ACCESSION NUMBER: 1996:144268 HCAPLUS
DOCUMENT NUMBER: 124:197998
TITLE: Synthesis of 1,2-disubstituted benzimidazole-5(6)-carboxamides and evaluation of their antimicrobial activity
AUTHOR(S): Goeker, Hakan; Tebrizli, Emin; Abbasoglu, Ufuk
CORPORATE SOURCE: Faculty of Pharmacy, Univ. of Ankara, Tandogan, 06100, Turk.
SOURCE: Farmaco (1996), 51(1), 53-8
CODEN: FRMCE8
PUBLISHER: Societa Chimica Italiana
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Fourteen N'-(N,N-dialkylaminoethyl)-benzimidazole 5(6)- or 5-carboxamides having several substituents on the azole and benzene nuclei were prepd. and evaluated in vitro for antimicrobial activity. The precursor benzimidazolecarboxylic acids were prepd. via oxidative condensation of diaminobenzoic acids and several aldehydes with cupric ion. All carboxamides were prepd. from the corresponding acids and N,N-dialkylethylenediamine. Antibacterial and antifungal activities were detd. as MIC values. Compds. which were prepd. by replacement with bulky alkyl groups on the tert-N benzimidazole atom gave the best results.

IT **174422-18-5P**
RL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 1,2-disubstituted benzimidazole-5(6)-carboxamides and evaluation of their antimicrobial activity)

RN 174422-18-5 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 12 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1996:38013 HCAPLUS
DOCUMENT NUMBER: 124:202112
TITLE: Synthesis of some new benzimidazole-5(6)-carboxylic acids
AUTHOR(S): Goeker, Hakan; Oelgen, Suereyya; Ertan, Rahmiye; Akguen, Huelya; Oezbey, Sueheyla; Kendi, Engin; Topcu, Guel

CORPORATE SOURCE: Fac. Pharmacy, Ankara Univ., Ankara, 06100, Turk.
SOURCE: Journal of Heterocyclic Chemistry (1995), 32(6), 1767-73
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
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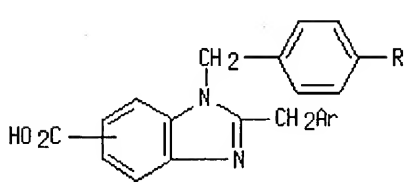

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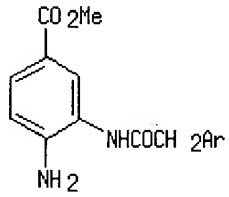

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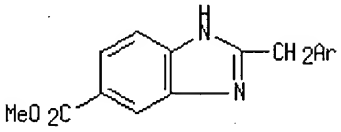

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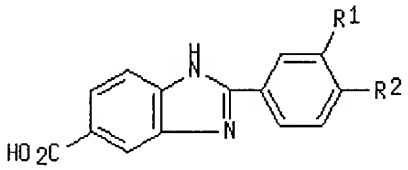


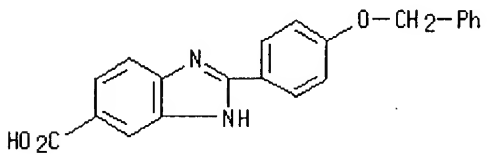
IV

AB The title compds., 1,2-dialkyl-benzimidazole-5(6)-carboxylic acids I (Ar = Ph, 4-MeC6H4, 4-ClC6H4, 2-BrC6H4, OPh, 4-ClC6H4O, etc., R = H, F, CO2H position = 5, 6) were prepd. in four steps; (1) prepn. of mono amide derivs. II by the reaction of Me 3,4-diaminobenzoate and substituted Ph or phenoxyacetic acid chlorides ArCH2COCl, (2) prepn. of the Me benzimidazolecarboxylates III, with zinc chloride and dry hydrogen chloride gas, (3) alk. hydrolysis of the esters, and (4) substitution of the imidazole ring with benzyl or p-fluorobenzyl bromide, in alkali medium. 2-Aryl-benzimidazole-5(6)-carboxylic acids IV (R1 = H, OCH2Ph, OH, R2 = OCH2Ph, OH) were prepd. via the oxidative condensation of 3,4-diaminobenzoic acid and arom. aldehydes with cupric ion.

IT **174422-18-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzimidazolecarboxylic acids)

RN 174422-18-5 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                      -9.01      -9.01

FILE 'CAOLD' ENTERED AT 00:33:48 ON 12 MAY 2004
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FILE COVERS 1907-1966
FILE LAST UPDATED:  01 May 1997 (19970501/UP)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.  Title keywords, authors, patent
  assignees, and patent information, e.g., patent numbers, are
  now searchable from 1907-1966.  TIFF images of CA abstracts
  printed between 1907-1966 are available in the PAGE
  display formats.

  This file supports REG1stRY for direct browsing and searching of
  all substance data from the REGISTRY file.  Enter HELP FIRST for
  more information.

=> d his

     (FILE 'HOME' ENTERED AT 00:12:55 ON 12 MAY 2004)

     FILE 'REGISTRY' ENTERED AT 00:13:07 ON 12 MAY 2004
L1               STRUCTURE UPLOADED
L2            25 S L1
L3           447 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004
L4            13 S L3
L5             1 S L4 AND PRIESTLEY, E?/AU
L6            12 S L4 NOT L5
L7             0 S L6 AND DECICCO, C?/AU
L8             0 S L6 AND HUDYMA, T?/AU
L9             0 S L6 AND ZHENG, X?/AU

     FILE 'CAOLD' ENTERED AT 00:33:48 ON 12 MAY 2004

=> s l3
L10           0 L3

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 10 MAY 2004 HIGHEST RN 681120-30-9
DICTIONARY FILE UPDATES: 10 MAY 2004 HIGHEST RN 681120-30-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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L11 STRUCTURE UPLOADED

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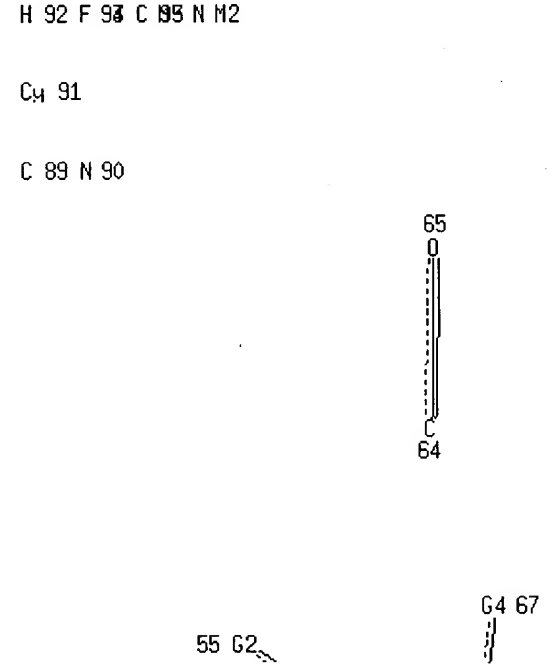
L11 HAS NO ANSWERS
L11 STR



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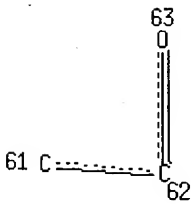

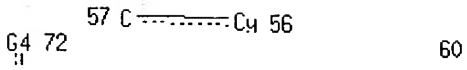

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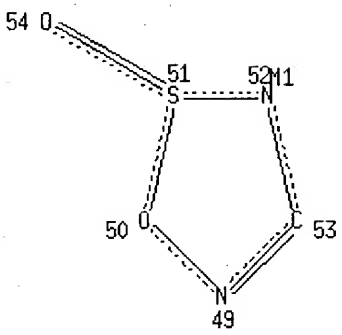

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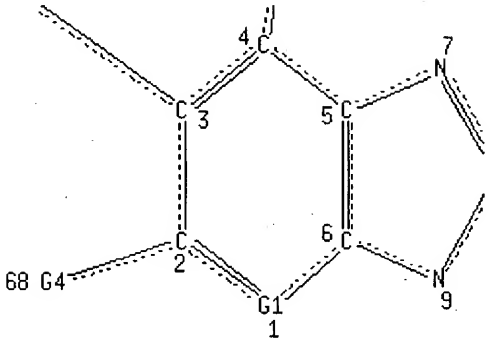

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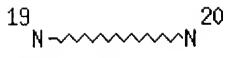

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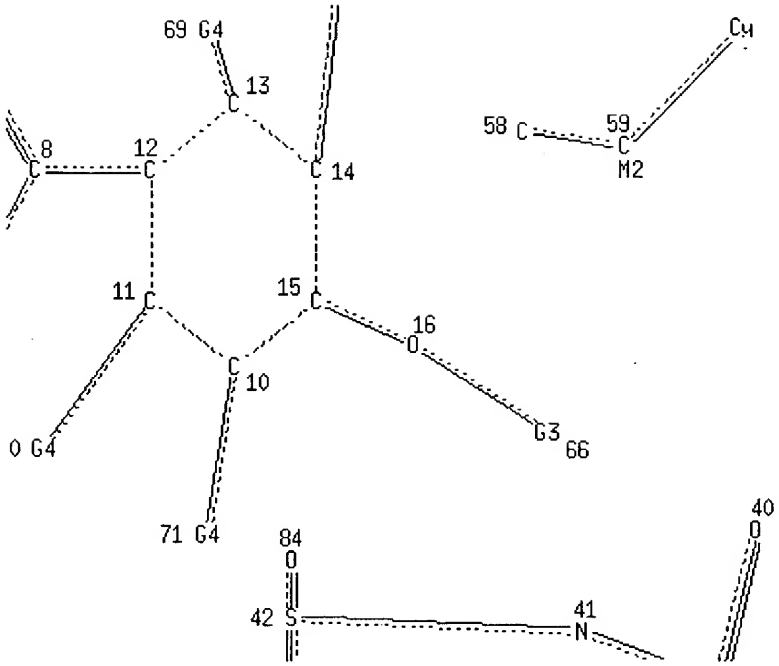

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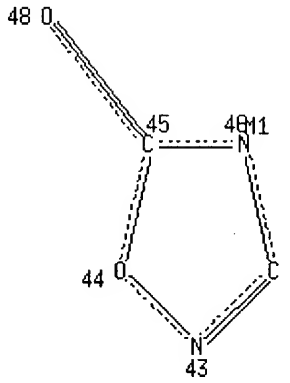

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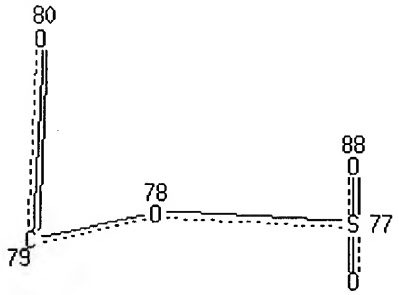

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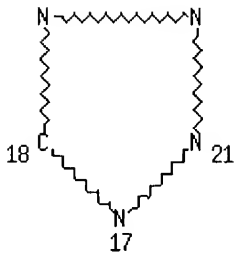

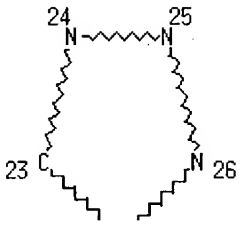

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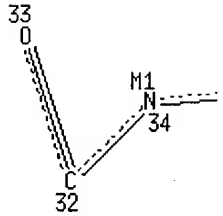

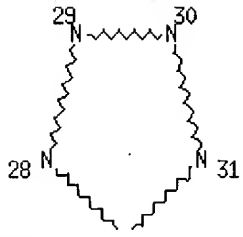

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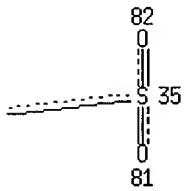

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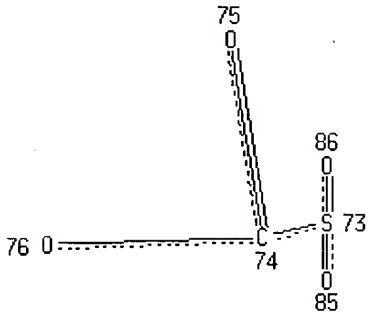

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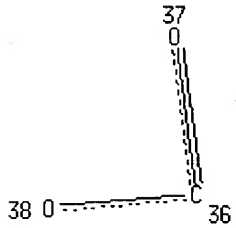
Page 4-D



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NUMBER OF NODES IS  95

STEREO ATTRIBUTES: NONE


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SAMPLE SCREEN SEARCH COMPLETED -    302 TO ITERATE

100.0% PROCESSED     302 ITERATIONS                          37 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         4998 TO     7082
PROJECTED ANSWERS:             376 TO     1104

L12          37 SEA SSS SAM L11

=> s l11 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
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FULL SCREEN SEARCH COMPLETED -   6058 TO ITERATE

100.0% PROCESSED    6058 ITERATIONS                         595 ANSWERS
SEARCH TIME: 00.00.01

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=> d his

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L2            25 S L1
L3           447 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004
L4            13 S L3
L5             1 S L4 AND PRIESTLEY, E?/AU
L6            12 S L4 NOT L5
L7             0 S L6 AND DECICCO, C?/AU
L8             0 S L6 AND HUDYMA, T?/AU
L9             0 S L6 AND ZHENG, X?/AU

     FILE 'CAOLD' ENTERED AT 00:33:48 ON 12 MAY 2004
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     FILE 'REGISTRY' ENTERED AT 00:33:56 ON 12 MAY 2004
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L13          595 S L11 FULL

=> s l13 not l3
L14          148 L13 NOT L3

=> file hcaplus
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                                                 ENTRY    SESSION
FULL ESTIMATED COST                             164.66     402.64

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                               0.00      -9.01

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FILE COVERS 1907 - 12 May 2004  VOL 140 ISS 20
FILE LAST UPDATED: 10 May 2004  (20040510/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


=> s l14/thu
             5 L14
        591649 THU/RL
L15          5 L14/THU
                 (L14 (L) THU/RL)
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=> s l15 and priestley, e?/au
            64 PRIESTLEY, E?/AU
L16          1 L15 AND PRIESTLEY, E?/AU

=> d his

     (FILE 'HOME' ENTERED AT 00:12:55 ON 12 MAY 2004)

     FILE 'REGISTRY' ENTERED AT 00:13:07 ON 12 MAY 2004
L1               STRUCTURE UPLOADED
L2           25 S L1
L3          447 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004
L4           13 S L3
L5            1 S L4 AND PRIESTLEY, E?/AU
L6           12 S L4 NOT L5
L7            0 S L6 AND DECICCO, C?/AU
L8            0 S L6 AND HUDYMA, T?/AU
L9            0 S L6 AND ZHENG, X?/AU

     FILE 'CAOLD' ENTERED AT 00:33:48 ON 12 MAY 2004
L10           0 S L3

     FILE 'REGISTRY' ENTERED AT 00:33:56 ON 12 MAY 2004
L11              STRUCTURE UPLOADED
L12          37 S L11
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L14         148 S L13 NOT L3

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L15           5 S L14/THU
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L17           0 L16 NOT L5

=> d l16, ibib abs fhitstr, 1

L16  ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2004 ACS on STN
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Full Text | Citing References

NO

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ACCESSION NUMBER:          2003:261620  HCAPLUS
DOCUMENT NUMBER:           138:287673
TITLE:                     Preparation of phenylbenzimidazole compounds useful
                           for treating hepatitis C virus
INVENTOR(S):               Priestley, Eldon Scott; Decicco, Carl P.; Hudyma,
                           Thomas W.; Zheng, Xiaofan
PATENT ASSIGNEE(S):        Bristol-Myers Squibb Company, USA
SOURCE:                    PCT Int. Appl., 74 pp.
                           CODEN: PIXXD2
DOCUMENT TYPE:             Patent
LANGUAGE:                  English
FAMILY ACC. NUM. COUNT:    1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
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     WO 2003026587      A2   20030403      WO 2002-US30989  20020926
     WO 2003026587      A3   20031106
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003134853	A1	20030717	US 2002-259041		20020926
US 2004067976	A1	20040408	US 2003-648873		20030827
PRIORITY APPLN. INFO.:			US 2001-324874P	P	20010926
			US 2002-259041	B1	20020926

OTHER SOURCE(S): MARPAT 138:287673

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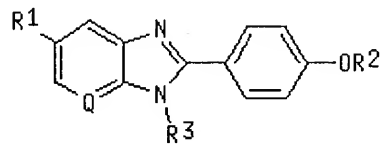

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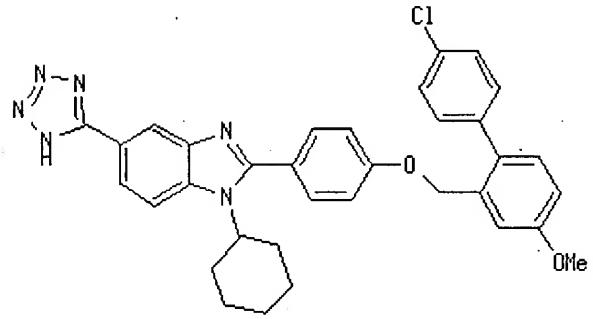


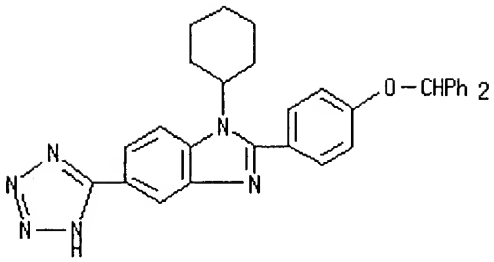
II

AB Compds. of formula I [Q = CH, N; R1 = tetrazolyl, MeCONHSO2, PhCONHSO2, etc.; R2 = CH2-aryl, CHPh2, etc.; R3 = cycloalkyl] are prepd. which are useful in treating viral hepatitis C. Thus, II was prepd. and had an IC50 of 0.14 μM against HCV NS5B RdRp (RNA-dependent RNA polymerase).

IT 503857-49-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenylbenzimidazole compds. for treating hepatitis C viral infection)

RN 503857-49-6 HCAPLUS

CN 1H-Benzimidazole, 1-cyclohexyl-2-[4-(diphenylmethoxy)phenyl]-5-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)

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=> d his

     (FILE 'HOME' ENTERED AT 00:12:55 ON 12 MAY 2004)

     FILE 'REGISTRY' ENTERED AT 00:13:07 ON 12 MAY 2004
L1              STRUCTURE UPLOADED
L2           25 S L1
L3          447 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004
L4           13 S L3
L5            1 S L4 AND PRIESTLEY, E?/AU
L6           12 S L4 NOT L5
L7            0 S L6 AND DECICCO, C?/AU
L8            0 S L6 AND HUDYMA, T?/AU
L9            0 S L6 AND ZHENG, X?/AU

     FILE 'CAOLD' ENTERED AT 00:33:48 ON 12 MAY 2004
L10           0 S L3

     FILE 'REGISTRY' ENTERED AT 00:33:56 ON 12 MAY 2004
L11             STRUCTURE UPLOADED
L12          37 S L11
L13         595 S L11 FULL
L14         148 S L13 NOT L3

     FILE 'HCAPLUS' ENTERED AT 00:47:52 ON 12 MAY 2004
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L16           1 S L15 AND PRIESTLEY, E?/AU
L17           0 S L16 NOT L5

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         3518 ZHENG, X?/AU
L22           0 L18 AND ZHENG, X?/AU
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L18  ANSWER 1 OF 4  HCAPLUS  COPYRIGHT 2004 ACS on STN
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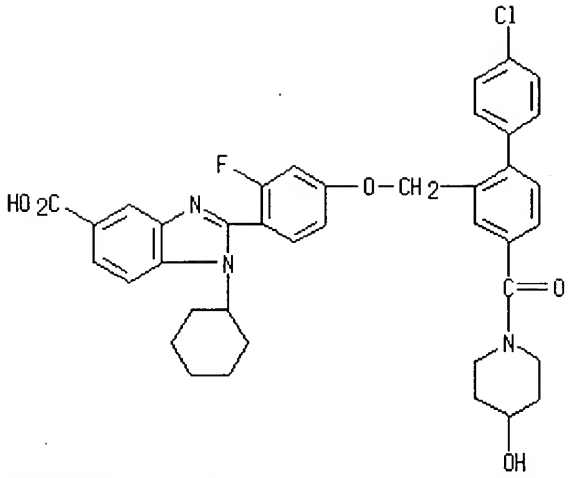
Full Text | Citing References

ACCESSION NUMBER: 2003:970508 HCAPLUS
DOCUMENT NUMBER: 140:174511
TITLE: Mechanism of action and antiviral activity of benzimidazole-based allosteric inhibitors of the hepatitis C virus RNA-dependent RNA polymerase
AUTHOR(S): Tomei, Licia; Altamura, Sergio; Bartholomew, Linda; Biroccio, Antonino; Ceccacci, Alessandra; Pacini, Laura; Narjes, Frank; Gennari, Nadia; Bisbocci, Monica; Incitti, Ilario; Orsatti, Laura; Harper, Steven; Stansfield, Ian; Rowley, Michael; De Francesco, Raffaele; Migliaccio, Giovanni
CORPORATE SOURCE: Istituto di Ricerche di Biologia Molecolare "P. Angeletti", Pomezia-Rome, 00040, Italy
SOURCE: Journal of Virology (2003), 77(24), 13225-13231
CODEN: JOVIAM; ISSN: 0022-538X
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The RNA-dependent RNA polymerase of hepatitis C virus (HCV) is the catalytic subunit of the viral RNA amplification machinery and is an appealing target for the development of new therapeutic agents against HCV infection. Nonnucleoside inhibitors based on a benzimidazole scaffold have been recently reported. Compds. of this class are efficient inhibitors of HCV RNA replication in cell culture, thus providing attractive candidates for further development. Here we report the detailed anal. of the mechanism of action of selected benzimidazole inhibitors. Kinetic data and binding expts. indicated that these compds. act as allosteric inhibitors that block the activity of the polymerase prior to the elongation step. Escape mutations that confer resistance to these compds. map to proline 495, a residue located on the surface of the polymerase thumb domain and away from the active site. Substitution of this residue is sufficient to make the HCV enzyme and replicons resistant to the inhibitors. Interestingly, proline 495 lies in a recently identified noncatalytic GTP-binding site, thus validating it as a potential allosteric site that can be targeted by small-mol. inhibitors of HCV polymerase.

IT 658693-60-8
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(mechanism of action and antiviral activity of benzimidazole-based allosteric inhibitors of hepatitis C virus RNA-dependent RNA polymerase)
RN 658693-60-8 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-[[4'-chloro-4-[(4-hydroxy-1-piperidinyl)carbonyl][1,1'-biphenyl]-2-yl]methoxy]-2-fluorophenyl]-1-cyclohexyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:203407 HCAPLUS
DOCUMENT NUMBER: 138:238181
TITLE: Preparation of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C
INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: U.S. Pat. Appl. Publ., 406 pp., Cont.-in-part of Appl. No. PCT/JP00/09181.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003050320	A1	20030313	US 2001-939374	20010824
WO 2001047883	A1	20010705	WO 2000-JP9181	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2001247550	A2	20010911	JP 2000-391904	20001225

PRIORITY APPLN. INFO.:

JP 1999-369008	A	19991227
WO 2000-JP9181	A2	20001222
JP 2000-391904	A	20001225
JP 2001-193786	A	20010626

OTHER SOURCE(S): MARPAT 138:238181
GI

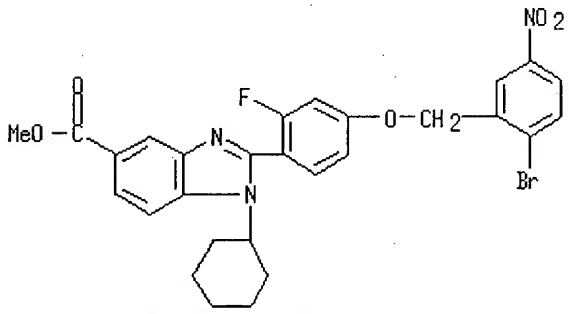
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, S, CR7, etc.; R1-R4 = H, NO2, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = Ph, cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, CN, etc.; R7 = H, alkyl] are prepd. and formulated. Compds. I showed HCV polymerase inhibitory activity (data given). E.g., a multi-step synthesis of II.HCl, starting from 2-bromo-5-nitrotoluene and Me 2-(2-fluoro-4-hydroxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylate, was given.

IT **480461-26-5P**
RL: PAC (Pharmacological activity); RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C)

RN 480461-26-5 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-[(2-bromo-5-nitrophenyl)methoxy]-2-fluorophenyl]-1-cyclohexyl-, methyl ester (9CI) (CA INDEX NAME)



Yes Pioisostere

L18 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:5773 HCAPLUS
DOCUMENT NUMBER: 138:66657
TITLE: Fused cyclic compounds and medicinal use thereof
INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 603 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000254	A1	20030103	WO 2002-JP6405	20020626

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US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2003212846	A2	20030730	JP 2002-185241	20020625
BR 2002005684	A	20030617	BR 2002-5684	20020626
EP 1400241	A1	20040324	EP 2002-743728	20020626
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US 2004082635	A1	20040429	US 2003-344997	20030218
NO 2003000832	A	20030422	NO 2003-832	20030221

PRIORITY APPLN. INFO.: JP 2001-193786 A 20010626
JP 2001-351537 A 20011116
WO 2002-JP6405 W 20020626

OTHER SOURCE(S): MARPAT 138:66657

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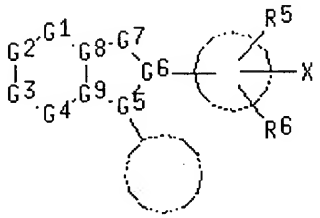


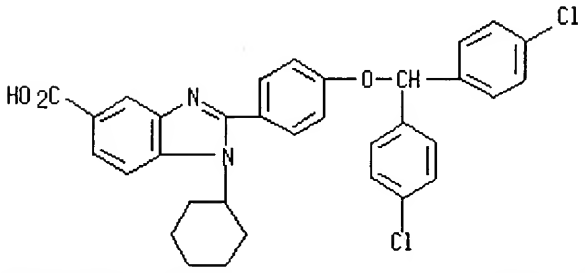
I

AB Fused cyclic compds. represented by the following general formula [I] or pharmaceutically acceptable salts thereof and remedies for hepatitis C contg. these compds.: I wherein each symbol is as defined in the description. Because of having an effect against hepatitis C virus (HVC) based on an HCV polymerase inhibitory effect, these compds. are useful as remedies or preventives for hepatitis C.

IT **347166-38-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(fused cyclic compds. as hepatitis C virus polymerase inhibitors and antiviral agents)

RN 347166-38-5 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-[bis(4-chlorophenyl)methoxy]phenyl]-1-cyclohexyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2001:489367 HCAPLUS
DOCUMENT NUMBER: 135:76874
TITLE: Preparation of heterocyclic compounds as remedies for hepatitis C
INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 438 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047883	A1	20010705	WO 2000-JP9181	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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TR 200103147	T1	20020621	TR 2001-200103147	20001222
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AU 763356	B2	20030717	AU 2001-24017	20001222
RU 2223761	C2	20040220	RU 2001-126283	20001222
NO 2001004134	A	20011022	NO 2001-4134	20010824
US 2003050320	A1	20030313	US 2001-939374	20010824
ZA 2001007870	A	20020925	ZA 2001-7870	20010928

PRIORITY APPLN. INFO.:		
JP 1999-369008	A	19991227
WO 2000-JP9181	W	20001222
JP 2000-391904	A	20001225
JP 2001-193786	A	20010626

OTHER SOURCE(S): MARPAT 135:76874
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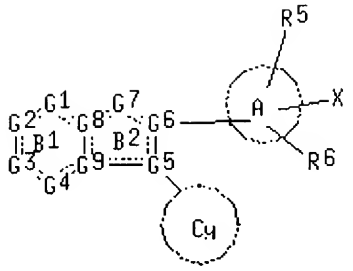

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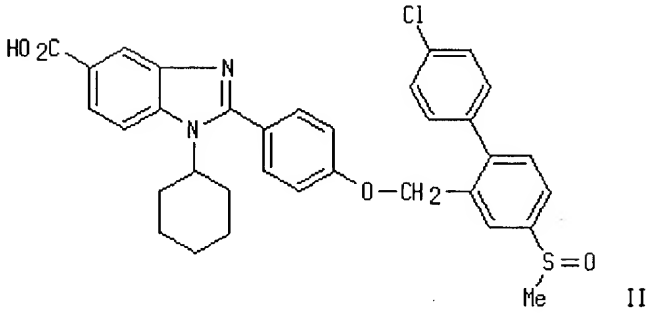


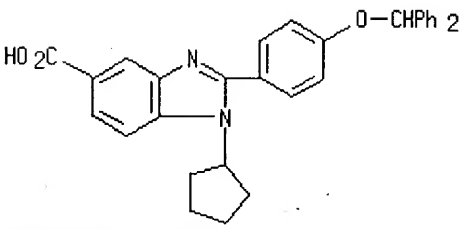
II

AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, etc.; R1 - R4 = H, nitro, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = C3-C8 cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, cyano, etc.] are prepd. The benzimidazole deriv. II in vitro showed IC50 of 0.011 μM against hepatitis C virus polymerase. A formulation is given.

IT 347165-90-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as remedies for hepatitis C)

RN 347165-90-6 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclopentyl-2-[4-(diphenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file caold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	33.22	435.86

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.47	-12.48

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FILE 'CAOLD' ENTERED AT 00:50:07 ON 12 MAY 2004
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907-1966
FILE LAST UPDATED:  01 May 1997 (19970501/UP)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.  Title keywords, authors, patent
  assignees, and patent information, e.g., patent numbers, are
  now searchable from 1907-1966.  TIFF images of CA abstracts
  printed between 1907-1966 are available in the PAGE
  display formats.

  This file supports REG1stRY for direct browsing and searching of
  all substance data from the REGISTRY file.  Enter HELP FIRST for
  more information.

=> d his

     (FILE 'HOME' ENTERED AT 00:12:55 ON 12 MAY 2004)

     FILE 'REGISTRY' ENTERED AT 00:13:07 ON 12 MAY 2004
L1             STRUCTURE UPLOADED
L2          25 S L1
L3         447 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004
L4          13 S L3
L5           1 S L4 AND PRIESTLEY, E?/AU
L6          12 S L4 NOT L5
L7           0 S L6 AND DECICCO, C?/AU
L8           0 S L6 AND HUDYMA, T?/AU
L9           0 S L6 AND ZHENG, X?/AU

     FILE 'CAOLD' ENTERED AT 00:33:48 ON 12 MAY 2004
L10          0 S L3

     FILE 'REGISTRY' ENTERED AT 00:33:56 ON 12 MAY 2004
L11            STRUCTURE UPLOADED
L12         37 S L11
L13        595 S L11 FULL
L14        148 S L13 NOT L3

     FILE 'HCAPLUS' ENTERED AT 00:47:52 ON 12 MAY 2004
L15          5 S L14/THU
L16          1 S L15 AND PRIESTLEY, E?/AU
L17          0 S L16 NOT L5
L18          4 S L15 NOT L16
L19          1 S L15 AND DECICCO, C?/AU
L20          0 S L19 NOT L16
L21          0 S L18 AND HYDYMA, T?/AU
L22          0 S L18 AND ZHENG, X?/AU

     FILE 'CAOLD' ENTERED AT 00:50:07 ON 12 MAY 2004

=> s l14
L23          0 L14
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=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     3.36     439.22

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00     -12.48

FILE 'REGISTRY' ENTERED AT 00:54:59 ON 12 MAY 2004
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STRUCTURE FILE UPDATES:   10 MAY 2004  HIGHEST RN 681120-30-9
DICTIONARY FILE UPDATES:  10 MAY 2004  HIGHEST RN 681120-30-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
L24      STRUCTURE UPLOADED

=> d l24
L24 HAS NO ANSWERS
L24                STR
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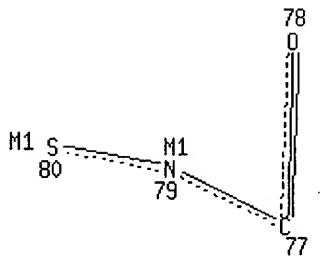


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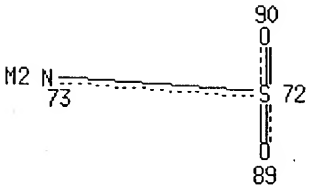

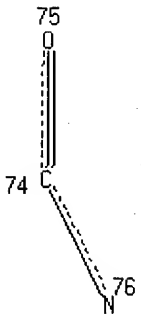

Page 1-E

C 47

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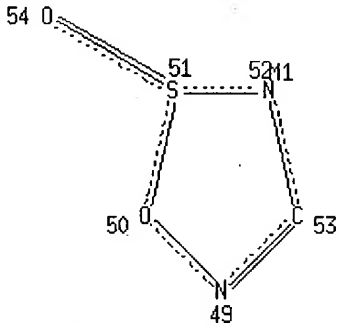

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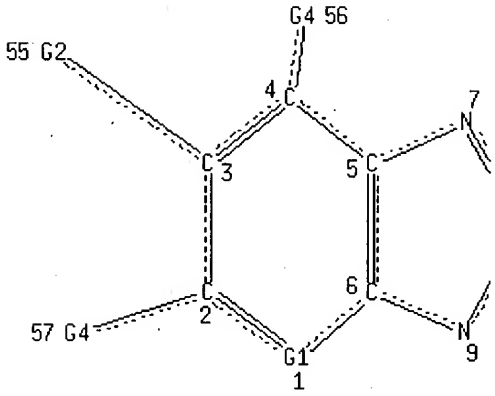

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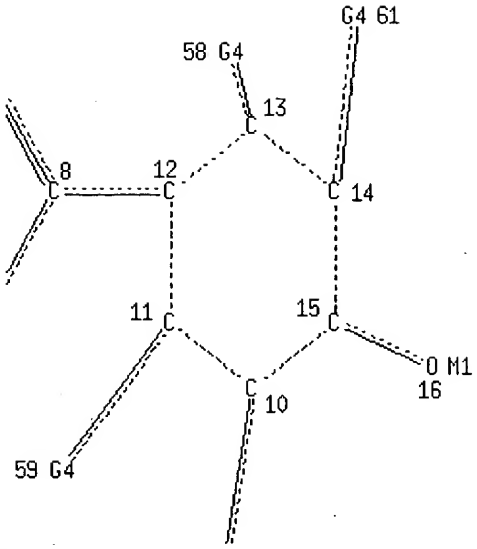

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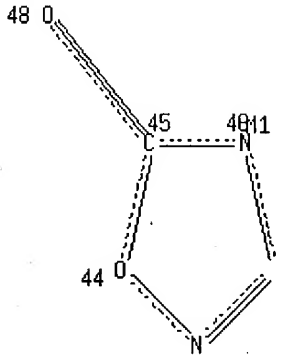

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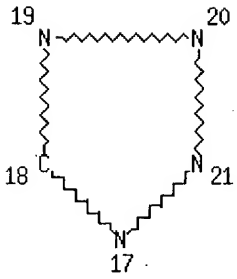
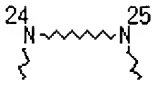
Page 3-B





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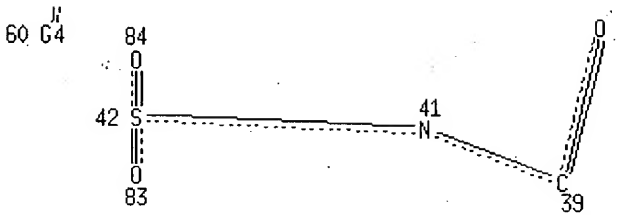

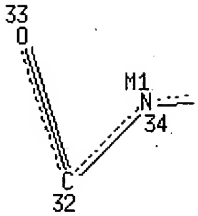

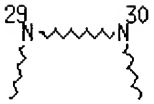

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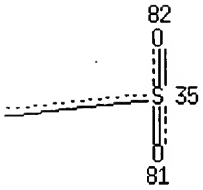

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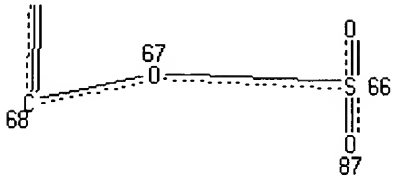

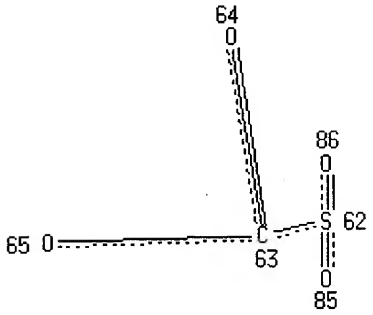

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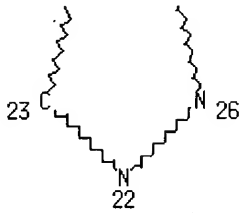

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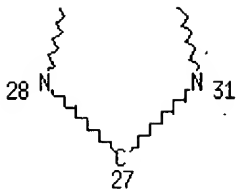

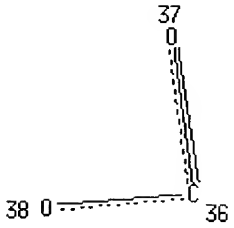

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VAR G4=93/94/95/96/97
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NUMBER OF NODES IS  98

STEREO ATTRIBUTES: NONE


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SAMPLE SCREEN SEARCH COMPLETED -    303 TO ITERATE

100.0% PROCESSED     303 ITERATIONS                              1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         5016 TO     7104
PROJECTED ANSWERS:               1 TO       80

L25           1 SEA SSS SAM L24

=> s l24 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 01:11:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   6201 TO ITERATE

100.0% PROCESSED    6201 ITERATIONS                             18 ANSWERS
SEARCH TIME: 00.00.01

L26          18 SEA SSS FUL L24

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   166.76     605.98

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00     -12.48

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STRUCTURE FILE UPDATES:   10 MAY 2004  HIGHEST RN 681120-30-9
DICTIONARY FILE UPDATES:  10 MAY 2004  HIGHEST RN 681120-30-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
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http://www.cas.org/ONLINE/DBSS/registryss.html

=> s l26/thu
'THU' IS NOT A VALID CROSSOVER QUALIFIER FOR L26
Answer sets created in a different file may be field qualified with a
limited set of qualifiers.  Enter HELP CROSSOVER at an arrow prompt
(=>) for specific information.

=> file hcaplus
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                 0.42     606.40

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                 0.00     -12.48

FILE 'HCAPLUS' ENTERED AT 01:11:52 ON 12 MAY 2004
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FILE COVERS 1907 - 12 May 2004  VOL 140 ISS 20
FILE LAST UPDATED: 10 May 2004  (20040510/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


=> d his

    (FILE 'HOME' ENTERED AT 00:12:55 ON 12 MAY 2004)

    FILE 'REGISTRY' ENTERED AT 00:13:07 ON 12 MAY 2004
L1              STRUCTURE UPLOADED
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L2            25 S L1
L3           447 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004
L4            13 S L3
L5             1 S L4 AND PRIESTLEY, E?/AU
L6            12 S L4 NOT L5
L7             0 S L6 AND DECICCO, C?/AU
L8             0 S L6 AND HUDYMA, T?/AU
L9             0 S L6 AND ZHENG, X?/AU

     FILE 'CAOLD' ENTERED AT 00:33:48 ON 12 MAY 2004
L10            0 S L3

     FILE 'REGISTRY' ENTERED AT 00:33:56 ON 12 MAY 2004
L11              STRUCTURE UPLOADED
L12           37 S L11
L13          595 S L11 FULL
L14          148 S L13 NOT L3

     FILE 'HCAPLUS' ENTERED AT 00:47:52 ON 12 MAY 2004
L15            5 S L14/THU
L16            1 S L15 AND PRIESTLEY, E?/AU
L17            0 S L16 NOT L5
L18            4 S L15 NOT L16
L19            1 S L15 AND DECICCO, C?/AU
L20            0 S L19 NOT L16
L21            0 S L18 AND HYDYMA, T?/AU
L22            0 S L18 AND ZHENG, X?/AU

     FILE 'CAOLD' ENTERED AT 00:50:07 ON 12 MAY 2004
L23            0 S L14

     FILE 'REGISTRY' ENTERED AT 00:54:59 ON 12 MAY 2004
L24              STRUCTURE UPLOADED
L25            1 S L24
L26           18 S L24 FULL

     FILE 'REGISTRY' ENTERED AT 01:11:38 ON 12 MAY 2004

     FILE 'HCAPLUS' ENTERED AT 01:11:52 ON 12 MAY 2004

=> s l26/thu
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        591649 THU/RL
L27          3 L26/THU
                 (L26 (L) THU/RL)

=> d l27, ibib abs fhitstr, 1-3

L27  ANSWER 1 OF 3  HCAPLUS  COPYRIGHT 2004 ACS on STN
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Full Text | Citing References

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ACCESSION NUMBER:          2003:633749  HCAPLUS
DOCUMENT NUMBER:           139:180347
TITLE:                     Preparation of histogranin-like peptides and
                           non-peptides
INVENTOR(S):               Lemaire, Simon; Bernatchez-Lemaire, Irma; Le,
                           Hoang-Tanh
PATENT ASSIGNEE(S):        University of Ottawa, Can.
```

SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003066673	A1	20030814	WO 2003-CA148	20030205
WO 2003066673	C1	20031204		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003176329	A1	20030918	US 2002-68905		20020207

PRIORITY APPLN. INFO.: US 2002-68905 A 20020207
OTHER SOURCE(S): MARPAT 139:180347
GI

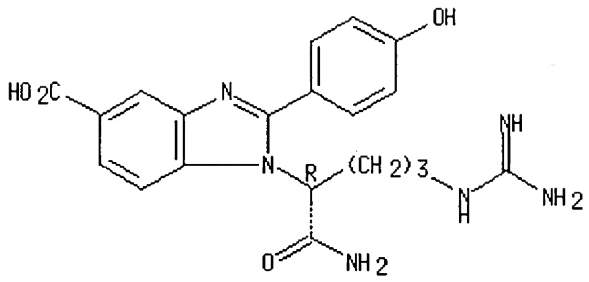
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to new basic amino acid derivs. I, II and III [A is H, alkyl, or hydroxyalkyl; B is guanidinoalkyl, 4-imidazolylalkyl, aminoalkyl, p-aminophenylalkyl, p-guanidinophenylalkyl, or 4-pyridinylalkyl; D is CO, CO-alkylene, or alkylene; E is a single bond or alkylene; Z is NH2, amino groups, OH, alkoxy, benzyloxy, or halobenzyl; R1-R5 are independently H or various substituents] and to their prepn. and use in treatment of pain. The compds. have histogranin-like antinociceptive, morphine potentiating and COX-2 induction modulating activities. Thus, cyclo[Gly-(p-chloro)Phe-Tyr-D-Arg] (I-1) was prepd. on an oxime resin using tert-butoxycarbonyl (Boc) protection and cleaved from the resin using intrachain aminolysis in the presence of AcOH and diisopropylethylamine. I-1 showed AD50 = 0.17 nmol/mouse and an analgesic potency ratio of 135 relative to histogranin in a mouse writhing pain assay.

IT 573720-54-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of histogranin-like peptides and non-peptides)

RN 573720-54-4 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 1-[(1R)-1-(aminocarbonyl)-4-[(aminoiminomethyl)amino]butyl]-2-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:203407 HCAPLUS
DOCUMENT NUMBER: 138:238181
TITLE: Preparation of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C
INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: U.S. Pat. Appl. Publ., 406 pp., Cont.-in-part of Appl. No. PCT/JP00/09181.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003050320	A1	20030313	US 2001-939374	20010824
WO 2001047883	A1	20010705	WO 2000-JP9181	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2001247550	A2	20010911	JP 2000-391904	20001225

PRIORITY APPLN. INFO.:

JP 1999-369008	A	19991227
WO 2000-JP9181	A2	20001222
JP 2000-391904	A	20001225
JP 2001-193786	A	20010626

OTHER SOURCE(S): MARPAT 138:238181
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5,

G6, G8, G9 = C, N; G7 = O, S, CR7, etc.; R1-R4 = H, NO2, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = Ph, cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, CN, etc.; R7 = H, alkyl] are prepd. and formulated. Compds. I showed HCV polymerase inhibitory activity (data given). E.g., a multi-step synthesis of II.HCl, starting from 2-bromo-5-nitrotoluene and Me 2-(2-fluoro-4-hydroxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylate, was given.

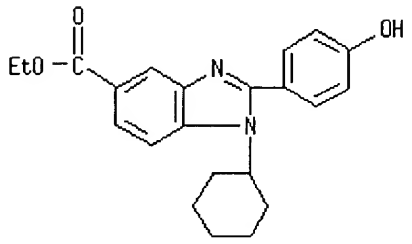
IT 347165-36-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C)

RN 347165-36-0 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-(4-hydroxyphenyl)-, ethyl ester (9CI) (CA INDEX NAME)



L27 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2001:489367 HCAPLUS
DOCUMENT NUMBER: 135:76874
TITLE: Preparation of heterocyclic compounds as remedies for hepatitis C
INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 438 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047883	A1	20010705	WO 2000-JP9181	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1162196	A1	20011212	EP 2000-987728	20001222
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TR 200103147	T1	20020621	TR 2001-20010314	7	20001222
NZ 514403	A	20021025	NZ 2000-514403		20001222
AU 763356	B2	20030717	AU 2001-24017		20001222
RU 2223761	C2	20040220	RU 2001-126283		20001222
NO 2001004134	A	20011022	NO 2001-4134		20010824
US 2003050320	A1	20030313	US 2001-939374		20010824
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PRIORITY APPLN. INFO.:

JP 1999-369008	A	19991227
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JP 2000-391904	A	20001225
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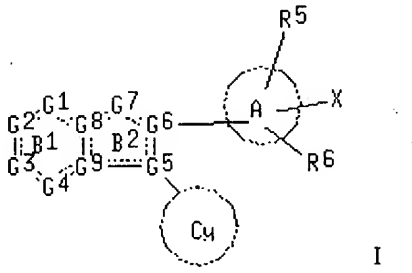
OTHER SOURCE(S): MARPAT 135:76874

GI



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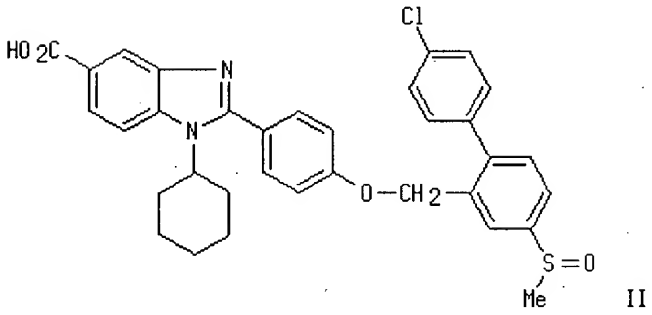


II

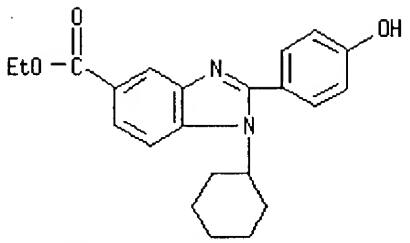
AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, etc.; R1 - R4 = H, nitro, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = C3-C8 cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, cyano, etc.] are prepd. The benzimidazole deriv. II in vitro showed IC50 of 0.011 μM against hepatitis C virus polymerase. A formulation is given.

IT **347165-36-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as remedies for hepatitis C)

RN 347165-36-0 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-(4-hydroxyphenyl)-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE COVERS 1907-1966
FILE LAST UPDATED:  01 May 1997 (19970501/UP)

  This file contains CAS Registry Numbers for easy and accurate
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  assignees, and patent information, e.g., patent numbers, are
  now searchable from 1907-1966.  TIFF images of CA abstracts
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  display formats.

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=> d his

     (FILE 'HOME' ENTERED AT 00:12:55 ON 12 MAY 2004)

     FILE 'REGISTRY' ENTERED AT 00:13:07 ON 12 MAY 2004
L1              STRUCTURE UPLOADED
L2           25 S L1
L3          447 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004
L4           13 S L3
L5            1 S L4 AND PRIESTLEY, E?/AU
L6           12 S L4 NOT L5
L7            0 S L6 AND DECICCO, C?/AU
L8            0 S L6 AND HUDYMA, T?/AU
L9            0 S L6 AND ZHENG, X?/AU

     FILE 'CAOLD' ENTERED AT 00:33:48 ON 12 MAY 2004
L10           0 S L3
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     FILE 'REGISTRY' ENTERED AT 00:33:56 ON 12 MAY 2004
L11             STRUCTURE UPLOADED
L12           37 S L11
L13          595 S L11 FULL
L14          148 S L13 NOT L3

     FILE 'HCAPLUS' ENTERED AT 00:47:52 ON 12 MAY 2004
L15            5 S L14/THU
L16            1 S L15 AND PRIESTLEY, E?/AU
L17            0 S L16 NOT L5
L18            4 S L15 NOT L16
L19            1 S L15 AND DECICCO, C?/AU
L20            0 S L19 NOT L16
L21            0 S L18 AND HYDYMA, T?/AU
L22            0 S L18 AND ZHENG, X?/AU

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L23            0 S L14

     FILE 'REGISTRY' ENTERED AT 00:54:59 ON 12 MAY 2004
L24             STRUCTURE UPLOADED
L25            1 S L24
L26           18 S L24 FULL

     FILE 'REGISTRY' ENTERED AT 01:11:38 ON 12 MAY 2004

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L27            3 S L26/THU

     FILE 'CAOLD' ENTERED AT 01:12:49 ON 12 MAY 2004

=> s 126
L28            0 L26

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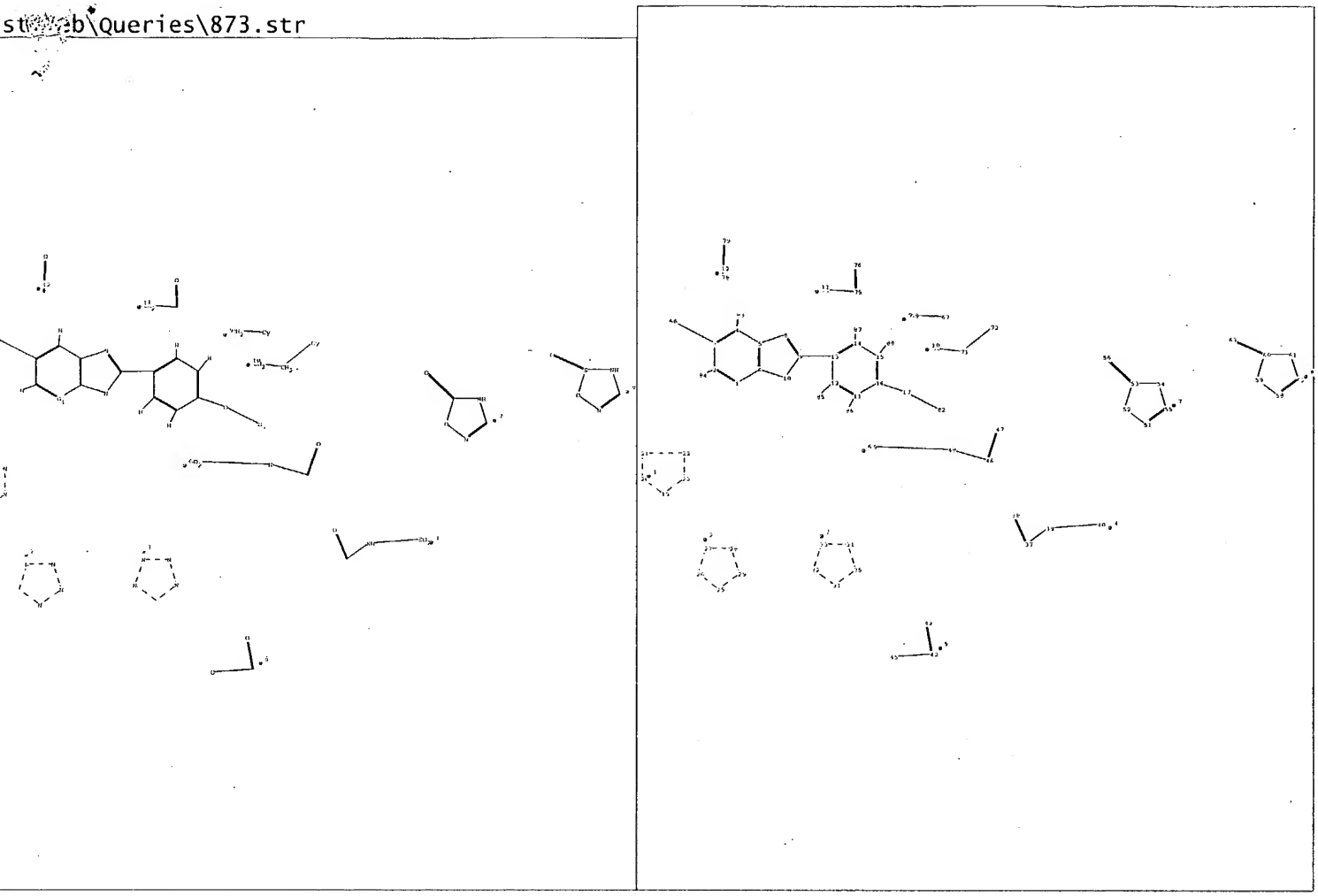

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17 37 38 39 40 42 43 45 46 47 48 49 56 63 66 67 68 70 71 72 74 75 76
78 79 82 83 84 85 86 87 88
g nodes :
1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 19 20 21 22 23 25 26 27 28 29
31 32 33 34 35 51 52 53 54 55 58 59 60 61 62
in bonds :
2-84 3-66 4-83 9-13 11-86 12-85 14-87 15-88 16-17 17-82 37-38 37-39 39-40
42-43 42-45 46-47 46-48 48-49 53-56 60-63 67-68 70-71 71-72 74-75 75-76 78-79
g bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-8 6-10 8-9 9-10 11-12 11-16 12-13 13-14 14-15
15-16 19-20 19-23 20-21 21-22 22-23 25-26 25-29 26-27 27-28 28-29 31-32 31-35
32-33 33-34 34-35 51-52 51-55 52-53 53-54 54-55 58-59 58-62 59-60 60-61 61-62
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14-87 15-88 16-17 17-82 19-20 19-23 20-21 21-22 22-23 25-26 25-29 26-27 27-28
28-29 31-32 31-35 32-33 33-34 34-35 37-38 37-39 39-40 42-43 42-45 46-47 46-48
48-49 51-52 51-55 52-53 53-54 53-56 54-55 58-59 58-62 59-60 60-61 60-63 61-62
67-68 70-71 71-72 74-75 75-76 78-79
malized bonds :
11-12 11-16 12-13 13-14 14-15 15-16
lated ring systems :
containing 1 : 11 : 51 : 58 :

C,N

[*1],[*2],[*3],[*4],[*5],[*6],[*7],[*8]

Cy,[*9],[*10],[*11],[*12]

ch level :
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13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom
25:Atom

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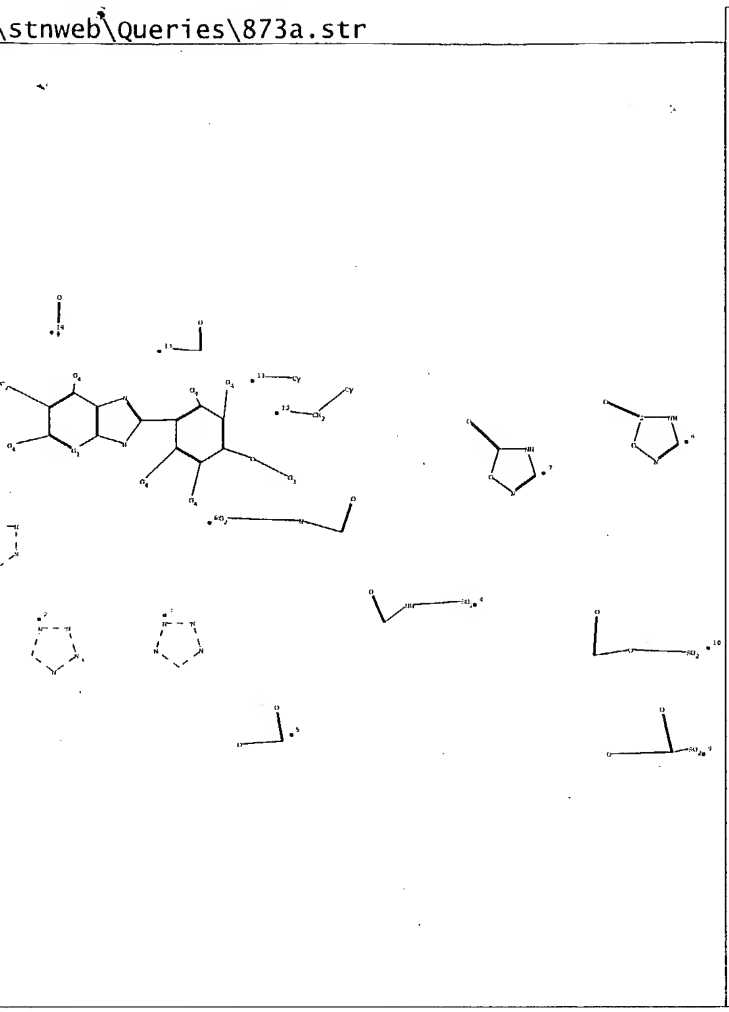

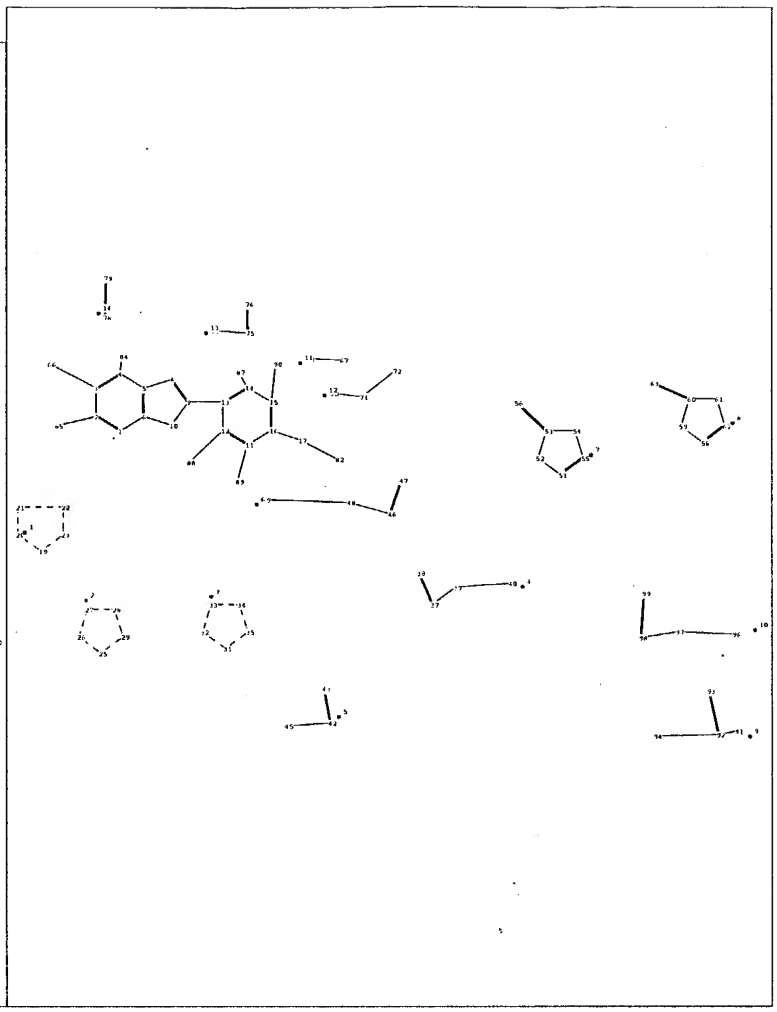

ain nodes :
17 37 38 39 40 42 43 45 46 47 48 49 56 63 66 67 68 70 71 72 74 75 76
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ng nodes :
1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 19 20 21 22 23 25 26 27 28 29
31 32 33 34 35 51 52 53 54 55 58 59 60 61 62
ain bonds :
2-85 3-66 4-84 9-13 11-89 12-88 14-87 15-90 16-17 17-82 37-38 37-39 39-40
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14-87 15-90 16-17 17-82 19-20 19-23 20-21 21-22 22-23 25-26 25-29 26-27 27-28
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67-68 70-71 71-72 74-75 75-76 78-79 91-92 92-93 92-94 96-97 97-98 98-99
rmalized bonds :
11-12 11-16 12-13 13-14 14-15 15-16
olated ring systems :
containing 1 : 11 : 51 : 58 :

C,N

[*1],[*2],[*3],[*4],[*5],[*6],[*7],[*8],[*9],[*10]

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tch level :

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L1             STRUCTURE UPLOADED
L2           25 S L1
L3          447 S L1 FULL

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L4           13 S L3
L5            1 S L4 AND PRIESTLEY, E?/AU
L6           12 S L4 NOT L5
L7            0 S L6 AND DECICCO, C?/AU
L8            0 S L6 AND HUDYMA, T?/AU
L9            0 S L6 AND ZHENG, X?/AU

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L11            STRUCTURE UPLOADED
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L20           0 S L19 NOT L16
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NEWS  1              Web Page URLs for STN Seminar Schedule - N. America
NEWS  2              "Ask CAS" for self-help around the clock
NEWS  3   JAN 27     Source of Registration (SR) information in REGISTRY updated
                     and searchable
NEWS  4   JAN 27     A new search aid, the Company Name Thesaurus, available in
                     CA/CAplus
NEWS  5   FEB 05     German (DE) application and patent publication number format
                     changes
NEWS  6   MAR 03     MEDLINE and LMEDLINE reloaded
NEWS  7   MAR 03     MEDLINE file segment of TOXCENTER reloaded
NEWS  8   MAR 03     FRANCEPAT now available on STN
NEWS  9   MAR 29     Pharmaceutical Substances (PS) now available on STN
NEWS 10   MAR 29     WPIFV now available on STN
NEWS 11   MAR 29     New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS 12   APR 26     PROMT: New display field available
NEWS 13   APR 26     IFIPAT/IFIUDB/IFICDB: New super search and display field
                     available
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NEWS 15   APR 27     NLDB: New search and display fields available
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NEWS 17   May 10     PROUSDDR: One FREE connect hour, per account, in both May
                     and June 2004

NEWS EXPRESS  MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
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              AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
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DICTIONARY FILE UPDATES:   10 MAY 2004  HIGHEST RN 681120-30-9

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http://www.cas.org/ONLINE/DBSS/registryss.html

=>
L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR

=> s l1
SAMPLE SEARCH INITIATED 00:32:07 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    302 TO ITERATE

100.0% PROCESSED     302 ITERATIONS                          25 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         4998 TO     7082
PROJECTED ANSWERS:             200 TO      800

L2           25 SEA SSS SAM L1

=> s l1 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 00:32:12 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   6058 TO ITERATE

100.0% PROCESSED    6058 ITERATIONS                         447 ANSWERS
SEARCH TIME: 00.00.01

L3          447 SEA SSS FUL L1

=> file hcaplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             168.44     168.65

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FILE COVERS 1907 - 12 May 2004 VOL 140 ISS 20
FILE LAST UPDATED: 10 May 2004 (20040510/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4           13 L3

=> s l4 and priestley, e?/au
             64 PRIESTLEY, E?/AU
L5            1 L4 AND PRIESTLEY, E?/AU

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L5 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:261620 HCAPLUS
DOCUMENT NUMBER: 138:287673
TITLE: Preparation of phenylbenzimidazole compounds useful for treating hepatitis C virus
INVENTOR(S): **Priestley, Eldon Scott**; Decicco, Carl P.; Hudyma, Thomas W.; Zheng, Xiaofan
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003026587	A2	20030403	WO 2002-US30989	20020926
WO 2003026587	A3	20031106		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003134853	A1	20030717	US 2002-259041	20020926
US 2004067976	A1	20040408	US 2003-648873	20030827

PRIORITY APPLN. INFO.: US 2001-324874P P 20010926
US 2002-259041 B1 20020926
OTHER SOURCE(S): MARPAT 138:287673

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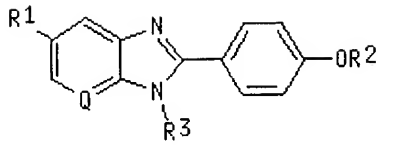

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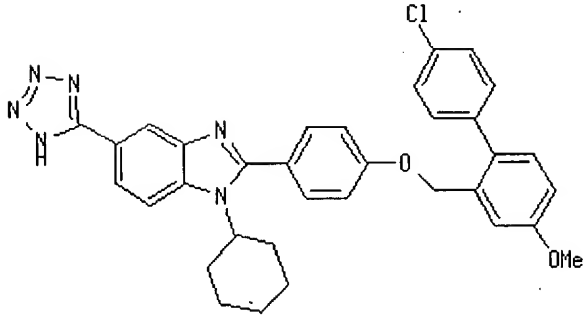


II

AB Compds. of formula I [Q = CH, N; R1 = tetrazolyl, MeCONHSO2, PhCONHSO2, etc.; R2 = CH2-aryl, CHPh2, etc.; R3 = cycloalkyl] are prepd. which are useful in treating viral hepatitis C. Thus, II was prepd. and had an IC50 of 0.14 μM against HCV NS5B RdRp (RNA-dependent RNA polymerase).

IT **503857-56-5P**

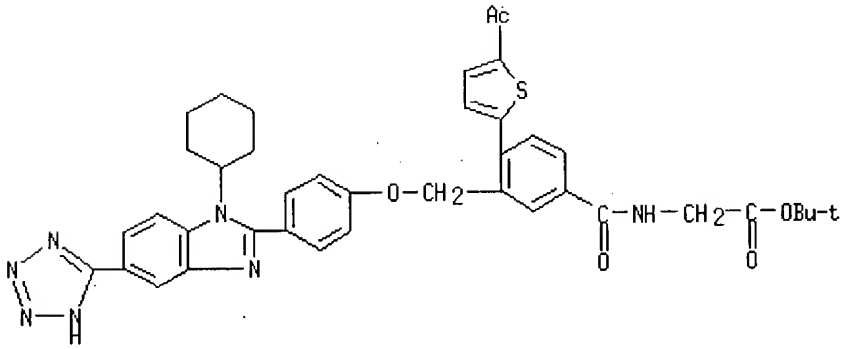
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of phenylbenzimidazole compds. for treating hepatitis C viral infection)

RN 503857-56-5 HCAPLUS

CN Glycine, N-[4-(5-acetyl-2-thienyl)-3-[[4-[1-cyclohexyl-5-(1H-tetrazol-5-yl)-1H-benzimidazol-2-yl]phenoxy]methyl]benzoyl]-, 1,1-dimethylethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

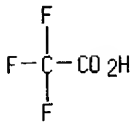
CM 1

CRN 503857-55-4
CMF C40 H41 N7 O5 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



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L1                STRUCTURE UPLOADED
L2             25 S L1
L3            447 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004
L4             13 S L3
L5              1 S L4 AND PRIESTLEY, E?/AU

=> s l4 not l5
L6             12 L4 NOT L5

=> s l6 and decicco, c?/au
            125 DECICCO, C?/AU
L7            0 L6 AND DECICCO, C?/AU

=> s l6 and hudyma, t?/au
             45 HUDYMA, T?/AU
L8            0 L6 AND HUDYMA, T?/AU

=> s l6 and zheng, x?/au
           3518 ZHENG, X?/AU
L9            0 L6 AND ZHENG, X?/AU

=> d l6, ibib abs fhitstr, 1-12

L6   ANSWER 1 OF 12  HCAPLUS  COPYRIGHT 2004 ACS on STN
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Full Text | Citing References

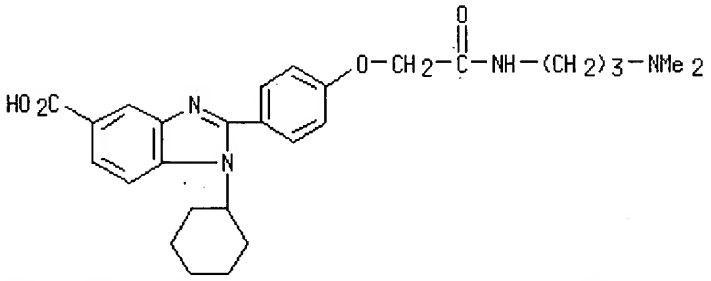
ACCESSION NUMBER: 2003:981461 HCAPLUS
DOCUMENT NUMBER: 140:246106
TITLE: Non-nucleoside inhibitors of the hepatitis C virus NS5B polymerase: discovery and preliminary SAR of benzimidazole derivatives
AUTHOR(S): Beaulieu, Pierre L.; Bos, Michael; Bousquet, Yves; Fazal, Gulrez; Gauthier, Jean; Gillard, James; Goulet, Sylvie; LaPlante, Steven; Poupart, Marc-Andre; Lefebvre, Sylvain; McKercher, Ginette; Pellerin, Charles; Austel, Volkhard; Kukolj, George
CORPORATE SOURCE: Department of Chemistry, Research and Development, Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S 2G5, Can.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(1), 119-124
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Benzimidazole 5-carboxamide derivs. from a combinatorial screening library were discovered as specific inhibitors of the NS5B polymerase of the hepatitis C virus (HCV). Initial hit-to-lead activities taking advantage of high-throughput parallel synthetic techniques, identified a 1,2-disubstituted benzimidazole 5-carboxylic acid scaffold as the min. core for biol. activity. Potent analogs in this series inhibit the polymerase at low micromolar concns. and provide an attractive 'drug-like' lead structure for further optimization and the development of potential HCV therapeutics.

IT 390815-16-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(discovery and preliminary SAR of benzimidazole derivs. as inhibitors of hepatitis C virus NS5B polymerase)

RN 390815-16-4 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[4-[2-[[3-(dimethylamino)propyl]amino]-2-oxoethoxy]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:319709 HCAPLUS
DOCUMENT NUMBER: 138:338144
TITLE: Preparation of 2-phenyl benzimidazoles and imidazo-[4,5]pyridines as Cds1/Chk2-inhibitors and adjuvants to chemotherapy or radiation therapy in the treatment of cancer
INVENTOR(S): Arienti, Kristen L.; Axe, Frank U.; Breitenbucher, J. Guy; Huang, Liming; Lee, Alice; McClure, Kelly J.
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003032984	A1	20030424	WO 2002-US33371	20021018
WO 2003032984	C1	20031120		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003176438	A1	20030918	US 2002-273487		20021018
NO 2003002759	A	20030818	NO 2003-2759		20030617
PRIORITY APPLN. INFO.:			US 2001-330304P	P	20011019
			WO 2002-US33371	W	20021018

OTHER SOURCE(S): MARPAT 138:338144
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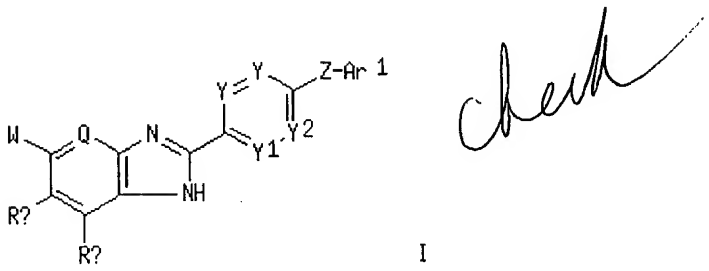
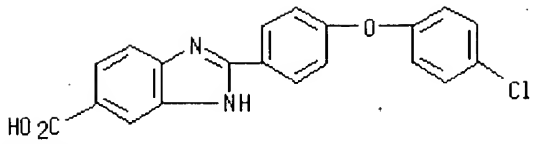


I

AB 2-Aryl-substituted benzimidazoles and imidazo[4,5]pyridines (shown as I; e.g. 2-[4-(4-chlorophenoxy)phenyl]-1H-benzimidazole-5-carboxylic acid amide (II)) are disclosed as inhibitors of Cds1 and useful as adjuvants to chemotherapy or radiation therapy in the treatment of cancer. For I: W is COOH, -C(O)NHR1, or -SO2NHR1 (R1 is H or C1-4alkyl); Q is N or CH; Ra and Rb are H or halogen; Y, Y1 and Y2 = N and C-Rc with the proviso that 0, 1 or 2 of Y, Y1 and Y2 are N and at least 2 of Rc must be H; Rc = -H, -OH, -C1-6alkyl, -SCF3, halo, -CF3 and -OCF3; Z = O, S, SO, SO2, SO2NR2, NR2SO2, NH, CONR2, piperazinediyl or a covalent bond; R2 is H or C1-4alkyl; Ar1 is an arom. group as defined in the claims. IC50 values are reported for inhibition of human Cds1 checkpoint kinase by 103 examples of I, e.g. 3 nM for 2-[4-(4-chloro-3-trifluoromethylphenoxy)phenyl]-1H-benzimidazole-5-carboxylic acid amide. Addnl. studies were (i) detn. of the effect of II on tumor cell line clonogenic survival, (ii) effect of II on tumor growth in murine xenograft models, (iii) detn. of the effect of 14 examples of I on radiation-induced apoptosis in isolated primary cells, and (iv) detn. of the effect of II on radiation-induced apoptosis in splenocytes in vivo. Although the methods of prepn. are not claimed, ~100 example prepns. are included.

IT **516480-80-1P**, 2-[4-(4-Chlorophenoxy)phenyl]-1H-benzimidazole-5-carboxylic acid
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; prepn. of benzimidazoles and imidazopyridines as Cds1/Chk2-inhibitors and adjuvants to chemotherapy or radiation therapy in treatment of cancer)

RN 516480-80-1 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(4-chlorophenoxy)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:203407 HCAPLUS
DOCUMENT NUMBER: 138:238181
TITLE: Preparation of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C
INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: U.S. Pat. Appl. Publ., 406 pp., Cont.-in-part of Appl. No. PCT/JP00/09181.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

Check = 102e date good

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003050320	A1	20030313	US 2001-939374	20010824
WO 2001047883	A1	20010705	WO 2000-JP9181	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2001247550	A2	20010911	JP 2000-391904	20001225

PRIORITY APPLN. INFO.:

JP 1999-369008	A	19991227
WO 2000-JP9181	A2	20001222
JP 2000-391904	A	20001225
JP 2001-193786	A	20010626

OTHER SOURCE(S): MARPAT 138:238181
GI

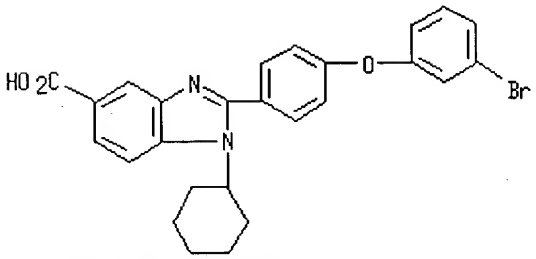
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, S, CR7, etc.; R1-R4 = H, NO2, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = Ph, cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, CN, etc.; R7 = H, alkyl] are prepd. and formulated. Compds. I showed HCV polymerase inhibitory activity (data

given). E.g., a multi-step synthesis of II.HCl, starting from 2-bromo-5-nitrotoluene and Me 2-(2-fluoro-4-hydroxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylate, was given.

IT **347165-35-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C)

RN 347165-35-9 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexyl- (9CI) (CA INDEX NAME)



L6 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:5773 HCAPLUS
DOCUMENT NUMBER: 138:66657
TITLE: Fused cyclic compounds and medicinal use thereof
INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 603 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000254	A1	20030103	WO 2002-JP6405	20020626
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2003212846	A2	20030730	JP 2002-185241	20020625
BR 2002005684	A	20030617	BR 2002-5684	20020626
EP 1400241	A1	20040324	EP 2002-743728	20020626
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004082635	A1	20040429	US 2003-344997	20030218
NO 2003000832	A	20030422	NO 2003-832	20030221

PRIORITY APPLN. INFO.: JP 2001-193786 A 20010626
JP 2001-351537 A 20011116
WO 2002-JP6405 W 20020626

OTHER SOURCE(S): MARPAT 138:66657

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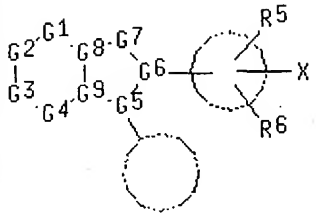


I

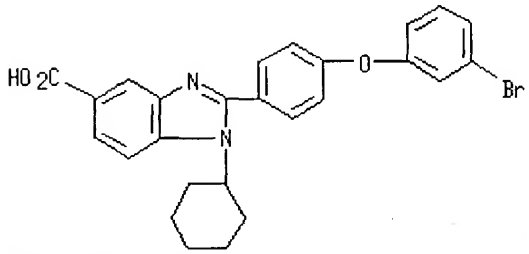
AB Fused cyclic compds. represented by the following general formula [I] or pharmaceutically acceptable salts thereof and remedies for hepatitis C contg. these compds.: I wherein each symbol is as defined in the description. Because of having an effect against hepatitis C virus (HVC) based on an HCV polymerase inhibitory effect, these compds. are useful as remedies or preventives for hepatitis C.

IT **347165-35-9P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(fused cyclic compds. as hepatitis C virus polymerase inhibitors and antiviral agents)

RN 347165-35-9 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

EXPAND

ACCESSION NUMBER: 2002:51438 HCAPLUS
DOCUMENT NUMBER: 136:118447
TITLE: Preparation of benzimidazolecarboxylates and related compounds as viral polymerase inhibitors
INVENTOR(S): Beaulieu, Pierre Louis; Fazal, Gulrez; Gillard, James; Kukolj, George; Austel, Volkhard
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 322 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002004425	A2	20020117	WO 2001-CA989	20010704
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002065418	A1	20020530	US 2001-898297	20010703
US 6448281	B2	20020910		
EP 1301487	A2	20030416	EP 2001-951274	20010704
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JP 2004502761	T2	20040129	JP 2002-509292	20010704
US 6479508	B1	20021112	US 2001-995099	20011127
WO 2002070739	A2	20020912	WO 2002-CA323	20020306
WO 2002070739	A3	20030530		
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EP 1370682	A2	20031217	EP 2002-712681	20020306
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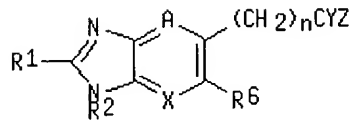
US 2003232816	A1	20031218	US 2002-238282	20020910

PRIORITY APPLN. INFO.:

US 2000-216084P	P	20000706
US 2001-274374P	P	20010308
US 2001-281343P	P	20010405
US 2001-898297	A3	20010703
WO 2001-CA989	W	20010704
US 2001-995099	A3	20011127
WO 2002-CA323	W	20020306

OTHER SOURCE(S): MARPAT 136:118447
GI



I

AB Title compds. [I; X = CH, N; Y = O, S; Z = OH, NH2, NMeR3, NHR3, OR3, 5-6 membered (substituted) heterocyclyl; A = N, COR7, CR5; R5 = H, halo, alkyl; R7 = H, alkyl; X and A are not both N; R6 = H, halo, alkyl, OR7; R7 = H , alkyl; R1 = (substituted) hetero(bi)cyclyl, Ph, phenylalkyl, alkenyl, phenylalkenyl, cycloalkyl, alkyl, CF3; R2 = (substituted) alkyl,

cycloalkyl, cycloalkylalkyl, bicycloalkyl, adamantyl, Ph, pyridyl; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, alkenyl, cycloalkylalkenyl, arylalkenyl, dialkylamino, heterocyclyl, etc.; n = 0, 1], were prepd. Thus, Me 3-amino-4-cyclohexylaminobenzoate (prepn. given), 2-pyridinecarboxaldehyde, and Oxone were stirred in DMF to give 80% Et 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylate, which was sapond. with aq. NaOH in MeOH to give 91% 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylic acid. The latter inhibited hepatitis C virus RNA dependent polymerase (NS5B) with IC50 = 1-5 μM.

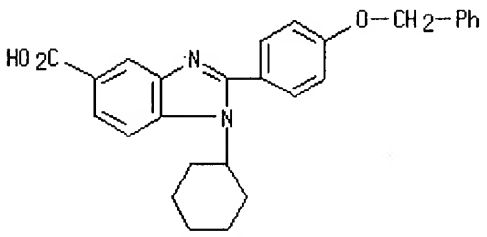
IT **347166-09-0P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzimidazolecarboxylates and related compds. as viral polymerase inhibitors)

RN 347166-09-0 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 6 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2001:489367 HCAPLUS
DOCUMENT NUMBER: 135:76874
TITLE: Preparation of heterocyclic compounds as remedies for hepatitis C
INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 438 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047883	A1	20010705	WO 2000-JP9181	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1162196	A1	20011212	EP 2000-987728	20001222

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

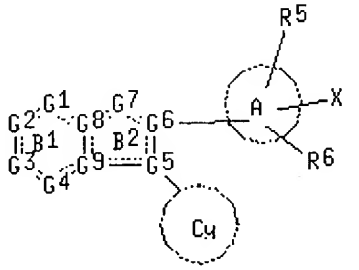
BR 2000008525	A	20020102	BR 2000-8525	20001222
TR 200103147	T1	20020621	TR 2001-200103147	20001222
NZ 514403	A	20021025	NZ 2000-514403	20001222
AU 763356	B2	20030717	AU 2001-24017	20001222
RU 2223761	C2	20040220	RU 2001-126283	20001222
NO 2001004134	A	20011022	NO 2001-4134	20010824
US 2003050320	A1	20030313	US 2001-939374	20010824
ZA 2001007870	A	20020925	ZA 2001-7870	20010928

PRIORITY APPLN. INFO.:

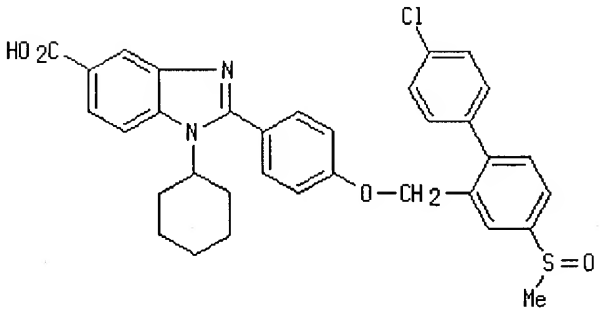
JP 1999-369008	A	19991227
WO 2000-JP9181	W	20001222
JP 2000-391904	A	20001225
JP 2001-193786	A	20010626

OTHER SOURCE(S): MARPAT 135:76874

GI



I



II

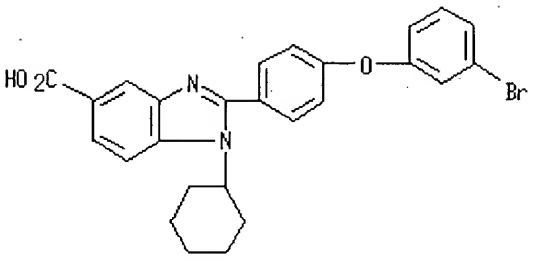
AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, etc.; R1 - R4 = H, nitro, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = C3-C8 cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, cyano, etc.] are prepd. The benzimidazole deriv. II in vitro showed IC50 of 0.011 μM against hepatitis C virus polymerase. A formulation is given.

IT **347165-35-9P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as remedies for hepatitis C)

RN 347165-35-9 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexyl- (9CI) (CA INDEX NAME)

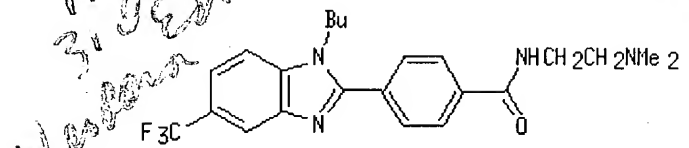


REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2001:412102 HCAPLUS
DOCUMENT NUMBER: 135:177890
TITLE: Synthesis and antimicrobial activity of some new 2-phenyl-N-substituted carboxamido-1H-benzimidazole derivatives
AUTHOR(S): Goker, Hakan; Tuncbilek, Meral; Suzen, Sibel; Kus, Canan; Altanlar, Nurten
CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Ankara University, Ankara, 06100, Turk.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2001), 334(5), 148-152
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:177890
GI



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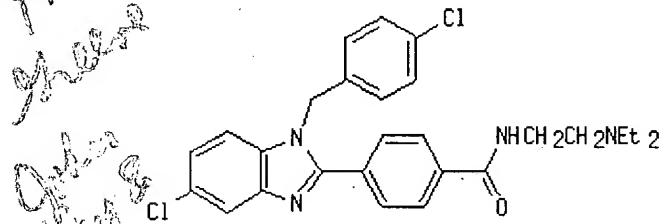

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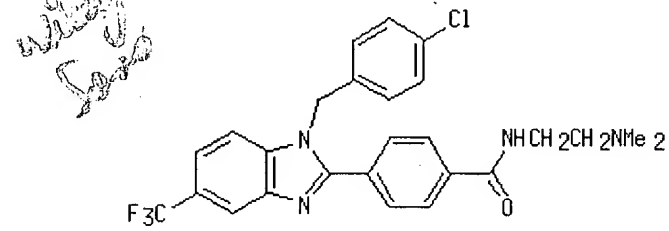


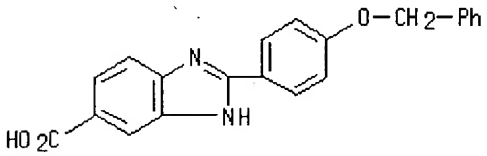
III

AB Some 1H-benzimidazole-carboxamide derivs. were prepd. and their antimicrobial activities against Staphylococcus aureus, Escherichia coli,

and Candida albicans evaluated. Compds. I, II, and III exhibited the best activity against C. albicans.

IT **174422-18-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antimicrobial activity of new 2-phenyl-N-substituted carboxamido-1H-benzimidazole derivs.)

RN 174422-18-5 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

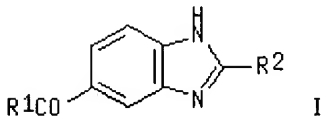


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1999:614608 HCAPLUS
DOCUMENT NUMBER: 131:286454
TITLE: Synthesis and antimicrobial activity of some new benzimidazole carboxylates and carboxamides
AUTHOR(S): Ayhan-Kilcigil, Gulgun; Tuncbilek, Meral; Altanlar, Nurten; Goker, Hakan
CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Ankara University, Ankara, 06100, Turk.
SOURCE: Farmaco (1999), 54(8), 562-565
CODEN: FRMCE8; ISSN: 0014-827X
PUBLISHER: Elsevier Science S.A.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



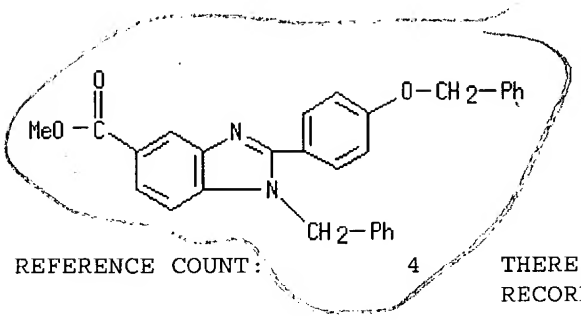
I

AB Benzimidazole carboxylates and carboxamides, e.g., I [R1 = MeO, (2-pyridinylmethyl)amino, 4-methylpiperidino, R2 = 2-ClC6H4, 4-ClC6H4, 2,4-Cl2C6H3, 2-MeOC6H4, 4-MeOC6H4, 2-thienyl], were synthesized and evaluated for their antimicrobial activities against Staphylococcus aureus, Escherichia coli, and Candida albicans. Among the investigated compds., I (R1 = MeO, R2 = 2-MeOC6H4) exhibited best activity against C. albicans.

IT **246517-85-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antimicrobial activity of benzimidazole carboxylates and carboxamides)

RN 246517-85-1 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(phenylmethoxy)phenyl]-1-

(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1999:184240 HCAPLUS
DOCUMENT NUMBER: 130:209707
TITLE: Preparation of 2-substituted phenyl-benzimidazole antibacterial agents
INVENTOR(S): Ohemeng, Kwasi Adomako; Nguyen, Van Nhatton
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9911627	A1	19990311	WO 1998-US18586	19980904
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 5942532	A	19990824	US 1997-924558	19970905
AU 9893054	A1	19990322	AU 1998-93054	19980904
PRIORITY APPLN. INFO.:			US 1997-924558	19970905
			WO 1998-US18586	19980904

OTHER SOURCE(S): MARPAT 130:209707
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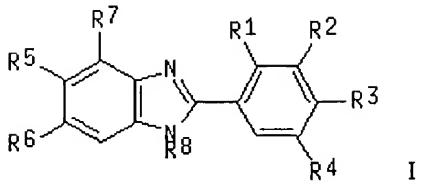


I

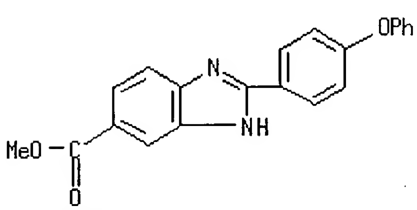
AB Benzimidazoles I [R1 = H, OH, alkoxy; R2, R3, R4 = H, OH, alkyl, CF3, halo, etc.; R5 = H, amino, amidino; R6 = nitro, C(NHR9):NR10; R7 = H, amino, nitro; R8 = H, Me], antibacterial compds., were prepd. These compds. are effective in inhibiting the action of a bacterial histidine protein kinase and are useful as anti-infective agents against a variety

of bacterial organisms, including organisms which are resistant to other known antibiotics. E.g., 3,4-diaminobenzimidate, prepd. from 3,4-diaminobenzonitrile, was treated with NH3/EtOH, then with 4-Me3CC6H4CHO to give 2-[4-(1,1-dimethylethyl)phenyl]-2H-benzimidazole-5-carboximidamide.

IT 220955-73-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of phenylbenzimidazoles as antibacterial agents)

RN 220955-73-7 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-(4-phenoxyphenyl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 12 HCAPLUS

Full Text Citing References

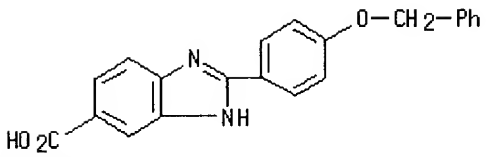
ACCESSION NUMBER: 1998:634393 HCAPLUS
DOCUMENT NUMBER: 129:316174
TITLE: Synthesis of some new benzimidazolecarboxamides and evaluation of their antimicrobial activity
AUTHOR(S): Goker, Hakan; Tuncbilek, Meral; Ayhan, Gulgun; Altanlar, Nurten
CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Ankara University, Ankara, 06100, Turk.
SOURCE: Farmaco (1998), 53(6), 415-420
CODEN: FRMCE8; ISSN: 0014-827X
PUBLISHER: Elsevier Science S.A.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of 1,2-disubstituted benzimidazole-5(6)-carboxamides was prepd. and evaluated in vitro for antimicrobial activity against Staphylococcus aureus, Escherichia coli, and Candida albicans. The precursor benzimidazolecarboxylic acids were prepd. via oxidative condensation of diaminobenzoic acids with aldehydes and via several steps over the 2(1H)-benzimidazolones, resp. All acids were converted to their acyl chlorides with SOCl2, then amidified with several N,N'-dialkylaminoethyl derivs.

IT 174422-18-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and bactericidal and fungicidal activity of benzimidazolecarboxamides)

RN 174422-18-5 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

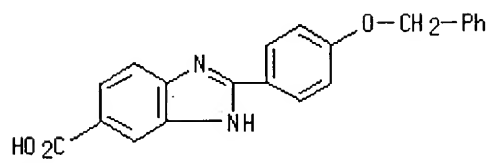
Full Text | Citing References

ACCESSION NUMBER: 1996:144268 HCAPLUS
DOCUMENT NUMBER: 124:197998
TITLE: Synthesis of 1,2-disubstituted benzimidazole-5(6)-carboxamides and evaluation of their antimicrobial activity
AUTHOR(S): Goeker, Hakan; Tebrizli, Emin; Abbasoglu, Ufuk
CORPORATE SOURCE: Faculty of Pharmacy, Univ. of Ankara, Tandogan, 06100, Turk.
SOURCE: Farmaco (1996), 51(1), 53-8
CODEN: FRMCE8
PUBLISHER: Societa Chimica Italiana
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Fourteen N'-(N,N-dialkylaminoethyl)-benzimidazole 5(6)- or 5-carboxamides having several substituents on the azole and benzene nuclei were prepd. and evaluated in vitro for antimicrobial activity. The precursor benzimidazolecarboxylic acids were prepd. via oxidative condensation of diaminobenzoic acids and several aldehydes with cupric ion. All carboxamides were prepd. from the corresponding acids and N,N-dialkylethylenediamine. Antibacterial and antifungal activities were detd. as MIC values. Compds. which were prepd. by replacement with bulky alkyl groups on the tert-N benzimidazole atom gave the best results.

IT **174422-18-5P**
RL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 1,2-disubstituted benzimidazole-5(6)-carboxamides and evaluation of their antimicrobial activity)

RN 174422-18-5 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 12 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1996:38013 HCAPLUS
DOCUMENT NUMBER: 124:202112
TITLE: Synthesis of some new benzimidazole-5(6)-carboxylic acids
AUTHOR(S): Goeker, Hakan; Oelgen, Suereyya; Ertan, Rahmiye; Akguen, Huelya; Oezbey, Sueheyla; Kendi, Engin; Topcu, Guel

CORPORATE SOURCE: Fac. Pharmacy, Ankara Univ., Ankara, 06100, Turk.
SOURCE: Journal of Heterocyclic Chemistry (1995), 32(6), 1767-73
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
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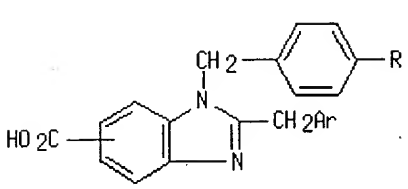

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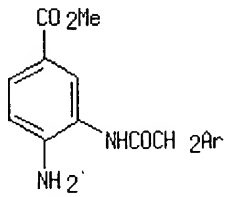

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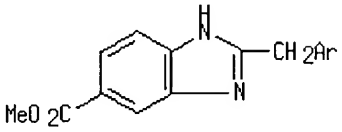

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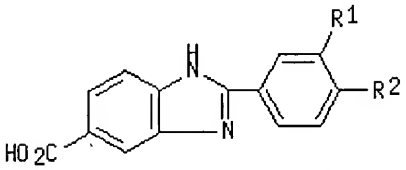
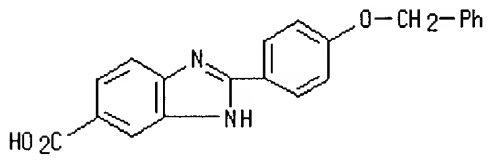


IV

AB The title compds., 1,2-dialkyl-benzimidazole-5(6)-carboxylic acids I (Ar = Ph, 4-MeC6H4, 4-ClC6H4, 2-BrC6H4, OPh, 4-ClC6H4O, etc., R = H, F, CO2H position = 5, 6) were prepd. in four steps; (1) prepn. of mono amide derivs. II by the reaction of Me 3,4-diaminobenzoate and substituted Ph or phenoxyacetic acid chlorides ArCH2COCl, (2) prepn. of the Me benzimidazolecarboxylates III, with zinc chloride and dry hydrogen chloride gas, (3) alk. hydrolysis of the esters, and (4) substitution of the imidazole ring with benzyl or p-fluorobenzyl bromide, in alkali medium. 2-Aryl-benzimidazole-5(6)-carboxylic acids IV (R1 = H, OCH2Ph, OH, R2 = OCH2Ph, OH) were prepd. via the oxidative condensation of 3,4-diaminobenzoic acid and arom. aldehydes with cupric ion.

IT **174422-18-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzimidazolecarboxylic acids)

RN 174422-18-5 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



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  This file contains CAS Registry Numbers for easy and accurate
  substance identification.  Title keywords, authors, patent
  assignees, and patent information, e.g., patent numbers, are
  now searchable from 1907-1966.  TIFF images of CA abstracts
  printed between 1907-1966 are available in the PAGE
  display formats.

  This file supports REG1stRY for direct browsing and searching of
  all substance data from the REGISTRY file.  Enter HELP FIRST for
  more information.

=> d his

     (FILE 'HOME' ENTERED AT 00:12:55 ON 12 MAY 2004)

     FILE 'REGISTRY' ENTERED AT 00:13:07 ON 12 MAY 2004
L1              STRUCTURE UPLOADED
L2           25 S L1
L3          447 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004
L4           13 S L3
L5            1 S L4 AND PRIESTLEY, E?/AU
L6           12 S L4 NOT L5
L7            0 S L6 AND DECICCO, C?/AU
L8            0 S L6 AND HUDYMA, T?/AU
L9            0 S L6 AND ZHENG, X?/AU

     FILE 'CAOLD' ENTERED AT 00:33:48 ON 12 MAY 2004

=> s l3
L10           0 L3

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.42     237.98

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00      -9.01

FILE 'REGISTRY' ENTERED AT 00:33:56 ON 12 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 10 MAY 2004 HIGHEST RN 681120-30-9
DICTIONARY FILE UPDATES: 10 MAY 2004 HIGHEST RN 681120-30-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
L11 STRUCTURE UPLOADED

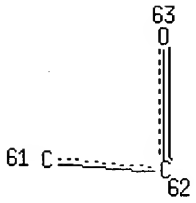
=> d l11
L11 HAS NO ANSWERS
L11 STR

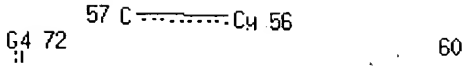
H 92 F 93 C 95 N M2

Cy 91

C 89 N 90

Page 1-D





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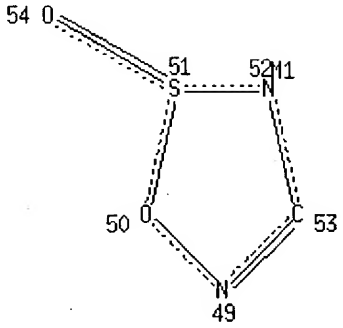

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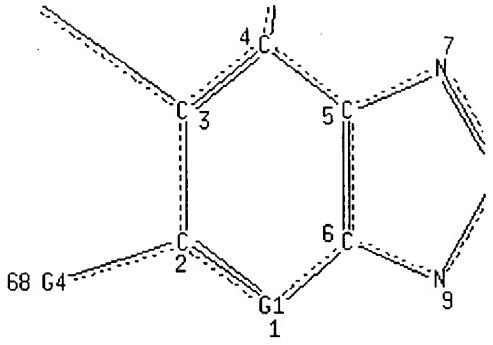

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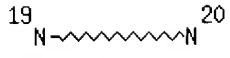

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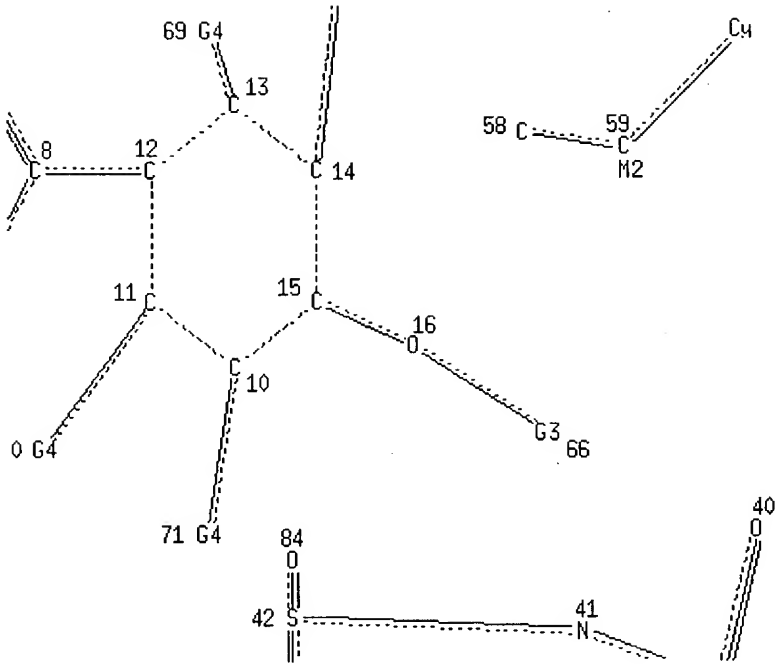

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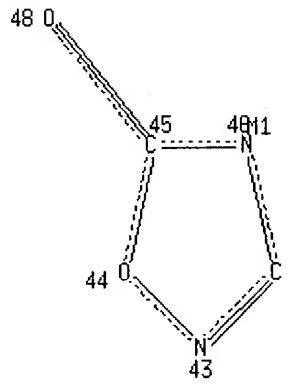

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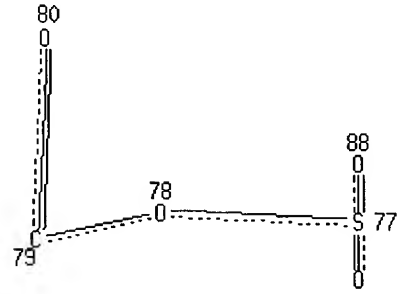

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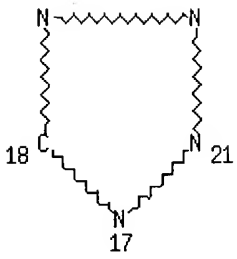

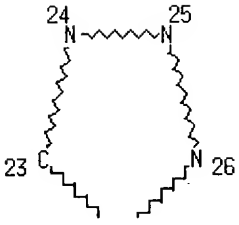

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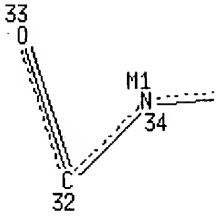

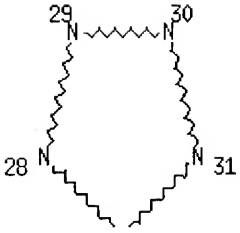

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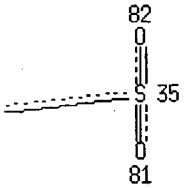

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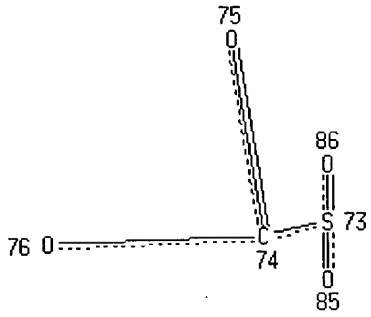

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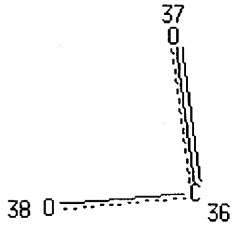
Page 4-D



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HCOUNT   IS M2      AT  59
HCOUNT   IS M3      AT  94
HCOUNT   IS M2      AT  95
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NUMBER OF NODES IS  95

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SAMPLE SEARCH INITIATED 00:47:35 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    302 TO ITERATE

100.0% PROCESSED     302 ITERATIONS                          37 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         4998 TO     7082
PROJECTED ANSWERS:             376 TO     1104

L12          37 SEA SSS SAM L11

=> s l11 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 00:47:41 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   6058 TO ITERATE

100.0% PROCESSED    6058 ITERATIONS                         595 ANSWERS
SEARCH TIME: 00.00.01

L13         595 SEA SSS FUL L11

=> d his

     (FILE 'HOME' ENTERED AT 00:12:55 ON 12 MAY 2004)

     FILE 'REGISTRY' ENTERED AT 00:13:07 ON 12 MAY 2004
L1              STRUCTURE UPLOADED
```

```
L2            25 S L1
L3           447 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004
L4            13 S L3
L5             1 S L4 AND PRIESTLEY, E?/AU
L6            12 S L4 NOT L5
L7             0 S L6 AND DECICCO, C?/AU
L8             0 S L6 AND HUDYMA, T?/AU
L9             0 S L6 AND ZHENG, X?/AU

     FILE 'CAOLD' ENTERED AT 00:33:48 ON 12 MAY 2004
L10            0 S L3

     FILE 'REGISTRY' ENTERED AT 00:33:56 ON 12 MAY 2004
L11              STRUCTURE UPLOADED
L12           37 S L11
L13          595 S L11 FULL

=> s l13 not l3
L14         148 L13 NOT L3

=> file hcaplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   164.66     402.64

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00      -9.01

FILE 'HCAPLUS' ENTERED AT 00:47:52 ON 12 MAY 2004
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FILE COVERS 1907 - 12 May 2004  VOL 140 ISS 20
FILE LAST UPDATED: 10 May 2004  (20040510/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


=> s l14/thu
             5 L14
        591649 THU/RL
L15          5 L14/THU
                 (L14 (L) THU/RL)
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=> s l15 and priestley, e?/au
            64 PRIESTLEY, E?/AU
L16          1 L15 AND PRIESTLEY, E?/AU

=> d his

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L1              STRUCTURE UPLOADED
L2           25 S L1
L3          447 S L1 FULL

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L4           13 S L3
L5            1 S L4 AND PRIESTLEY, E?/AU
L6           12 S L4 NOT L5
L7            0 S L6 AND DECICCO, C?/AU
L8            0 S L6 AND HUDYMA, T?/AU
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L10           0 S L3

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L11             STRUCTURE UPLOADED
L12          37 S L11
L13         595 S L11 FULL
L14         148 S L13 NOT L3

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L16           1 S L15 AND PRIESTLEY, E?/AU

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L17           0 L16 NOT L5

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L16  ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2004 ACS on STN
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Full Text | Citing References

ACCESSION NUMBER: 2003:261620 HCAPLUS
DOCUMENT NUMBER: 138:287673
TITLE: Preparation of phenylbenzimidazole compounds useful for treating hepatitis C virus
INVENTOR(S): **Priestley, Eldon Scott**; Decicco, Carl P.; Hudyma, Thomas W.; Zheng, Xiaofan
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003026587	A2	20030403	WO 2002-US30989	20020926
WO 2003026587	A3	20031106		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003134853 A1 20030717 US 2002-259041 20020926
US 2004067976 A1 20040408 US 2003-648873 20030827

PRIORITY APPLN. INFO.: US 2001-324874P P 20010926
US 2002-259041 B1 20020926

OTHER SOURCE(S): MARPAT 138:287673

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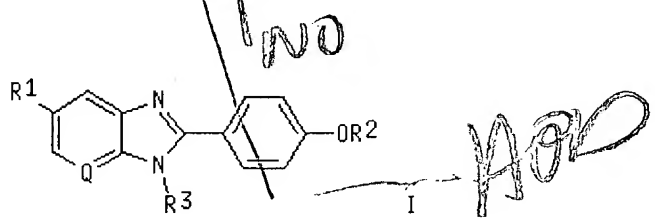

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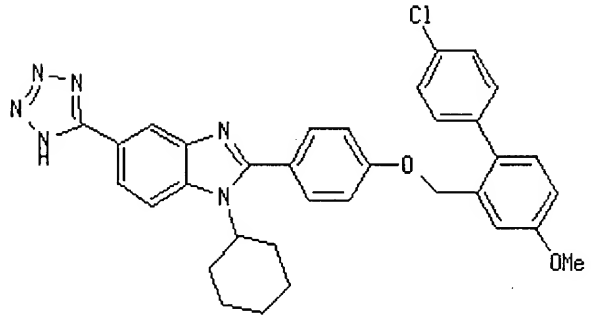
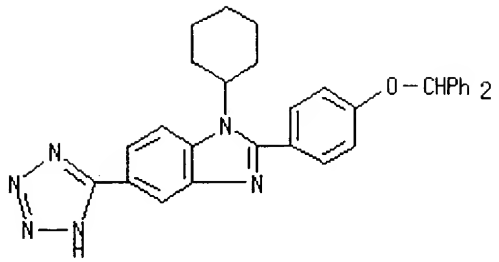


II

AB Compds. of formula I [Q = CH, N; R1 = tetrazolyl, MeCONHSO2, PhCONHSO2, etc.; R2 = CH2-aryl, CHPh2, etc.; R3 = cycloalkyl] are prepd. which are useful in treating viral hepatitis C. Thus, II was prepd. and had an IC50 of 0.14 μM against HCV NS5B RdRp (RNA-dependent RNA polymerase).

IT 503857-49-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenylbenzimidazole compds. for treating hepatitis C viral infection)

RN 503857-49-6 HCAPLUS
CN 1H-Benzimidazole, 1-cyclohexyl-2-[4-(diphenylmethoxy)phenyl]-5-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)

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=> d his

     (FILE 'HOME' ENTERED AT 00:12:55 ON 12 MAY 2004)

     FILE 'REGISTRY' ENTERED AT 00:13:07 ON 12 MAY 2004
L1              STRUCTURE UPLOADED
L2           25 S L1
L3          447 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004
L4           13 S L3
L5            1 S L4 AND PRIESTLEY, E?/AU
L6           12 S L4 NOT L5
L7            0 S L6 AND DECICCO, C?/AU
L8            0 S L6 AND HUDYMA, T?/AU
L9            0 S L6 AND ZHENG, X?/AU

     FILE 'CAOLD' ENTERED AT 00:33:48 ON 12 MAY 2004
L10           0 S L3

     FILE 'REGISTRY' ENTERED AT 00:33:56 ON 12 MAY 2004
L11             STRUCTURE UPLOADED
L12          37 S L11
L13         595 S L11 FULL
L14         148 S L13 NOT L3

     FILE 'HCAPLUS' ENTERED AT 00:47:52 ON 12 MAY 2004
L15           5 S L14/THU
L16           1 S L15 AND PRIESTLEY, E?/AU
L17           0 S L16 NOT L5

=> s l15 not l16
L18           4 L15 NOT L16

=> s l15 and decicco, c?/au
          125 DECICCO, C?/AU
L19           1 L15 AND DECICCO, C?/AU

=> s l19 not l16
L20           0 L19 NOT L16

=> s l18 and hydyma, t?/au
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L21           0 L18 AND HYDYMA, T?/AU

=> s l18 and zheng, x?/au
         3518 ZHENG, X?/AU
L22           0 L18 AND ZHENG, X?/AU
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L18  ANSWER 1 OF 4  HCAPLUS  COPYRIGHT 2004 ACS on STN
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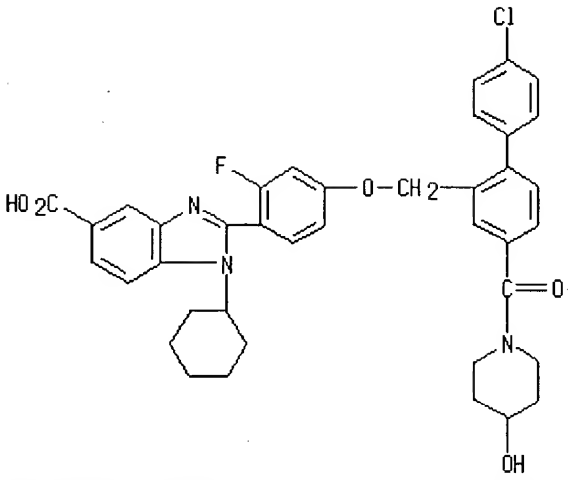
Full Text | Citing References

ACCESSION NUMBER: 2003:970508 HCAPLUS
DOCUMENT NUMBER: 140:174511
TITLE: Mechanism of action and antiviral activity of benzimidazole-based allosteric inhibitors of the hepatitis C virus RNA-dependent RNA polymerase
AUTHOR(S): Tomei, Licia; Altamura, Sergio; Bartholomew, Linda; Biroccio, Antonino; Ceccacci, Alessandra; Pacini, Laura; Narjes, Frank; Gennari, Nadia; Bisbocci, Monica; Incitti, Ilario; Orsatti, Laura; Harper, Steven; Stansfield, Ian; Rowley, Michael; De Francesco, Raffaele; Migliaccio, Giovanni
CORPORATE SOURCE: Istituto di Ricerche di Biologia Molecolare "P. Angeletti", Pomezia-Rome, 00040, Italy
SOURCE: Journal of Virology (2003), 77(24), 13225-13231
CODEN: JOVIAM; ISSN: 0022-538X
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The RNA-dependent RNA polymerase of hepatitis C virus (HCV) is the catalytic subunit of the viral RNA amplification machinery and is an appealing target for the development of new therapeutic agents against HCV infection. Nonnucleoside inhibitors based on a benzimidazole scaffold have been recently reported. Compds. of this class are efficient inhibitors of HCV RNA replication in cell culture, thus providing attractive candidates for further development. Here we report the detailed anal. of the mechanism of action of selected benzimidazole inhibitors. Kinetic data and binding expts. indicated that these compds. act as allosteric inhibitors that block the activity of the polymerase prior to the elongation step. Escape mutations that confer resistance to these compds. map to proline 495, a residue located on the surface of the polymerase thumb domain and away from the active site. Substitution of this residue is sufficient to make the HCV enzyme and replicons resistant to the inhibitors. Interestingly, proline 495 lies in a recently identified noncatalytic GTP-binding site, thus validating it as a potential allosteric site that can be targeted by small-mol. inhibitors of HCV polymerase.

IT **658693-60-8**
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(mechanism of action and antiviral activity of benzimidazole-based allosteric inhibitors of hepatitis C virus RNA-dependent RNA polymerase)

RN 658693-60-8 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-[[4'-chloro-4-[(4-hydroxy-1-piperidinyl)carbonyl][1,1'-biphenyl]-2-yl]methoxy]-2-fluorophenyl]-1-cyclohexyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:203407 HCAPLUS
DOCUMENT NUMBER: 138:238181
TITLE: Preparation of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C
INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: U.S. Pat. Appl. Publ., 406 pp., Cont.-in-part of Appl. No. PCT/JP00/09181.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003050320	A1	20030313	US 2001-939374	20010824
WO 2001047883	A1	20010705	WO 2000-JP9181	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2001247550	A2	20010911	JP 2000-391904	20001225

PRIORITY APPLN. INFO.:

JP 1999-369008	A	19991227
WO 2000-JP9181	A2	20001222
JP 2000-391904	A	20001225
JP 2001-193786	A	20010626

OTHER SOURCE(S): MARPAT 138:238181
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

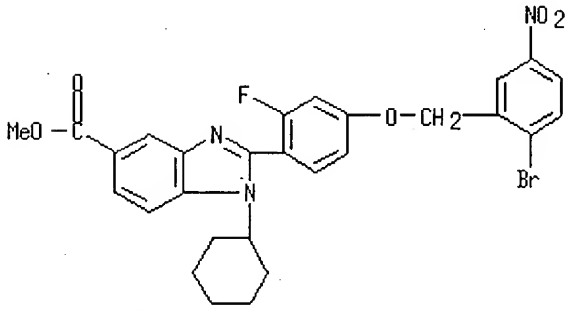
AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, S, CR7, etc.; R1-R4 = H, NO2, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = Ph, cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, CN, etc.; R7 = H, alkyl] are prepd. and formulated. Compds. I showed HCV polymerase inhibitory activity (data given). E.g., a multi-step synthesis of II.HCl, starting from 2-bromo-5-nitrotoluene and Me 2-(2-fluoro-4-hydroxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylate, was given.

IT **480461-26-5P**

RL: PAC (Pharmacological activity); RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C)

RN 480461-26-5 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-[(2-bromo-5-nitrophenyl)methoxy]-2-fluorophenyl]-1-cyclohexyl-, methyl ester (9CI) (CA INDEX NAME)



Good diff Expand to see other compds.

Biosostere

L18 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:5773 HCAPLUS
DOCUMENT NUMBER: 138:66657
TITLE: Fused cyclic compounds and medicinal use thereof
INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 603 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000254	A1	20030103	WO 2002-JP6405	20020626

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG,

US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2003212846	A2	20030730	JP 2002-185241		20020625
BR 2002005684	A	20030617	BR 2002-5684		20020626
EP 1400241	A1	20040324	EP 2002-743728		20020626
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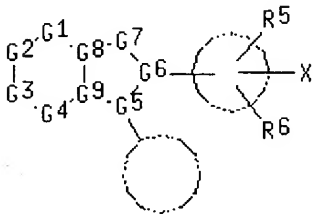
US 2004082635	A1	20040429	US 2003-344997		20030218
NO 2003000832	A	20030422	NO 2003-832		20030221

PRIORITY APPLN. INFO:

JP 2001-193786	A	20010626
JP 2001-351537	A	20011116
WO 2002-JP6405	W	20020626

OTHER SOURCE(S): MARPAT 138:66657

GI



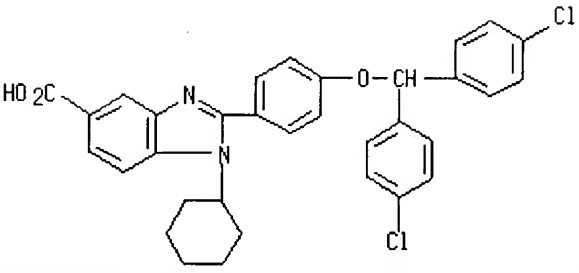
I

AB Fused cyclic compds. represented by the following general formula [I] or pharmaceutically acceptable salts thereof and remedies for hepatitis C contg. these compds.: I wherein each symbol is as defined in the description. Because of having an effect against hepatitis C virus (HVC) based on an HCV polymerase inhibitory effect, these compds. are useful as remedies or preventives for hepatitis C.

IT 347166-38-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(fused cyclic compds. as hepatitis C virus polymerase inhibitors and antiviral agents)

RN 347166-38-5 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-[bis(4-chlorophenyl)methoxy]phenyl]-1-cyclohexyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

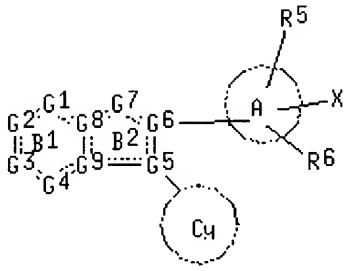
Full Text | Citing References

ACCESSION NUMBER: 2001:489367 HCAPLUS
DOCUMENT NUMBER: 135:76874
TITLE: Preparation of heterocyclic compounds as remedies for hepatitis C
INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 438 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047883	A1	20010705	WO 2000-JP9181	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1162196	A1	20011212	EP 2000-987728	20001222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000008525	A	20020102	BR 2000-8525	20001222
TR 200103147	T1	20020621	TR 2001-200103147	20001222
NZ 514403	A	20021025	NZ 2000-514403	20001222
AU 763356	B2	20030717	AU 2001-24017	20001222
RU 2223761	C2	20040220	RU 2001-126283	20001222
NO 2001004134	A	20011022	NO 2001-4134	20010824
US 2003050320	A1	20030313	US 2001-939374	20010824
ZA 2001007870	A	20020925	ZA 2001-7870	20010928

PRIORITY APPLN. INFO.:		
JP 1999-369008	A	19991227
WO 2000-JP9181	W	20001222
JP 2000-391904	A	20001225
JP 2001-193786	A	20010626

OTHER SOURCE(S): MARPAT 135:76874
GI



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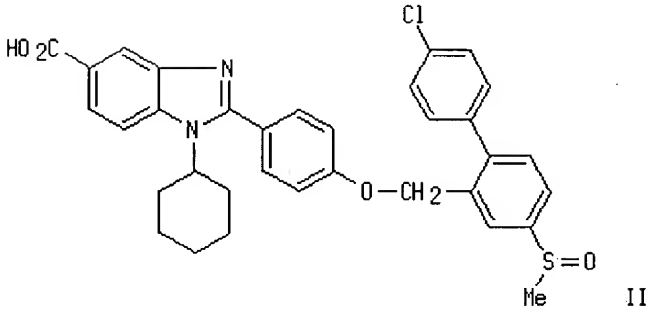


II

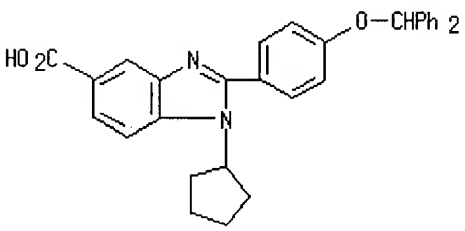
AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, etc.; R1 - R4 = H, nitro, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = C3-C8 cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, cyano, etc.] are prepd. The benzimidazole deriv. II in vitro showed IC50 of 0.011 μM against hepatitis C virus polymerase. A formulation is given.

IT 347165-90-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as remedies for hepatitis C)

RN 347165-90-6 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclopentyl-2-[4-(diphenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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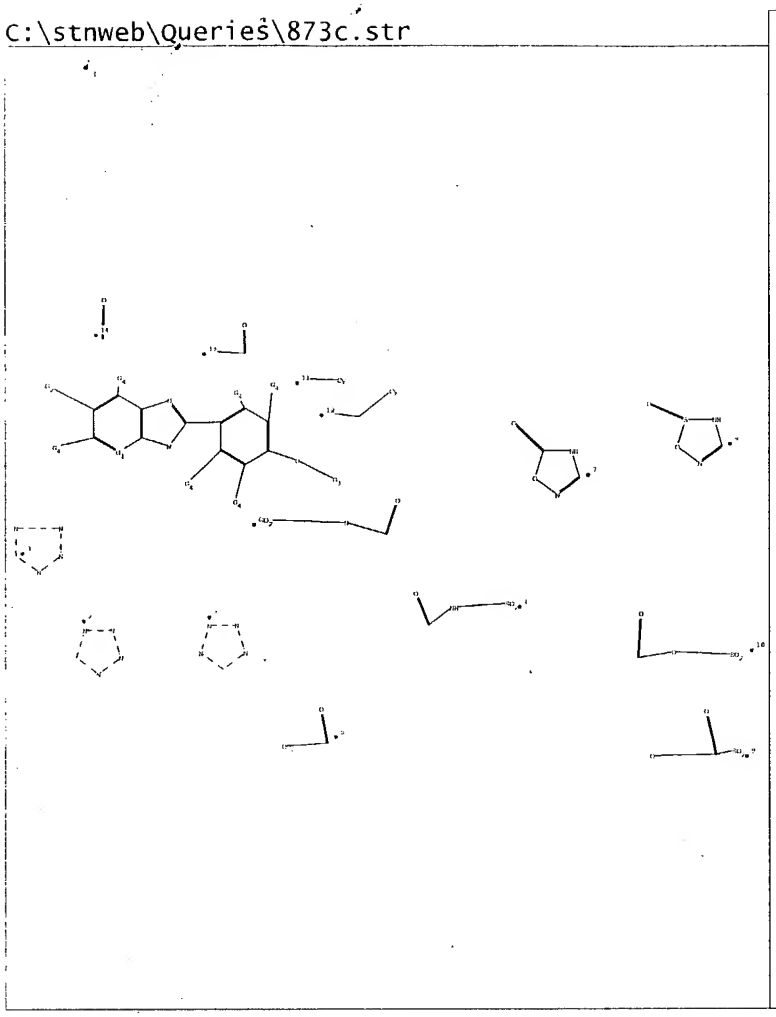

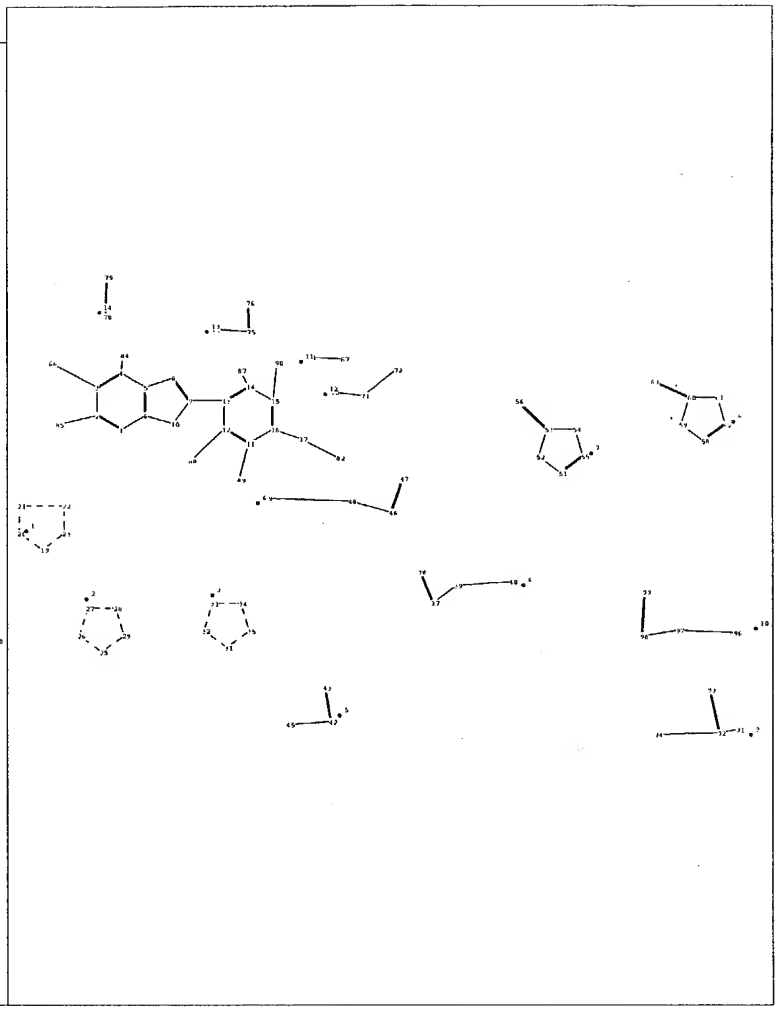

chain nodes :
17 37 38 39 40 42 43 45 46 47 48 49 56 63 66 67 68 70 71 72 74 75 76
78 79 82 84 85 87 88 89 90 91 92 93 94 96 97 98 99
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 19 20 21 22 23 25 26 27 28 29
31 32 33 34 35 51 52 53 54 55 58 59 60 61 62
chain bonds :
2-85 3-66 4-84 9-13 11-89 12-88 14-87 15-90 16-17 17-82 37-38 37-39 39-40
42-43 42-45 46-47 46-48 48-49 53-56 60-63 67-68 70-71 71-72 74-75 75-76 78-79
91-92 92-93 92-94 96-97 97-98 98-99
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-8 6-10 8-9 9-10 11-12 11-16 12-13 13-14 14-15
15-16 19-20 19-23 20-21 21-22 22-23 25-26 25-29 26-27 27-28 28-29 31-32 31-35
32-33 33-34 34-35 51-52 51-55 52-53 53-54 54-55 58-59 58-62 59-60 60-61 61-62
exact/norm bonds :
1-2 1-6 2-3 2-85 3-4 3-66 4-5 4-84 5-6 5-8 6-10 8-9 9-10 9-13 11-89 12-88
14-87 15-90 16-17 17-82 19-20 19-23 20-21 21-22 22-23 25-26 25-29 26-27 27-28
28-29 31-32 31-35 32-33 33-34 34-35 37-38 37-39 39-40 42-43 42-45 46-47 46-48
48-49 51-52 51-55 52-53 53-54 53-56 54-55 58-59 58-62 59-60 60-61 60-63 61-62
67-68 70-71 71-72 74-75 75-76 78-79 91-92 92-93 92-94 96-97 97-98 98-99
normalized bonds :
11-12 11-16 12-13 13-14 14-15 15-16
isolated ring systems :
containing 1 : 11 : 51 : 58 :

G1:C,N

G2:[*1],[*2],[*3],[*4],[*5],[*6],[*7],[*8],[*9],[*10]

G3:Cy,[*11],[*12],[*13],[*14]

G4:H,F,CH3,NH2

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom
13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom
25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom
37:CLASS 38:CLASS 39:CLASS 40:CLASS 42:CLASS 43:CLASS 45:CLASS 46:CLASS 47:CLASS
48:CLASS 49:CLASS 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:CLASS 58:Atom 59:Atom
60:Atom 61:Atom 62:Atom 63:CLASS 66:CLASS 67:Atom 68:CLASS 70:CLASS 71:CLASS
72:Atom 74:CLASS 75:CLASS 76:CLASS 78:CLASS 79:CLASS 82:CLASS 84:CLASS 85:CLASS
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97:CLASS 98:CLASS 99:CLASS

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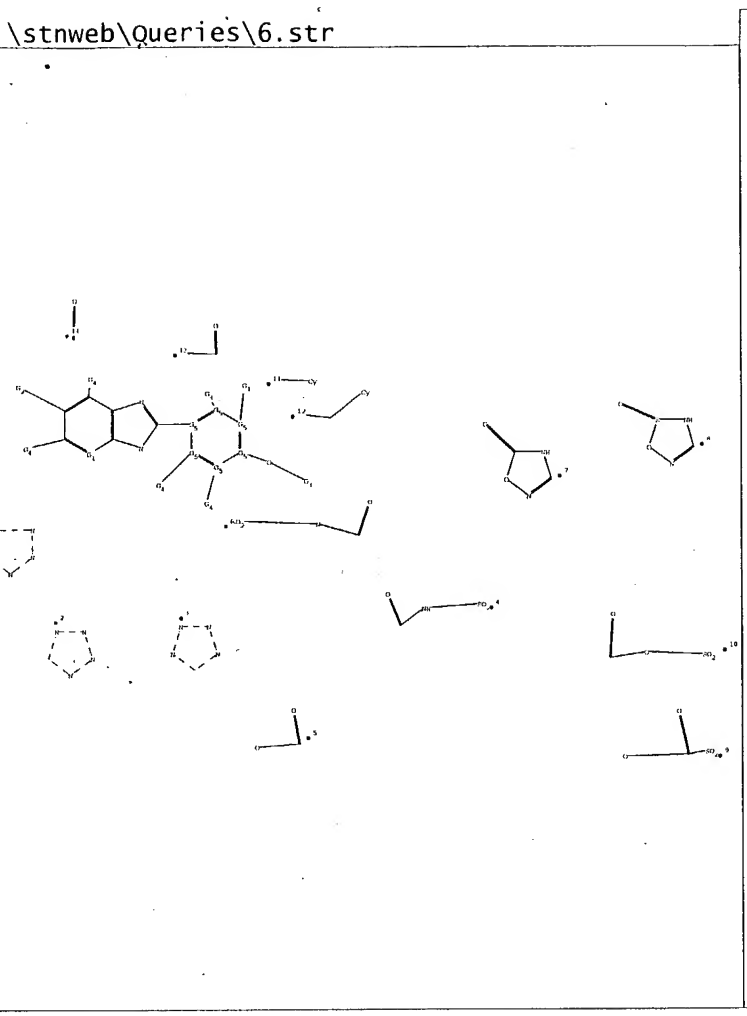

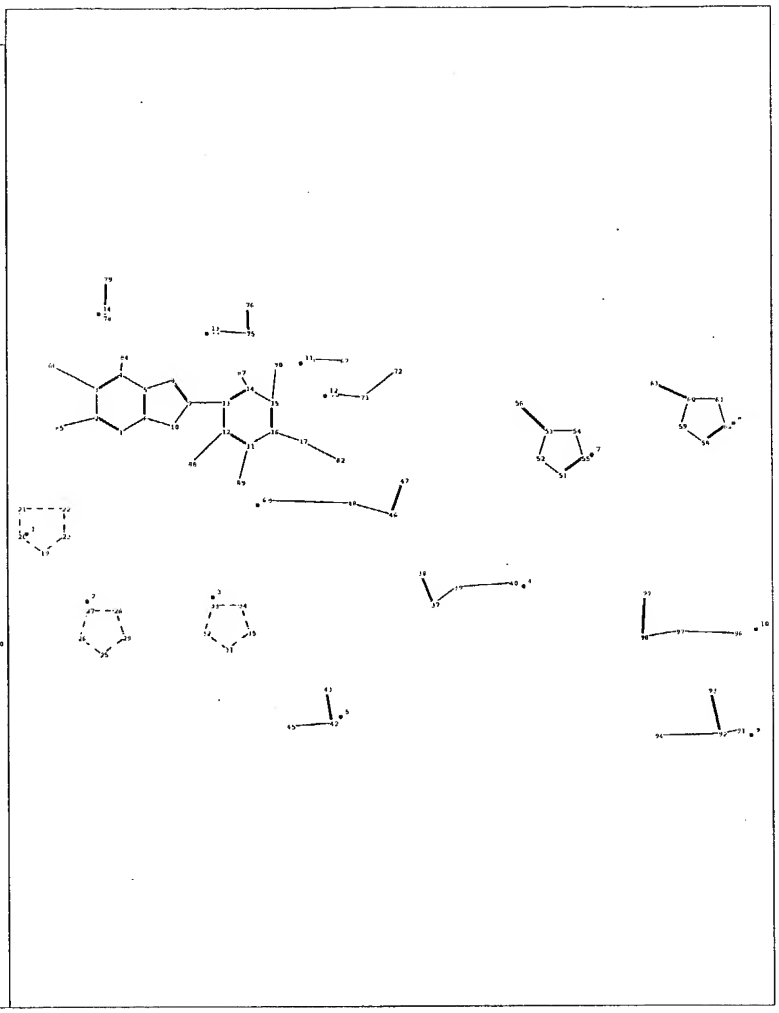

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ain nodes :
   17  37  38  39  40  42  43  45  46  47  48  49  56  63  66  67  68  70  71  72  74  75  76
   78  79  82  84  85  87  88  89  90  91  92  93  94  96  97  98  99
ng nodes :
   1  2  3  4  5  6  8  9  10  11  12  13  14  15  16  19  20  21  22  23  25  26  27  28  29
   31  32  33  34  35  51  52  53  54  55  58  59  60  61  62
ain bonds :
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   42-43  42-45  46-47  46-48  48-49  53-56  60-63  67-68  70-71  71-72  74-75  75-76  78-79
   91-92  92-93  92-94  96-97  97-98  98-99
ing bonds :
   1-2  1-6  2-3  3-4  4-5  5-6  5-8  6-10  8-9  9-10  11-12  11-16  12-13  13-14  14-15
   15-16  19-20  19-23  20-21  21-22  22-23  25-26  25-29  26-27  27-28  28-29  31-32  31-35
   32-33  33-34  34-35  51-52  51-55  52-53  53-54  54-55  58-59  58-62  59-60  60-61  61-62
xact/norm bonds :
   1-2  1-6  2-3  2-85  3-4  3-66  4-5  4-84  5-6  5-8  6-10  8-9  9-10  9-13  11-12  11-16
   11-89  12-13  12-88  13-14  14-15  14-87  15-16  15-90  16-17  17-82  19-20  19-23  20-21
   21-22  22-23  25-26  25-29  26-27  27-28  28-29  31-32  31-35  32-33  33-34  34-35  37-38
   37-39  39-40  42-43  42-45  46-47  46-48  48-49  51-52  51-55  52-53  53-54  53-56  54-55
   58-59  58-62  59-60  60-61  60-63  61-62  67-68  70-71  71-72  74-75  75-76  78-79  91-92
   92-93  92-94  96-97  97-98  98-99
solated ring systems :
   containing 1 : 11 : 51 : 58 :

1:C,N

2:[*1],[*2],[*3],[*4],[*5],[*6],[*7],[*8],[*9],[*10]

3:Cy,[*11],[*12],[*13],[*14]

4:H,F,CH3,NH2

5:C,N
```

ch level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom
13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom
25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom
37:CLASS 38:CLASS 39:CLASS 40:CLASS 42:CLASS 43:CLASS 45:CLASS 46:CLASS 47:CLASS
48:CLASS 49:CLASS 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:CLASS 58:Atom 59:Atom
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97:CLASS 98:CLASS 99:CLASS

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                 and searchable
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                 CA/CAplus
NEWS  5  FEB 05  German (DE) application and patent publication number format
                 changes
NEWS  6  MAR 03  MEDLINE and LMEDLINE reloaded
NEWS  7  MAR 03  MEDLINE file segment of TOXCENTER reloaded
NEWS  8  MAR 03  FRANCEPAT now available on STN
NEWS  9  MAR 29  Pharmaceutical Substances (PS) now available on STN
NEWS 10  MAR 29  WPIFV now available on STN
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NEWS 12  APR 26  PROMT: New display field available
NEWS 13  APR 26  IFIPAT/IFIUDB/IFICDB: New super search and display field
                 available
NEWS 14  APR 26  LITALERT now available on STN
NEWS 15  APR 27  NLDB: New search and display fields available
NEWS 16  May 10  PROUSDDR now available on STN
NEWS 17  May 19  PROUSDDR: One FREE connect hour, per account, in both May
                 and June 2004
NEWS 18  May 12  EXTEND option available in structure searching
NEWS 19  May 12  Polymer links for the POLYLINK command completed in REGISTRY

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              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
NEWS HOURS    STN Operating Hours Plus Help Desk Availability
NEWS INTER    General Internet Information
NEWS LOGIN    Welcome Banner and News Items
NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
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  result in loss of user privileges and other penalties.

  * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:54:32 ON 12 MAY 2004

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

FILE 'REGISTRY' ENTERED AT 15:54:39 ON 12 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
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provided by InfoChem.

STRUCTURE FILE UPDATES: 11 MAY 2004 HIGHEST RN 681211-23-4
DICTIONARY FILE UPDATES: 11 MAY 2004 HIGHEST RN 681211-23-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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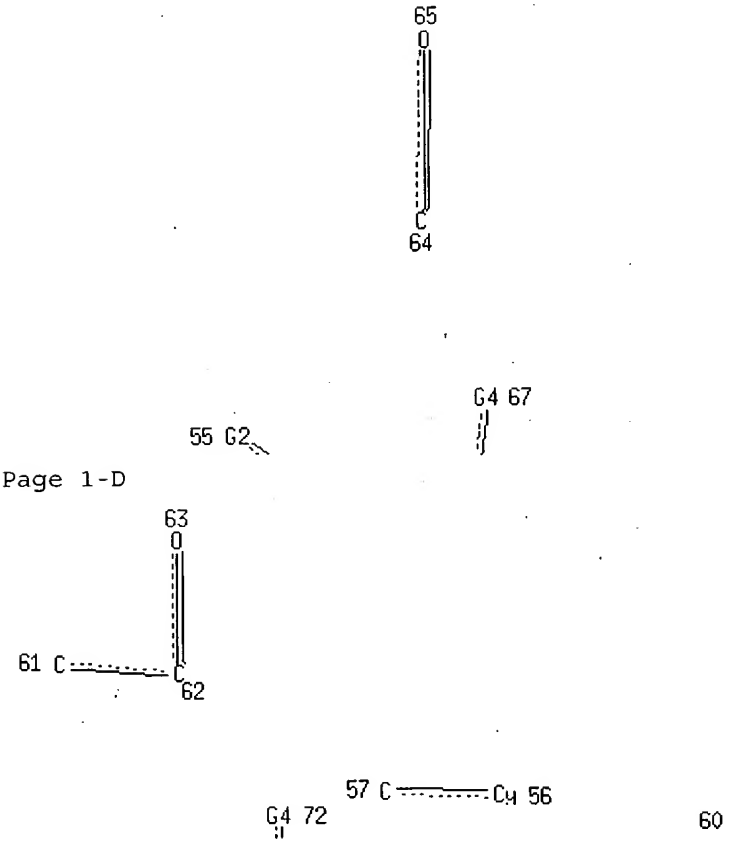
L1 HAS NO ANSWERS
L1 STR



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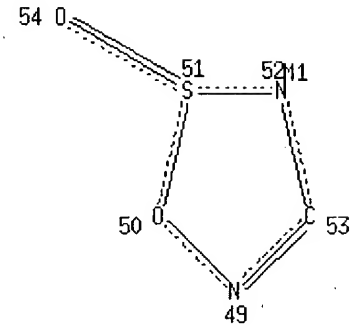

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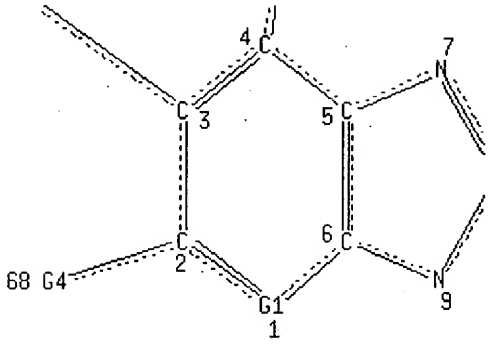

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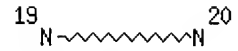

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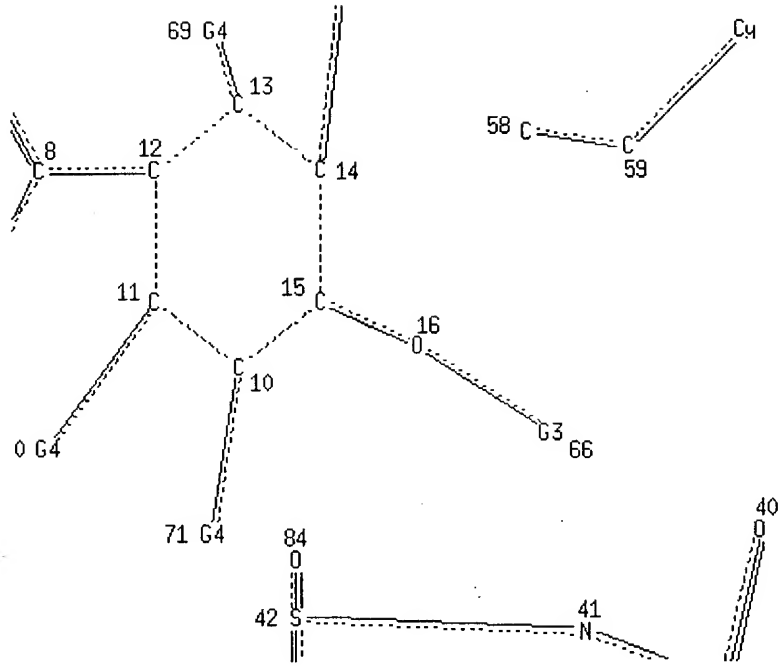

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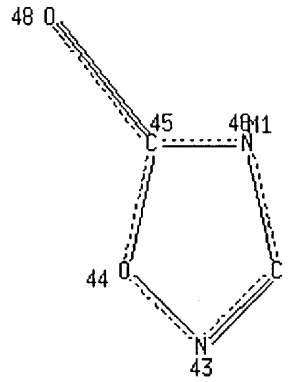

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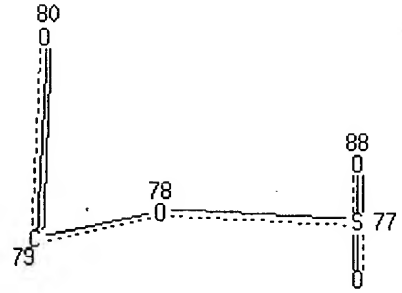

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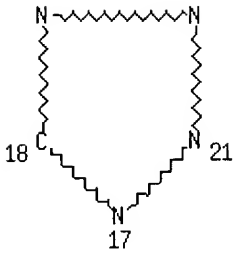

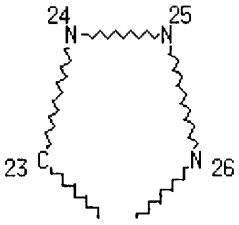

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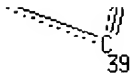

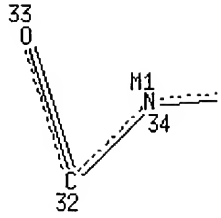

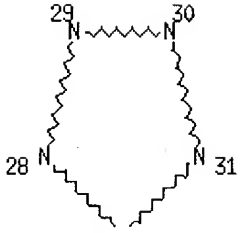

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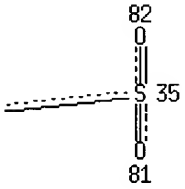

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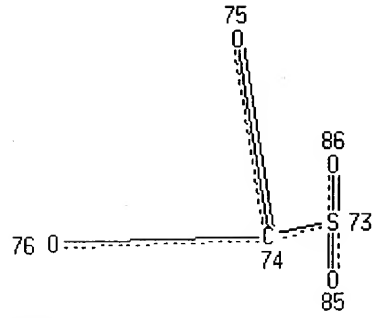

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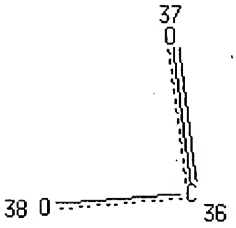
Page 4-D



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VAR G4=92/93/94/95
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HCOUNT IS M2 AT 95
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NUMBER OF NODES IS  95

STEREO ATTRIBUTES: NONE


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SAMPLE SEARCH INITIATED 15:56:11 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    302 TO ITERATE

100.0% PROCESSED     302 ITERATIONS                          37 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         4998 TO     7082
PROJECTED ANSWERS:             376 TO     1104

L2            37 SEA SSS SAM L1

=> s l1 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 15:56:16 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   6058 TO ITERATE

100.0% PROCESSED    6058 ITERATIONS                         599 ANSWERS
SEARCH TIME: 00.00.02

L3           599 SEA SSS FUL L1

=> file hcaplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               156.26     156.47

FILE 'HCAPLUS' ENTERED AT 15:56:23 ON 12 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 12 May 2004 VOL 140 ISS 20
FILE LAST UPDATED: 11 May 2004 (20040511/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 14 L3

=> s l4 and beaulieu, p?
50 BEAULIEU
TERM 'P?' EXCEEDED TRUNCATION LIMITS - SEARCH ENDED
You have entered a truncated stem which occurs in too many terms. Make the stem longer and try again. For example, if your original term was 'degr?' to search for variations and the abbreviation for 'degradation', you could replace it with the expression '(degrdn OR degrad?)'. If your search term was numeric, e.g., 'C>5', reduce the size of the range.

=> s l4 and beaulieu, p?/au
120 BEAULIEU, P?/AU
L5 2 L4 AND BEAULIEU, P?/AU

=> d 15, ibib abs fhitstr, 1-2

L5 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

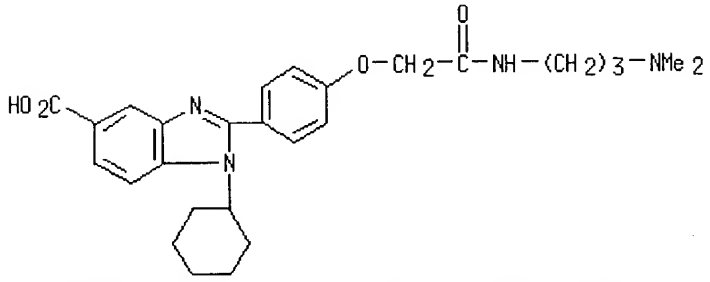
ACCESSION NUMBER: 2003:981461 HCAPLUS
DOCUMENT NUMBER: 140:246106
TITLE: Non-nucleoside inhibitors of the hepatitis C virus NS5B polymerase: discovery and preliminary SAR of benzimidazole derivatives
AUTHOR(S): **Beaulieu, Pierre L.**; Bos, Michael; Bousquet, Yves; Fazal, Gulrez; Gauthier, Jean; Gillard, James; Goulet, Sylvie; LaPlante, Steven; Poupart, Marc-Andre; Lefebvre, Sylvain; McKercher, Ginette; Pellerin, Charles; Austel, Volkhard; Kukolj, George
CORPORATE SOURCE: Department of Chemistry, Research and Development, Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S 2G5, Can.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(1), 119-124
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal
LANGUAGE: English

AB Benzimidazole 5-carboxamide derivs. from a combinatorial screening library were discovered as specific inhibitors of the NS5B polymerase of the hepatitis C virus (HCV). Initial hit-to-lead activities taking advantage of high-throughput parallel synthetic techniques, identified a 1,2-disubstituted benzimidazole 5-carboxylic acid scaffold as the min. core for biol. activity. Potent analogs in this series inhibit the polymerase at low micromolar concns. and provide an attractive 'drug-like' lead structure for further optimization and the development of potential HCV therapeutics.

IT **390815-16-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(discovery and preliminary SAR of benzimidazole derivs. as inhibitors of hepatitis C virus NS5B polymerase)

RN 390815-16-4 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[4-[2-[[3-(dimethylamino)propyl]amino]-2-oxoethoxy]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

NO

ACCESSION NUMBER: 2002:51438 HCAPLUS
DOCUMENT NUMBER: 136:118447
TITLE: Preparation of benzimidazolecarboxylates and related compounds as viral polymerase inhibitors
INVENTOR(S): **Beaulieu, Pierre Louis**; Fazal, Gulrez; Gillard, James; Kukolj, George; Austel, Volkhard
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 322 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002004425	A2	20020117	WO 2001-CA989	20010704

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US 6448281	B2	20020910		
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US 6479508	B1	20021112	US 2001-995099	20011127
WO 2002070739	A2	20020912	WO 2002-CA323	20020306
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US 2001-274374P	P	20010308
US 2001-281343P	P	20010405
US 2001-898297	A3	20010703
WO 2001-CA989	W	20010704
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OTHER SOURCE(S): MARPAT 136:118447

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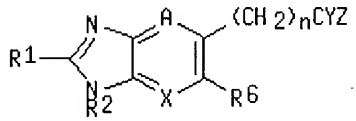


I

AB Title compds. [I; X = CH, N; Y = O, S; Z = OH, NH2, NMeR3, NHR3, OR3, 5-6 membered (substituted) heterocyclyl; A = N, COR7, CR5; R5 = H, halo, alkyl; R7 = H, alkyl; X and A are not both N; R6 = H, halo, alkyl, OR7; R7 = H , alkyl; R1 = (substituted) hetero(bi)cyclyl, Ph, phenylalkyl, alkenyl, phenylalkenyl, cycloalkyl, alkyl, CF3; R2 = (substituted) alkyl, cycloalkyl, cycloalkylalkyl, bicycloalkyl, adamantyl, Ph, pyridyl; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, alkenyl, cycloalkylalkenyl, arylalkenyl, dialkylamino, heterocyclyl, etc.; n = 0, 1], were prepd. Thus, Me 3-amino-4-cyclohexylaminobenzoate (prepn. given), 2-pyridinecarboxaldehyde, and Oxone were stirred in DMF to give 80% Et 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylate, which was sapond. with aq. NaOH in MeOH to give 91% 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylic acid. The latter inhibited hepatitis C virus RNA dependent polymerase (NS5B) with IC50 = 1-5 μM.

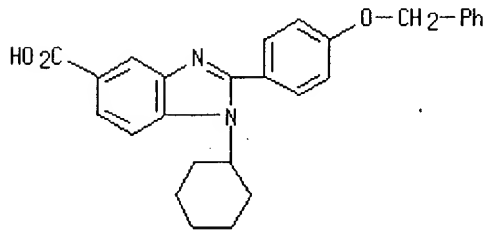
IT **347166-09-0P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzimidazolecarboxylates and related compds. as viral polymerase inhibitors)

RN 347166-09-0 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	16.59	173.06

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.39	-1.39

FILE 'REGISTRY' ENTERED AT 15:58:17 ON 12 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 MAY 2004 HIGHEST RN 681211-23-4
DICTIONARY FILE UPDATES: 11 MAY 2004 HIGHEST RN 681211-23-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
L6 STRUCTURE UPLOADED

=> 16
L6 IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

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 Cu 91

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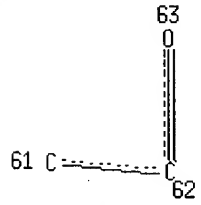

Page 1-E

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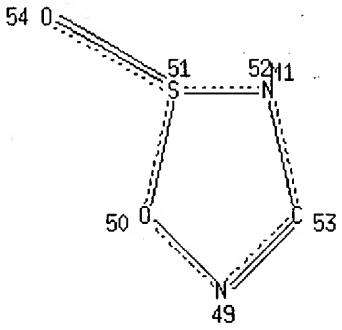
Page 2-A



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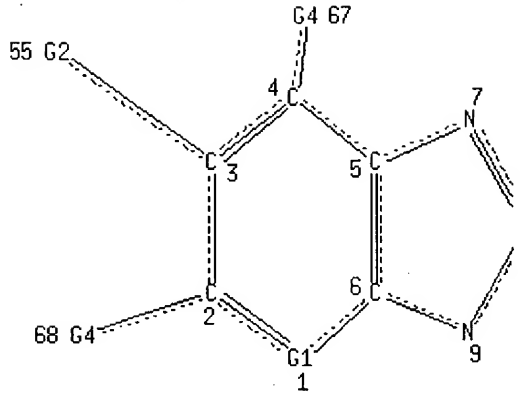

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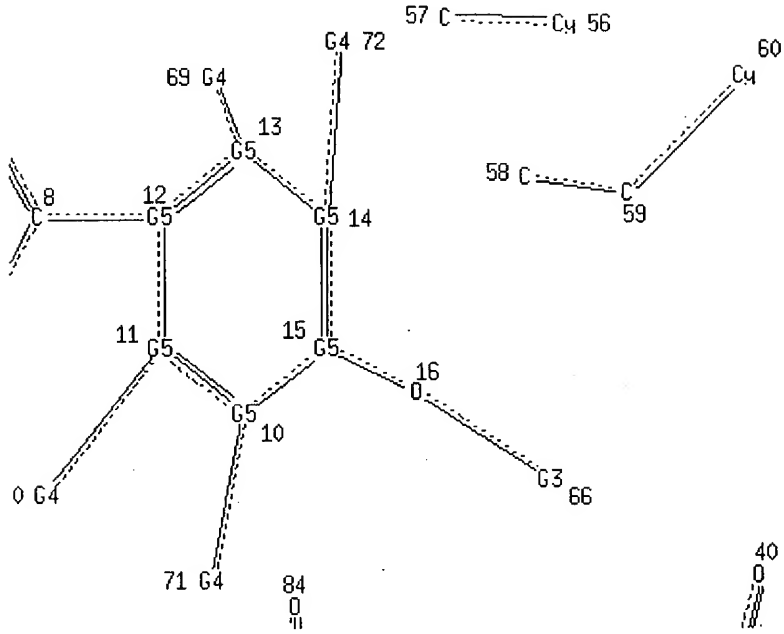
Page 2-D



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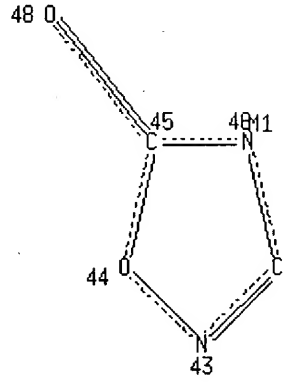

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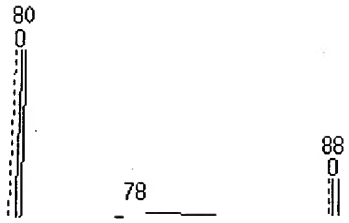

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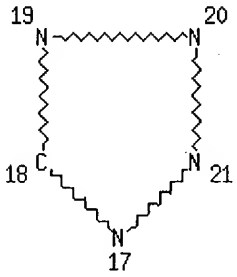

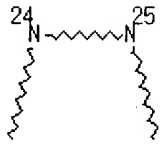

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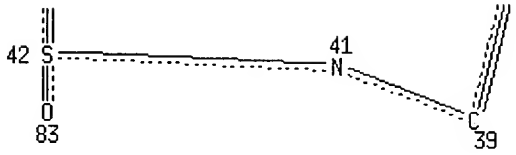

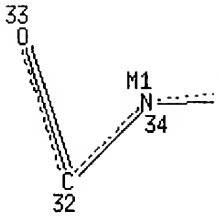

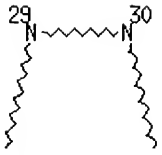

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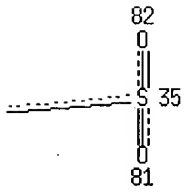

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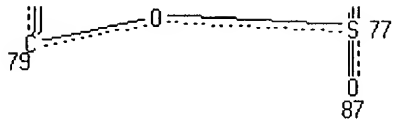

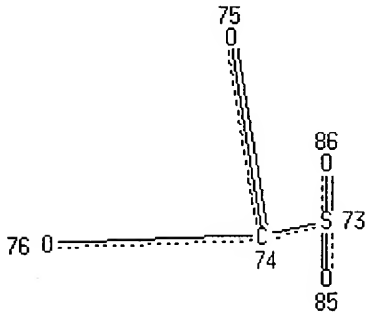

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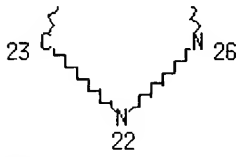

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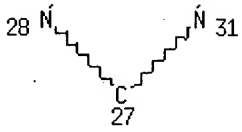

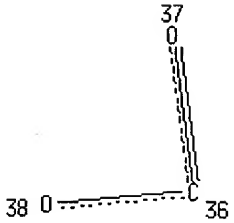

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STEREO ATTRIBUTES: NONE


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SAMPLE SCREEN SEARCH COMPLETED -   2049 TO ITERATE

 48.8% PROCESSED    1000 ITERATIONS                          19 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        38265 TO    43695
PROJECTED ANSWERS:             404 TO     1152

L7           19 SEA SSS SAM L6
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THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
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FULL SCREEN SEARCH COMPLETED -  40648 TO ITERATE

100.0% PROCESSED   40648 ITERATIONS                          599 ANSWERS
SEARCH TIME: 00.00.02

L8          599 SEA SSS FUL L6

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   170.54     343.60

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                     0.00      -1.39

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FILE COVERS 1907 - 12 May 2004  VOL 140 ISS 20
FILE LAST UPDATED: 11 May 2004  (20040511/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


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L9           8 L8/THU
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L9   ANSWER 1 OF 8  HCAPLUS  COPYRIGHT 2004 ACS on STN
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Full Text | Citing References

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ACCESSION NUMBER:         2003:981461  HCAPLUS
DOCUMENT NUMBER:          140:246106
TITLE:                    Non-nucleoside inhibitors of the hepatitis C virus
                          NS5B polymerase: discovery and preliminary SAR of
                          benzimidazole derivatives
AUTHOR(S):                Beaulieu, Pierre L.; Bos, Michael; Bousquet, Yves;
```

Fazal, Gulrez; Gauthier, Jean; Gillard, James; Goulet, Sylvie; LaPlante, Steven; Poupart, Marc-Andre; Lefebvre, Sylvain; McKercher, Ginette; Pellerin, Charles; Austel, Volkhard; Kukolj, George

CORPORATE SOURCE: Department of Chemistry, Research and Development, Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S 2G5, Can.

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(1), 119-124
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Benzimidazole 5-carboxamide derivs. from a combinatorial screening library were discovered as specific inhibitors of the NS5B polymerase of the hepatitis C virus (HCV). Initial hit-to-lead activities taking advantage of high-throughput parallel synthetic techniques, identified a 1,2-disubstituted benzimidazole 5-carboxylic acid scaffold as the min. core for biol. activity. Potent analogs in this series inhibit the polymerase at low micromolar concns. and provide an attractive 'drug-like' lead structure for further optimization and the development of potential HCV therapeutics.

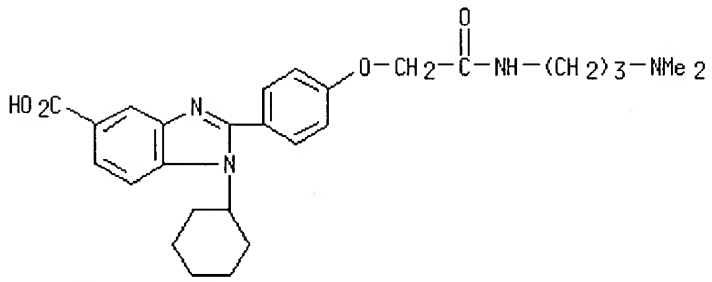
IT **390815-16-4P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

(discovery and preliminary SAR of benzimidazole derivs. as inhibitors of hepatitis C virus NS5B polymerase)

RN 390815-16-4 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[4-[2-[[3-(dimethylamino)propyl]amino]-2-oxoethoxy]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

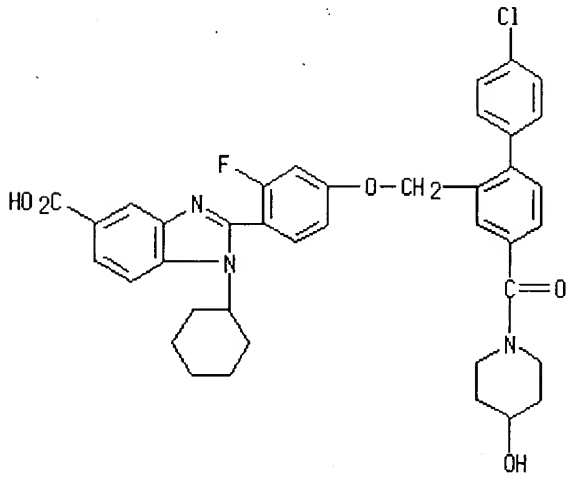
ACCESSION NUMBER: 2003:970508 HCAPLUS
DOCUMENT NUMBER: 140:174511
TITLE: Mechanism of action and antiviral activity of benzimidazole-based allosteric inhibitors of the hepatitis C virus RNA-dependent RNA polymerase
AUTHOR(S): Tomei, Licia; Altamura, Sergio; Bartholomew, Linda; Biroccio, Antonino; Ceccacci, Alessandra; Pacini, Laura; Narjes, Frank; Gennari, Nadia; Bisbocci, Monica; Incitti, Ilario; Orsatti, Laura; Harper, Steven; Stansfield, Ian; Rowley, Michael; De Francesco, Raffaele; Migliaccio, Giovanni

CORPORATE SOURCE: Istituto di Ricerche di Biologia Molecolare "P. Angeletti", Pomezia-Rome, 00040, Italy
SOURCE: Journal of Virology (2003), 77(24), 13225-13231
CODEN: JOVIAM; ISSN: 0022-538X
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The RNA-dependent RNA polymerase of hepatitis C virus (HCV) is the catalytic subunit of the viral RNA amplification machinery and is an appealing target for the development of new therapeutic agents against HCV infection. Nonnucleoside inhibitors based on a benzimidazole scaffold have been recently reported. Compds. of this class are efficient inhibitors of HCV RNA replication in cell culture, thus providing attractive candidates for further development. Here we report the detailed anal. of the mechanism of action of selected benzimidazole inhibitors. Kinetic data and binding expts. indicated that these compds. act as allosteric inhibitors that block the activity of the polymerase prior to the elongation step. Escape mutations that confer resistance to these compds. map to proline 495, a residue located on the surface of the polymerase thumb domain and away from the active site. Substitution of this residue is sufficient to make the HCV enzyme and replicons resistant to the inhibitors. Interestingly, proline 495 lies in a recently identified noncatalytic GTP-binding site, thus validating it as a potential allosteric site that can be targeted by small-mol. inhibitors of HCV polymerase.

IT 658693-60-8
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(mechanism of action and antiviral activity of benzimidazole-based allosteric inhibitors of hepatitis C virus RNA-dependent RNA polymerase)

RN 658693-60-8 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-[[4'-chloro-4-[(4-hydroxy-1-piperidinyl)carbonyl][1,1'-biphenyl]-2-yl]methoxy]-2-fluorophenyl]-1-cyclohexyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:319709 HCAPLUS

DOCUMENT NUMBER: 138:338144
TITLE: Preparation of 2-phenyl benzimidazoles and imidazo-[4,5]pyridines as Cds1/Chk2-inhibitors and adjuvants to chemotherapy or radiation therapy in the treatment of cancer
INVENTOR(S): Arienti, Kristen L.; Axe, Frank U.; Breitenbucher, J. Guy; Huang, Liming; Lee, Alice; McClure, Kelly J.
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003032984	A1	20030424	WO 2002-US33371	20021018
WO 2003032984	C1	20031120		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003176438	A1	20030918	US 2002-273487	20021018
NO 2003002759	A	20030818	NO 2003-2759	20030617

PRIORITY APPLN. INFO.: US 2001-330304P P 20011019
WO 2002-US33371 W 20021018
OTHER SOURCE(S): MARPAT 138:338144
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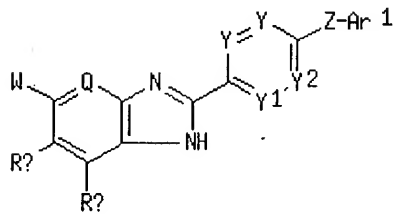


I

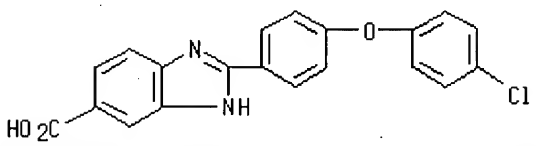
AB 2-Aryl-substituted benzimidazoles and imidazo[4,5]pyridines (shown as I; e.g. 2-[4-(4-chlorophenoxy)phenyl]-1H-benzimidazole-5-carboxylic acid amide (II)) are disclosed as inhibitors of Cds1 and useful as adjuvants to chemotherapy or radiation therapy in the treatment of cancer. For I: W is COOH, -C(O)NHR1, or -SO2NHR1 (R1 is H or C1-4alkyl); Q is N or CH; Ra and Rb are H or halogen; Y, Y1 and Y2 = N and C-Rc with the proviso that 0, 1 or 2 of Y, Y1 and Y2 are N and at least 2 of Rc must be H; Rc = -H, -OH, -C1-6alkyl, -SCF3, halo, -CF3 and -OCF3; Z = O, S, SO, SO2, SO2NR2, NR2SO2, NH, CONR2, piperazinediyl or a covalent bond; R2 is H or C1-4alkyl; Ar1 is an arom. group as defined in the claims. IC50 values are reported for inhibition of human Cds1 checkpoint kinase by 103 examples of I, e.g. 3 nM for 2-[4-(4-chloro-3-trifluoromethylphenoxy)phenyl]-1H-benzimidazole-5-carboxylic acid amide.

Addnl. studies were (i) detn. of the effect of II on tumor cell line clonogenic survival, (ii) effect of II on tumor growth in murine xenograft models, (iii) detn. of the effect of 14 examples of I on radiation-induced apoptosis in isolated primary cells, and (iv) detn. of the effect of II on radiation-induced apoptosis in splenocytes in vivo. Although the methods of prepn. are not claimed, ~100 example prepns. are included.

IT **516480-80-1P**, 2-[4-(4-Chlorophenoxy)phenyl]-1H-benzimidazole-5-carboxylic acid
RL: PAC (Pharmacological activity); RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; prepn. of benzimidazoles and imidazopyridines as Cds1/Chk2-inhibitors and adjuvants to chemotherapy or radiation therapy in treatment of cancer)

RN 516480-80-1 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(4-chlorophenoxy)phenyl]- (9CI) (CA INDEX NAME)



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L9 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:261620 HCAPLUS
DOCUMENT NUMBER: 138:287673
TITLE: Preparation of phenylbenzimidazole compounds useful for treating hepatitis C virus
INVENTOR(S): Priestley, Eldon Scott; Decicco, Carl P.; Hudyma, Thomas W.; Zheng, Xiaofan
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003026587	A2	20030403	WO 2002-US30989	20020926
WO 2003026587	A3	20031106		

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003134853	A1	20030717	US 2002-259041	20020926

US 2004067976 A1 20040408 US 2003-648873 20030827
PRIORITY APPLN. INFO.: US 2001-324874P P 20010926
US 2002-259041 B1 20020926

OTHER SOURCE(S): MARPAT 138:287673
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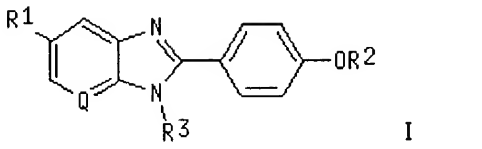

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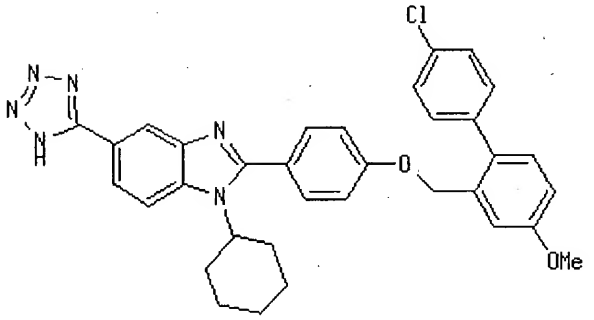


II

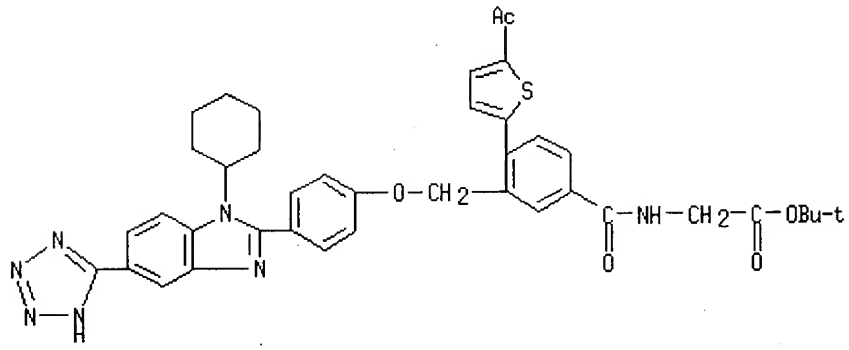
AB Compds. of formula I [Q = CH, N; R1 = tetrazolyl, MeCONHSO2, PhCONHSO2, etc.; R2 = CH2-aryl, CHPh2, etc.; R3 = cycloalkyl] are prepd. which are useful in treating viral hepatitis C. Thus, II was prepd. and had an IC50 of 0.14 μM against HCV NS5B RdRp (RNA-dependent RNA polymerase).

IT 503857-56-5P
RL: PAC (Pharmacological activity); RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of phenylbenzimidazole compds. for treating hepatitis C viral infection)

RN 503857-56-5 HCAPLUS
CN Glycine, N-[4-(5-acetyl-2-thienyl)-3-[[4-[1-cyclohexyl-5-(1H-tetrazol-5-yl)-1H-benzimidazol-2-yl]phenoxy]methyl]benzoyl]-, 1,1-dimethylethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

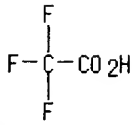
CM 1

CRN 503857-55-4
CMF C40 H41 N7 O5 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



L9 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:203407 HCAPLUS
DOCUMENT NUMBER: 138:238181
TITLE: Preparation of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C
INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: U.S. Pat. Appl. Publ., 406 pp., Cont.-in-part of Appl. No. PCT/JP00/09181.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003050320	A1	20030313	US 2001-939374	20010824
WO 2001047883	A1	20010705	WO 2000-JP9181	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2001247550	A2	20010911	JP 2000-391904	20001225

PRIORITY APPLN. INFO.:
JP 1999-369008 A 19991227
WO 2000-JP9181 A2 20001222
JP 2000-391904 A 20001225
JP 2001-193786 A 20010626

OTHER SOURCE(S): MARPAT 138:238181
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5,

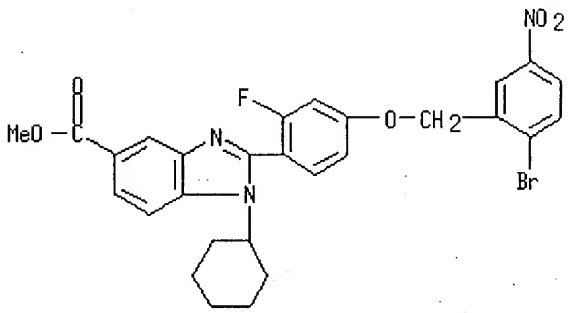
G6, G8, G9 = C, N; G7 = O, S, CR7, etc.; R1-R4 = H, NO2, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = Ph, cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, CN, etc.; R7 = H, alkyl] are prepd. and formulated. Compds. I showed HCV polymerase inhibitory activity (data given). E.g., a multi-step synthesis of II.HCl, starting from 2-bromo-5-nitrotoluene and Me 2-(2-fluoro-4-hydroxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylate, was given.

IT 480461-26-5P

RL: PAC (Pharmacological activity); RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C)

RN 480461-26-5 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-[(2-bromo-5-nitrophenyl)methoxy]-2-fluorophenyl]-1-cyclohexyl-, methyl ester (9CI) (CA INDEX NAME)



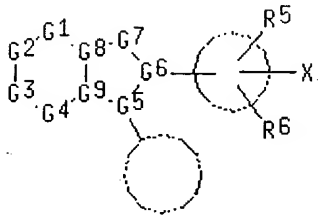
L9 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:5773 HCAPLUS
DOCUMENT NUMBER: 138:66657
TITLE: Fused cyclic compounds and medicinal use thereof
INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 603 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000254	A1	20030103	WO 2002-JP6405	20020626
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2003212846	A2	20030730	JP 2002-185241	20020625
BR 2002005684	A	20030617	BR 2002-5684	20020626

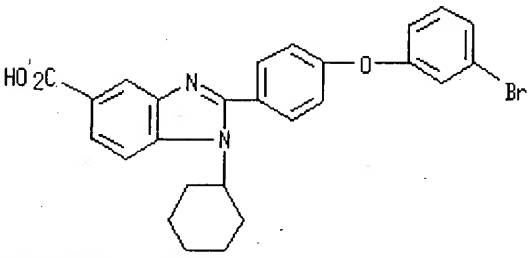
EP 1400241 A1 20040324 EP 2002-743728 20020626
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
US 2004082635 A1 20040429 US 2003-344997 20030218
NO 2003000832 A 20030422 NO 2003-832 20030221
PRIORITY APPLN. INFO.: JP 2001-193786 A 20010626
JP 2001-351537 A 20011116
WO 2002-JP6405 W 20020626
OTHER SOURCE(S): MARPAT 138:66657
GI



I

AB Fused cyclic compds. represented by the following general formula [I] or pharmaceutically acceptable salts thereof and remedies for hepatitis C contg. these compds.: I wherein each symbol is as defined in the description. Because of having an effect against hepatitis C virus (HVC) based on an HCV polymerase inhibitory effect, these compds. are useful as remedies or preventives for hepatitis C.

IT 347165-35-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(fused cyclic compds. as hepatitis C virus polymerase inhibitors and antiviral agents)
RN 347165-35-9 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2002:51438 HCAPLUS
DOCUMENT NUMBER: 136:118447
TITLE: Preparation of benzimidazolecarboxylates and related compounds as viral polymerase inhibitors
INVENTOR(S): Beaulieu, Pierre Louis; Fazal, Gulrez; Gillard, James;

Kukolj, George; Austel, Volkhard
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 322 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002004425	A2	20020117	WO 2001-CA989	20010704
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002065418	A1	20020530	US 2001-898297	20010703
US 6448281	B2	20020910		
EP 1301487	A2	20030416	EP 2001-951274	20010704
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JP 2004502761	T2	20040129	JP 2002-509292	20010704
US 6479508	B1	20021112	US 2001-995099	20011127
WO 2002070739	A2	20020912	WO 2002-CA323	20020306
WO 2002070739	A3	20030530		
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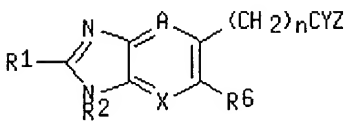
EP 1370682	A2	20031217	EP 2002-712681	20020306
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003232816	A1	20031218	US 2002-238282	20020910

PRIORITY APPLN. INFO.:

US 2000-216084P	P	20000706
US 2001-274374P	P	20010308
US 2001-281343P	P	20010405
US 2001-898297	A3	20010703
WO 2001-CA989	W	20010704
US 2001-995099	A3	20011127
WO 2002-CA323	W	20020306

OTHER SOURCE(S): MARPAT 136:118447
GI

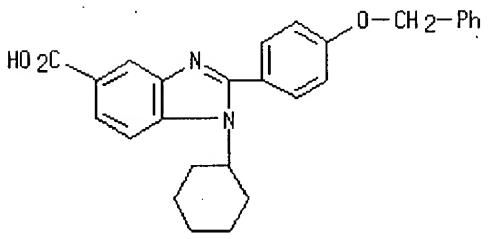


I

AB Title compds. [I; X = CH, N; Y = O, S; Z = OH, NH2, NMeR3, NHR3, OR3, 5-6 membered (substituted) heterocyclyl; A = N, COR7, CR5; R5 = H, halo, alkyl; R7 = H, alkyl; X and A are not both N; R6 = H, halo, alkyl, OR7; R7 = H , alkyl; R1 = (substituted) hetero(bi)cyclyl, Ph, phenylalkyl, alkenyl, phenylalkenyl, cycloalkyl, alkyl, CF3; R2 = (substituted) alkyl, cycloalkyl, cycloalkylalkyl, bicycloalkyl, adamantyl, Ph, pyridyl; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, alkenyl, cycloalkylalkenyl, arylalkenyl, dialkylamino, heterocyclyl, etc.; n = 0, 1], were prepd. Thus, Me 3-amino-4-cyclohexylaminobenzoate (prepn. given), 2-pyridinecarboxaldehyde, and Oxone were stirred in DMF to give 80% Et 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylate, which was sapond. with aq. NaOH in MeOH to give 91% 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylic acid. The latter inhibited hepatitis C virus RNA dependent polymerase (NS5B) with IC50 = 1-5 μM.

IT 347166-09-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzimidazolecarboxylates and related compds. as viral polymerase inhibitors)

RN 347166-09-0 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2001:489367 HCAPLUS
DOCUMENT NUMBER: 135:76874
TITLE: Preparation of heterocyclic compounds as remedies for hepatitis C
INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 438 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047883	A1	20010705	WO 2000-JP9181	20001222

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,

ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

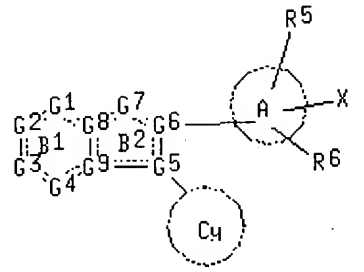
EP 1162196	A1	20011212	EP 2000-987728	20001222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000008525	A	20020102	BR 2000-8525	20001222
TR 200103147	T1	20020621	TR 2001-200103147	20001222
NZ 514403	A	20021025	NZ 2000-514403	20001222
AU 763356	B2	20030717	AU 2001-24017	20001222
RU 2223761	C2	20040220	RU 2001-126283	20001222
NO 2001004134	A	20011022	NO 2001-4134	20010824
US 2003050320	A1	20030313	US 2001-939374	20010824
ZA 2001007870	A	20020925	ZA 2001-7870	20010928

PRIORITY APPLN. INFO.:

JP 1999-369008	A	19991227
WO 2000-JP9181	W	20001222
JP 2000-391904	A	20001225
JP 2001-193786	A	20010626

OTHER SOURCE(S): MARPAT 135:76874

GI



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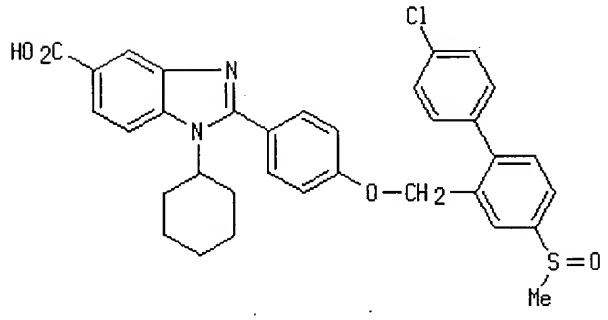


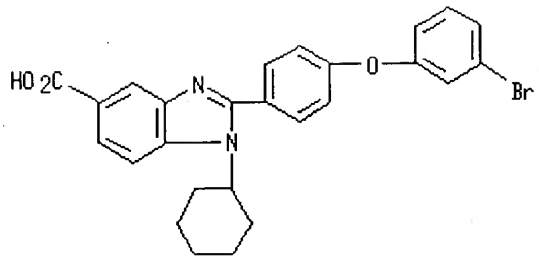
II

AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, etc.; R1 - R4 = H, nitro, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = C3-C8 cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, cyano, etc.] are prepd. The benzimidazole deriv. II in vitro showed IC50 of 0.011 μM against hepatitis C virus polymerase. A formulation is given.

IT **347165-35-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as remedies for hepatitis C)

RN 347165-35-9 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	42.77	386.37

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-5.54	-6.93

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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

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L2 37 S L1
L3 599 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 15:56:23 ON 12 MAY 2004
L4 14 S L3
L5 2 S L4 AND BEAULIEU, P?/AU

FILE 'REGISTRY' ENTERED AT 15:58:17 ON 12 MAY 2004
L6 STRUCTURE UPLOADED
L7 19 S L6

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L8          599 S L6 FULL

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     FILE 'CAOLD' ENTERED AT 16:21:23 ON 12 MAY 2004

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L10           0 L3

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